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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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| | | | |
|---|---|---|---|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | SEP 09 | ACD predicted properties enhanced in REGISTRY/ZREGISTRY |
| NEWS | 4 | OCT 03 | MATHDI removed from STN |
| NEWS | 5 | OCT 04 | CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices |
| NEWS | 6 | OCT 13 | New CAS Information Use Policies Effective October 17, 2005 |
| NEWS | 7 | OCT 17 | STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools |
| NEWS | 8 | OCT 27 | Free KWIC format extended in full-text databases |
| NEWS | 9 | OCT 27 | DIOGENES content streamlined |
| NEWS | 10 | OCT 27 | EPFULL enhanced with additional content |
| NEWS | 11 | NOV 14 | CA/CAplus - Expanded coverage of German academic research |

NEWS EXPRESS NOVEMBER 18 CURRENT VERSION FOR WINDOWS IS V8.01,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005.
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
http://download.cas.org/express/v8.0-Discover/

| | |
|---|---|
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| NEWS INTER | General Internet Information |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS PHONE | Direct Dial and Telecommunication Network Access to STN |
| NEWS WWW | CAS World Wide Web Site (general information) |

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:53:03 ON 23 NOV 2005

=> fil reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 07:53:12 ON 23 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:  22 NOV 2005  HIGHEST RN 868656-94-4
DICTIONARY FILE UPDATES:  22 NOV 2005  HIGHEST RN 868656-94-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10662833.str

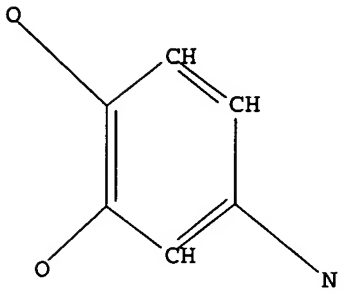
chain nodes :
7  8  10  11  12  13  14
ring nodes :
1  2  3  4  5  6
chain bonds :
1-7  2-8  5-10  7-12  8-11  10-13  10-14  14-17
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-7  2-8  5-10  7-12  8-11  10-13
exact bonds :
10-14  14-17
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  10:CLASS
11:CLASS  12:CLASS  13:CLASS  14:CLASS

L1      STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 07:53:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6551 TO ITERATE

30.5% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 126168 TO 135872
PROJECTED ANSWERS: 13235 TO 16505

L2 50 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 07:53:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 131623 TO ITERATE

100.0% PROCESSED 131623 ITERATIONS 14295 ANSWERS
SEARCH TIME: 00.00.01

L3 14295 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10662833.str

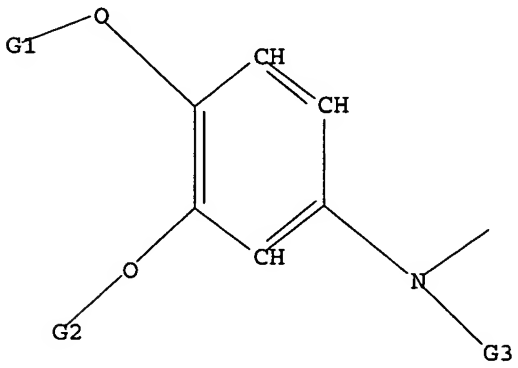
chain nodes :
7 8 10 11 12 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 2-8 5-10 7-12 8-11 10-13 10-14 14-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-7 2-8 5-10 7-12 8-11 10-13
exact bonds :
10-14 14-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR




G1 C,H

G2 C,Hy

G3 H,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l4 subset=l3 full
FULL SUBSET SEARCH INITIATED 07:56:46 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 11648 TO ITERATE

100.0% PROCESSED 11648 ITERATIONS 7035 ANSWERS
SEARCH TIME: 00.00.01

L5 7035 SEA SUB=L3 SSS FUL L4

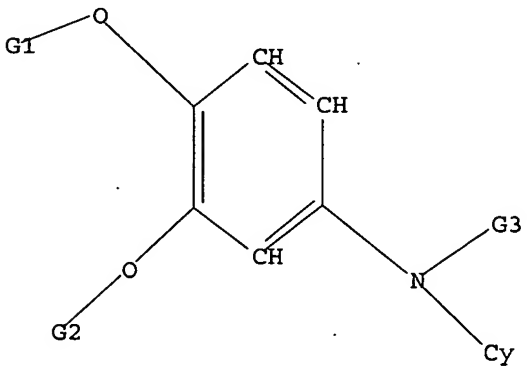
=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10662833.str

chain nodes :
7 8 10 11 12 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-7 2-8 5-10 7-12 8-11 10-13 10-14 14-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-7 2-8 5-10 7-12 8-11 10-13
exact bonds :
10-14 14-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR




G1 C,H

G2 C,Hy

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l6 subset-l3 full
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms. L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles. The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> s l6 subset=l3 full
FULL SUBSET SEARCH INITIATED 07:57:18 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 14295 TO ITERATE

100.0% PROCESSED 14295 ITERATIONS 1903 ANSWERS
SEARCH TIME: 00.00.01

L7 1903 SEA SUB=L3 SSS FUL L6

=> s l5 and caplus/lc
48988102 CAPLUS/LC
L8 3588 L5 AND CAPLUS/LC

=> s l7 and caplus/lc
48988102 CAPLUS/LC
L9 1564 L7 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 249.21 249.42

FILE 'CAPLUS' ENTERED AT 07:57:40 ON 23 NOV 2005
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FILE COVERS 1907 - 23 Nov 2005 VOL 143 ISS 22
FILE LAST UPDATED: 22 Nov 2005 (20051122/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l8
L10 1666 L8

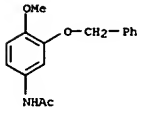
=> s l9
L11 490 L9

=> d ibib abs hitstr l10 1646-1666

L10 ANSWER 1646 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1931:37769 CAPLUS
DOCUMENT NUMBER: 25:37769
ORIGINAL REFERENCE NO.: 25:4249i,4250a-b
TITLE: Hydroxy-carbonyl compounds. I. Synthesis of scopoletin
AUTHOR(S): Head, Frank S. H.; Robertson, Alexander
SOURCE: Journal of the Chemical Society, Abstracts (1931) 1241-5
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The direct synthesis of scopoletin (I) from 2,4-(HO)2C6H3OMe (II) is reported. Reduction of 4,2-O2N(PhCH2O)C6H3OMe with Na2S gives 2-benzyloxy-p-anisidine, m. 100-1°; FeCl3 gives a pale green color, rapidly changing to purple and finally to blue; Ac derivative, m. 135°. Decomposition of the corresponding diazonium sulfate results in simultaneous debenzylation, and only a small amount of highly impure II is obtained. 5-Amino-2-methoxyphenyl p-toluenesulfonate, m. 151°, results from the NO2 derivative with SnCl2 and HCl-AcOH; FeCl3 gives a reddish brown color, changing to a wine-red on dilution with water; Ac derivative, m. 138-9°; the sulfate, diazotized and heated with CuSO4 in water, gives the 5-HO derivative, yellow, m. 124°; FeCl3 gives a pale green color; refluxing with 12% aqueous KOH for 4 hrs. gives II, m. 72°. II and HCH with Zn(CN)2 and HCl yield 2,4-dihydroxy-5-methoxybenzaldehyde, straw-colored, m. 152°; FeCl3 gives a dark green color; diacetate, m. 119°; the orientation follows its methylation to asarylaldehyde. Vigorous acetylation gives 7-acetoxy-6-methoxycoumarin, m. 177°; hydrolysis gives I, m. 204°.

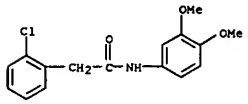
IT 861086-44-4, Acetanilide, 3-(benzyloxy)-4-methoxy-
(preparation of)
RN 861086-44-4 CAPLUS
CN Acetanilide, 3-(benzyloxy)-4-methoxy- (3CI) (CA INDEX NAME)




L10 ANSWER 1647 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1931:24410 CAPLUS
DOCUMENT NUMBER: 25:24410
ORIGINAL REFERENCE NO.: 25:2713h-i,2714a-b
TITLE: Mixed benzoins. III. The structure of some unsymmetrically substituted desoxybenzoins
AUTHOR(S): Buck, Johannes S.; Ide, Walter S.
SOURCE: Journal of the American Chemical Society (1931), 53, 1536-42
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C. A. 24, 5748. The Beckmann transformation has been used to determine the structures of certain unsym. substituted desoxybenzoins and to assign configurations to the oximes derived from them. Desoxy compds. of the mixed benzoins formed from the following pairs of aldehydes were investigated: o-ClC6H4CHO and veratric aldehyde (I), p-MeOC6H4CHO (II), p-Me2NC6H4CHO (III), piperonal (IV), BzH and p-MeOC6H4CHO (V), piperonal (VI) and p-Me2NC6H4CHO (VII). Reduction of I gives ClC6H4CH2COC6H3(OMe)2, whose anti-oxime m. 137° (64% yield) and yields on the Beckmann rearrangement 1-chlorophenylacet-3,4-dimethoxyanilide, m. 177°; this was also synthesized by heating the acid and amine at 180-200° for 2 h. II gives ClC6H4CH2COC6H4OMe on reduction, whose anti-oxime m. 97° (86% yield); rearrangement gives 1-chlorophenylacetanaside, m. 163°. Reduction of III gives 1-chlorobenzyl 4-dimethylaminophenyl ketone, m. 122°; anti-oxime, m. 173°; rearrangement gives 1-chlorophenylacet-4-dimethylaminoanilide, m. 165°, also synthesized by the Schotten-Baumann reaction. IV gives 1-chlorobenzyl 3,4-methylenedioxyphenyl ketone, m. 105°; anti-oxime, m. 120° (42% yield); rearrangement gives 1-chlorophenylacet-3,4-methylenedioxyanilide, buff, m. 175°. V gives 4-MeOC6H4CH2COPh; anti-oxime, m. 133° (23% yield); rearrangement gives 4-methoxyphenylacetanilide, m. 113°. syn-oxime, m. 94° (19% yield); rearrangement gives 4-MeOC6H4CH2NHBz, m. 96°. PhCH2COCl and PhOMe give benzyl 4-methoxyphenyl ketone, m. 73°; anti-oxime, m. 114° (94% yield); rearrangement gives phenylacetaniside, m. 121°. Reduction of VI gives 64% of benzyl 3,4-methylenedioxyphenyl ketone, m. 86°; anti-oxime, m. 103° (60% yield); rearrangement gives phenylacet-3,4-methylenedioxyanilide, buff, m. 146°. Reduction of VII gives PhCH2COC6H4NMe2; the anti-oxime gives on rearrangement phenylacet-4-dimethylaminoanilide, cream, m. 144°. The above results support the view that the transformation takes place between the vicinal groups of the oximes.

IT 848228-24-0, α-Toluanilide, 2-chloro-3',4'-dimethoxy-
(preparation of)
RN 848228-24-0 CAPLUS
CN Benzeneacetamide, 2-chloro-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



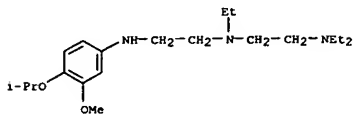

L10 ANSWER 1647 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L10 ANSWER 1648 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1931:19270 CAPLUS
DOCUMENT NUMBER: 25:19270
ORIGINAL REFERENCE NO.: 25:2154g-i
TITLE: N-Substituted derivatives of aromatic aminohydroxy and polyamino compounds
PATENT ASSIGNEE(S): I. G. Farbenindustrie AG
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 514747 | | 19270618 | DE | |

AB Addition to 499,826. The methods of 499,826 (C. A. 24, 4521) and 512,406 (C. A 25, 1036) for producing N-substituted amines of aromatic aminohydroxy and polyamino compds. are modified by replacing the aliphatic, heterocyclic and hydroaromatic linked N, by N in the form of alkylaminoalkyl compds. containing two or more N atoms capable of conversion into strongly basic polyamino compids. Thus, 1-amino-3-methoxy-4-isopropoxybenzene and the dihydrochloride of ethyldiethylaminoethylaminoet hyl chloride are fused together at 100-110° for 8 hrs. to give the base 3-MeO-4-iso-PrOC6H3NHCH2CH2NEtCH2CH2NEt2. Other examples are given.

IT 860586-44-3, Aniline, N-[β-[(β-diethylaminoethyl)ethylamino]ethyl]-4-isopropoxy-3-methoxy-
(preparation of)
RN 860586-44-3 CAPLUS
CN Aniline, N-[β-[(β-diethylaminoethyl)ethylamino]ethyl]-4-isopropoxy-3-methoxy- (3CI) (CA INDEX NAME)



L10 ANSWER 1649 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1930:31064 CAPLUS
DOCUMENT NUMBER: 24:31064
ORIGINAL REFERENCE NO.: 24:3327a-d
TITLE: Aminoalkylamino derivatives of aromatic aminohydroxy or polyamino compounds
INVENTOR(S): Schulemann, Werner; Kropp, Walter
PATENT ASSIGNEE(S): Winthrop Chemical Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

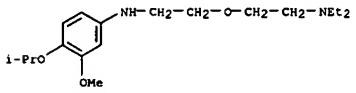
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 1757394 | | 19300506 | US | |

AB Compds. generally in the nature of viscous oils, forming readily soluble hydrochlorides and suitable for therapeutic purposes in combating blood parasites are obtained by heating aromatic aminohydroxy or polyamino compds. of the benzene or naphthalene series with a haloalkylaminodialkyl compound (suitably in the presence of an acid-binding agent and a solvent or diluent) or by causing aromatic aminohydroxy or polyamino compds. of the benzene or naphthalene series to be acted on by ethylene oxide or a halogenated alc. and converting the hydroxyalkylamino derivs. thus obtained into the dialkylaminoalkyl compds. Numerous details and examples are given, including the production of: 3-hydroxy-1-(diethylaminoethylamino)benzene, b1.5 171°; 3-hydroxy-1-[(diethylaminoethyl)ethylamino]benzene, m. 50° and b2 175°; 1-hydroxy-3-[(diethylaminoethyl)methylamino]benzene, b0.5 151°; 1-(diethylaminoethylamino)-3,4-diethoxybenzene, b2 185°; 1-amino-3-(diethylaminoethylamino) benzene b1 158°; 4-amino-[(diethylaminoethyl)methylamino]benzene, b3 161-3°; 1-amino-4-dimethylamino-2-methylthiophenol, b3 135°; 3-methoxy-4-isopropoxy -1-N-(α-piperidyl-β-hydroxy-γ-propyl)aminobenzene, prepared by heating 3-methoxy-4-isopropoxy-1-aminobenzene (m. 68-69°), with epichlorohydrin and piperidine, m. 92-4° and b5 225-30°; 3-methoxy-4-isopropoxy-1-(β-diethylaminoethylmercaptoethylamino)benzene, prepared by treating 3-methoxy-4-isopropoxy-1-aminobenzene with (C2H5)2NCH2CH2SCH2CH2Cl (hydrochloride), is a viscous oil, b5 225-7°; 3-methoxy-4-isopropoxy-1-(β-diethylaminoethoxyethylaminobenzene, b1.5 186-8°, is obtained by heating 3-methoxy-4-isopropoxy-1-aminobenzene with the hydrochloride of (C2H5)2NCH2CH2OCH2CH2Cl (b5 72-3°); 3-methoxy-4-isopropoxy-1-N-(β-dimethylamino-β'-ethoxyisopropylamino)benzene, b1 166-8°, obtained by treating 3-methoxy-4-isopropoxy-1-aminobenzene with the hydrochloride of (CH3)2NCH2CHClCH2OC2H6 (b15 69-70°); 3-methoxy-4-isopropoxy-1-(1'-dimethylamino-2'-cyclohexylamino)benzene, b2 173-5° formed by heating of 3-methoxy-4-isopropoxy-1-aminobenzene with 1-chloro-2-dimethylaminocyclohexane (b10 77-9°).

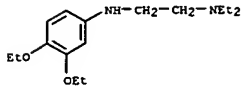
IT 857787-33-8, Ethylenediamine, N'-(3,4-diethoxyphenyl)-N,N-diethyl- 858444-50-5, 1-Piperidineethanol, α-[(4-isopropoxy-m-anisylamino)methyl]- 858445-44-0, 1,2-Propanediamine, 3-ethoxy-N2-(4-isopropoxy-m-anisyl)-N1,N1-dimethyl- 860586-40-9, Aniline, N-[β-(β-diethylaminoethyl)mercaptoethyl]-4-isopropoxy-3-methoxy- 860735-70-2, Aniline, N-[β-(β-diethylaminoethoxy)ethyl]-4-isopropoxy-3-methoxy-
(preparation of)

RN 857787-33-8 CAPLUS

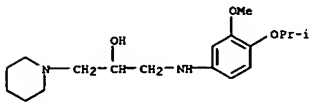
L10 ANSWER 1649 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



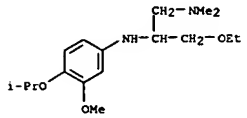
L10 ANSWER 1649 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Ethylenediamine, N'-(3,4-diethoxyphenyl)-N,N-diethyl- (3CI) (CA INDEX NAME)



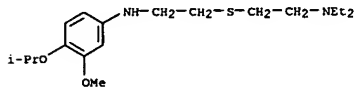
RN 858444-50-5 CAPLUS
CN 1-Piperidineethanol, α-[[(4-isopropoxy-m-anisylamino)methyl]- (3CI) (CA INDEX NAME)



RN 858445-44-0 CAPLUS
CN 1,2-Propanediamine, 3-ethoxy-N2-(4-isopropoxy-m-anisyl)-N1,N1-dimethyl- (3CI) (CA INDEX NAME)



RN 860586-40-9 CAPLUS
CN Aniline, N-[β-(β-diethylaminoethyl)mercaptoethyl]-4-isopropoxy-3-methoxy- (3CI) (CA INDEX NAME)



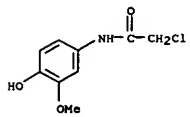
RN 860735-70-2 CAPLUS
CN Aniline, N-[β-(β-diethylaminoethoxy)ethyl]-4-isopropoxy-3-methoxy- (3CI) (CA INDEX NAME)

L10 ANSWER 1650 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1930:28333 CAPLUS
DOCUMENT NUMBER: 24:28333
ORIGINAL REFERENCE NO.: 24:2997b-e
TITLE: Aromatic amides of N-arylglycinearsonic acids
AUTHOR(S): Raiziss, Geo. W.; Clemence, Le Roy W.
SOURCE: Journal of the American Chemical Society (1930), 52, 2019-23
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The following ClCH2CO derivs. were prepared by slowly adding ClCH2COCl to a cold aqueous solution or suspension of the NH2 compound or its HCl salt. 4-Chloroacetylaminobenzoic acid, m. 248°; 2-chloroacetyl-4-nitrotoluidine, m. 151°; 5-chloroacetylaminosalicylic acid, m. 242-4°; chloroacetylaminoantipyrine, m. 187°; chloroacetylacriflavine, m. 215-20° (decomposition); 4-chloroacetylaminoguaiacol, m. 117°. The following amides were prepared from the arsanilic acid in N NaOH and the ClCH2CO derivative; the time of refluxing is 5-6 hrs. N-[Phenyl-4-arsonic acid]-glycyl-4'-aminobenzoic acid, darkens 230°, m. 260-5° (decomposition); N-[phenyl-2-methyl-4-arsonic acid]-glycine-2'-toluide, m. 246° (decomposition); N-[phenyl-4-arsenic acid]-glycine-4'-nitro-o'-toluide, m. 115-20° (decomposition); N-[phenyl-4-arsonic acid]-glycylaminoantipyrine, m. 270° (decomposition); N-[phenyl-4-arsonic acid]-glycyl-4'-aminoguaiacol, m. 215-7°. The following were prepared with the omission of the alkali; the yields in both cases range from 25-40% based on the ClCH2CO compound N-[Phenyl-2-methyl-4-arsonic acid]-glycyl-4'-aminobenzoic acid, decomps. on heating; N-[phenyl-2-methyl-4-arsonic acid]-glycineanilide, m. above 275°; N-[phenyl-2-methyl-4-arsonic acid]-glycine-4'-nitro-o'-toluide, m. 285-6° (decomposition); p'-aminoacetanilide; 5'-aminosalicylic acid, m. 240-5° (decomposition); β'-naphthylamide, m. 260-2° (decomposition); α'-naphthylamide, m. 254-5° (decomposition); piperidide; benzylamide, m. above 275°; anthranilic acid; N-[phenyl-4-arsonic acid]-glycyl-5'-aminosalicylic acid, m. 230-5° (decomposition); acriflavine, does not m. 300°. While some of the prepns. were of low toxicity, their therapeutic effect was also low.

IT 17640-79-8, m-Acetaniside, α-chloro-4-hydroxy-
(preparation of)

RN 17640-79-8 CAPLUS
CN Acetamide, 2-chloro-N-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



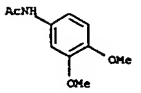
L10 ANSWER 1651 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1929:45070 CAPLUS
DOCUMENT NUMBER: 23:45070
ORIGINAL REFERENCE NO.: 23:5174a-e
TITLE: Nitroveratroles
AUTHOR(S): Vermeulen, H.
SOURCE: Recueil des Travaux Chimiques des Pays-Bas et de la Belgique (1929), 48, 969-72
CODEN: RTCPB4; ISSN: 0370-7539
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The nitration of 4-nitroveratrole (5 g.) with 17 cc. HNO3 (d. 1.51) gives 4,5-dinitroveratrole, m. 130-1°, in theoretical yield provided the nitration be carried out at 0°; at room temperature a small quantity of 3,4,5-trinitroveratrole is formed at the same time. On dissolving 4-nitroveratrole in HNO3 (d. 1.43) a compound, m. 102°, is obtained, which, according to Pschorr and Silberbach (Ber. 37, 2151(1904)); cf. Rec. trav. chim. 25, 25 (1906)) consists of 4-nitroveratrole. On nitration with a mixture of HNO3 (d. 1.5) and concentrated H2SO4 this compound is converted into 3,4,5-trinitroveratrole, m. 145°, and as its N content lies between the N content of a mono- and a dinitroveratrole, the substance m. 102° probably consists of a mixture or compound of 4-nitro- and 4,5-dinitroveratrole. Moreover, a mixture of 4 parts 4-nitroveratrole and 5 parts 4,5-dinitroveratrole gives on crystallization from alc. the same mol. compound, m. 102°. Thus it appears that the 4-nitroveratrole of P. and S., m. 102°, consists of a mixture of the 4-nitro- and the 4,5-dinitro compds. The reduction of 4-nitroveratrole with SnCl2 gives 4-aminovertrole 97-8° acetylation of this compound yielding 4-acetamidoveratrole m. 130° (Fargher, C. A. 14, 2917 gives 136°). The nitration of 4-acetamidoveratrole in AcOH with HNO3 (d. 1.40) gives 4-acetamido-5-nitroveratrole m. 197°, the structure being proved by the formation of 4-nitroveratrole on eliminating the HNAc group. The same compound may be obtained from 4,5-dinitroveratrole by reduction with SnCl2 to 4-amino-5-nitroveratrole, m. 169-70°, followed by acetylation. On nitrating 3-nitroveratrole with HNO3 (d. 1.5) a mixture of di- and trinitroveratroles is obtained, which may be separated by crystallization from AcOEt into 3,4,5-trinitroveratrole, m. 145°, a dinitroveratrole, m. 101°, and 3,4-dinitroveratrole, m. 90° (cf. Jones and Robinson, C. A. 12, 135; Pollecoff and R., C. A. 12, 2314; Oxford, C. A. 21, 376). On reduction with SnCl2, 3-nitroveratrole gives an oily amino compound which on acetylation passes into 3-acetamidoveratrole, m. 84°. The nitration of the latter compound with HNO3 (d. 1.45) gives 3-acetamido-5-nitroveratrole, m. 173°, and a small amount of 3-acetamido-4,5-dinitroveratrole, m. 240°. Reduction of 3,5-dinitroveratrole with SnCl2 yields 3-amino-5-nitroveratrole, m. 107°, which on acetylation yields the 3-acetamido-5-nitro compound described above.

IT 881-70-9, Acetanilide, 3,4-dimethoxy-
(preparation of)

RN 881-70-9 CAPLUS
CN Acetamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1651 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

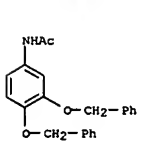



L10 ANSWER 1652 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1929:31229 CAPLUS
DOCUMENT NUMBER: 23:31229
ORIGINAL REFERENCE NO.: 23:3677b-e
TITLE: 3,4-Methylenedioxyphenylarsonic acid
AUTHOR(S): Balaban, Isidore E.
SOURCE: Journal of the Chemical Society, Abstracts (1929) 1088-93
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 23:31229

AB These derivs. were prepared in the hope of obtaining 3,4-(HO)2C5H3AsO3H2 by a convenient manner. 3,4-CH2(O)2C6H3NH2, through the diazo reaction, gives 41.7% of 3,4-methylenedioxyphenylarsonic acid (I), crystallizing with 0.75 mol. H2O, decamps. 270°; Ca and Ba salts, crystalline; Mg salt, amorphous. Attempts to open the CH2O2 ring by SOCl2 H2SO4 and a phenol or AlCl3 in PhCl failed. Reduction of I gives 65% of arsenopyrocatechol methylene ether, pale yellow, amorphous powder. Nitration of I at 0° gives 59.5% of the 6-NO3 derivative (II), bright yellow, m. 231° (decomposition), (also obtained from 5,3,4-O2N(CH2O2)C6H2NH2 in 36.9% yield); heating with NaOH gives a blood-red color; reduction of II gives the 6-NH2 derivative (III), needles, soluble in 80% HCO2H, diazotizes normally and on reduction gives 6,6'-diaminoarsenopyrocatechol methylene ether, bright yellow, amorphous. Ac derivative of III, prisms. 4-Nitro-1,2-di-aceloxybenzene, m. 98°; 4-NH2 derivative, m. 114° (41.8% yield); while this diazotizes normally, no arsonic acid could be isolated, 4-Nitropyrocatechol dibenzyl ether, m. 97° (48.7% yield); 4-NH2 derivative, m. 92° (50% yield); Ac derivative, m. 150°; again no arsonic acid could be isolated through the diazo reaction. Toxicity data are given.

IT 18002-45-4, Acetanilide, 3,4-bis(benzyloxy)-
(preparation of)

RN 18002-45-4 CAPLUS
CN Acetanilide, 3',4'-bis(benzyloxy)- (8CI) (CA INDEX NAME)




L10 ANSWER 1653 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1929:29312 CAPLUS
DOCUMENT NUMBER: 23:29312
ORIGINAL REFERENCE NO.: 23:3467e-i,3468a-f
TITLE: Thianthrene. III
AUTHOR(S): Fries, K.; Koch, H.; Stukenbrock, H.
SOURCE: Ann. (1929), 468, 162-201
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C. A. 9, 785. Reduction of 18 g. 4-nitroveratrole by 68 g. SnCl2 in concentrated HCl gives 80% of the 4-NH2 derivative, m. 85°; Ac derivative (I), m. 135°. I (19.5 g.) in 200 cc. hot PhMe, treated with 11 g. P2S5 and 11 g. K2S and boiled 1 hr., gives 55% of 4,5-dimethoxythioacetanilide, yellow, m. 114°, which gives with K3Fe(CN)6 in 2 N NaOH 40% of 2-methyl-5,6-dimethoxy[4',5'-benzothiazole], m. 75°, b14 184°; heating with KOH and abs EtOH 30 hrs. at 100° (sealed tube) gives the salt of 4,5-dimethoxy-2-aminophenyl mercaptan, which was not purified but treated with NaNO2 giving 35-40% of 5,6-dimethoxy[phenylenediazosulfide], m. 138°; this decomps. at 160-90°, splitting off N and giving 2,3,6,7-tetramethoxythianthrene (II), m. 176° (the yield is always small). Reduction of veratrolesulfonylchloride with Zn and HCl gives 70-80% of 4,5-dimethoxyphenyl mercaptan (III), b14 138°. H2O2 and III in EtOH give di[4,5-dimethoxyphenyl] disulfide, yellow, m. 89°. Oxidation of III with concentrated H2SO4 gives 30% II. HNO3 (d. 1.2) and II in AcOH give the monosulfoxide. (IV). m. 196°; concentrated H2SO4 gives a blue color, changing to green on heating. HNO3 (d. 1.4) and II in AcOH give the disulfoxide (V), m. 259°, soluble in concentrated H2SO4 with a blue color and reduced by HBr-AcOH in the presence of NaHSO3 to II. The hot solution of II in AcOH, diluted with H2O so that all the II remains in solution and treated with Cl for 2 mins., gives the sulfone sulfoxide (VI), m. 275°; concentrated H2SO4 gives a deep blue solution, soon changing to red; H2O ppts. a bright red precipitate, from which CCl4 exts. the monosulfone, m. 253°; reduction with NaHSO3 in AcOH gives the tri-Me ether of the tetrahydroxythianthrene sulfone sulfoxide, m. 270°. VI also results upon oxidation of II with H2O2, and by the oxidation of the monosulfone with concentrated HNO3. Boiling II in AcOH with H2O2 1 hr. gives the disulfone (VII), in 296°, this is not reduced by HBr in AcOH. Heating 4 g. VII with 20 g. KOH and 20 cc. absolute EtOH 3 hrs. gives 4,5-dimethoxy-2-ethoxyphenylsulfinic acid, sinters 75°, m. 118-20° (decomposed), which is reduced by HBr-AcOH to 3,4,3',4'-tetramethoxy-5,5'-diethoxy-1,1'-diphenyl disulfide, m. 84°. The following meriquinoid dithionium salts of II were prepared: Sulfate, blue needles, m. 230-2° (decomposition), from 1 part II and 50 volume-parts concentrated H2SO4 on standing 3 weeks; the blue H2SO4 or green HCO2H solution is stable on heating; reduction with SnCl2 or HI gives II; hydrolysis by H2O is not complete in 2 days; hydrolysis by 50% AcOH gives a mixture of II and sulfoxide. Perchlorate, green, decomps. 245°; chloride, greenish blue, m. 164-6° (decomposition); perbromide, green, m. 220-2° (decomposition); this also results from IV or V and HBr-AcOH. Heating II with HI and AcOH gives about 60% of 9,8,6,7-tetra-hydroxythianthrene (VIII), m. 273°; the concentrated H2SO4 solution is green, changing to blue; in the air VIII slowly turns blue; Ac derivative, m.

L10 ANSWER 1653 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

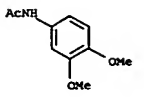
224°. H2O2 and VIII in AcOH give the compd. C12H2O4H2 (isomerized sulfoxide), deep blue, decomps. 200°; the soln. in concd. H2SO4 is greenish blue, in alkalies a dirty gray; reduction with SnCl2 in AcOH gives VIII. Acetylation of this compd., or oxidation of the Ac deriv. of VIII with dil. HNO3 gives tetraacetaxythianthrene sulfoxide, m. 213°, sapond. to the deep blue compd. The monosulfone of VIII results from the tetra-Me deriv. and HI, carbonizes above 300°; tetra-Ac deriv., m. 203°. VII and HI give the disulfone, m. above 300°; m. 245°; Br in AcOH gives the 1,4,5-tri-Br deriv., m. above 340°, while excess of Br gives the 1,4,5,8-tetra-Br deriv., pale rose, m. above 350°; FeCl3 gives a blue color; the tetra-Ac deriv. decomps. at 300°. The following meriquinoid dithionium salts of VIII were prepd.: Sulfate, blue, unchanged at 330°; concd. HCl and HCO2H give deep blue solns., concd. H2SO4, a greenish blue color; HNO3 in AcOH gives a deep red soln. which remains on diln. with H2O; hydrolysis with H2O is incomplete after 2 weeks. Perchlorate, green, which explodes on heating; bromide, blue, m. 250° (decompn.); chloride, blue, decomps. 220°. II, moistened with AcOH, treated with HNO3 (d. 1.52) and heated until the soln. is dark red, gives 2,3,6,7-tetramethoxy.(?)-dinitrodiphenylenesulfone, pale green, m. 238°; this also results from VI or the monosulfone and HNO3 on standing 5 mins. 4-Bromo-5-nitroveratrole and Na2S in boiling EtOH give 4,5,4',5'-tetramethoxy-2,2'-dinitrodiphenyl 1,1'-sulfide, yellow, m. 209° (60% yield); reduction with SnCl2 and HCl gives the 2,2'-di-NH2 deriv., m. 110°. 4-Nitro-4'-methyldiphenyl sulfide-2-sulfinic acid (IX), light yellow, m. 123°; heating IX with HBr-AcOH a short time gives the compd. [p-MeC6H4SC4H3(NO2)S-]2, yellow, m. 154° (60% yield); with concd. H2SO4 IX gives 3-nitro-6-methylthianthrene, golden yellow, m. 157°; concd. H2SO4 gives a deep red-violet soln. Reduction gives the 3-NH2 deriv., m. 130°, sol. in concd. H2SO4 with a red-violet color (Ac deriv., m. 180°, sol. in concd. H2SO4 with a dark violet color; allowed to stand in concd. H2SO4 48 hrs., there results the 2-sulfonic acid. carbonizes above 270° (Ba salt)). The Ac deriv. and concd. H2SO4 give the half quinoid sulfate, blue. 3-Nitro-6-aminothianthrene, dark red, m. 198°; Ac deriv., yellow, m. 205°; 3,6-Diaminothianthrene, m. 192°; FeCl3 gives the blue compd., C12H1N2S2Cl.FeCl3 4-Nitro-3',4'-dimethoxydiphenyl sulfide-6-sulfinic acid, yellow, m. 131°. HBr-AcOH gives the compd. C15HZ4O3N2S4, red, m. 196°. Concd. H2SO4 gives 3-nitro-6,7-dimethoxythianthrene, yellow-red, m. 194°; 3-NH2 deriv., m. 149° (Ac deriv., m. 180°). 2,2'-Diamino-4,4'-dinitrodiphenyl sulfide, red, m. 211°; di-Ac deriv., light yellow, m. 245°. 4-Nitro-2-aminophenyl mercaptan, orange-yellow, m. 108°; 2,2'-diamino-4,4'-dinitrodiphenyl disulfide, citron-yellow, m. 178°; di-Ac deriv., m. 263°; di-Bz deriv., citron-yellow, m. 225°. 2-Phenyl-5-nitrobenzothiazole, pale yellow, m. 193°.

IT 881-70-9, Acetanilide, 4,5-dimethoxy- 107963-01-9, Acetanilide, 4,5-dimethoxythio-
(preparation of)

RN 881-70-9 CAPLUS

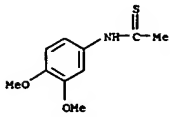
CN Acetamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1653 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)




RN 107963-01-9 CAPLUS

CN Ethanethioamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)




L10 ANSWER 1654 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1929:29311 CAPLUS
DOCUMENT NUMBER: 23:29311
ORIGINAL REFERENCE NO.: 23:3467a-e
TITLE: Retene and some of its derivatives
AUTHOR(S): Cheung, Li Man
SOURCE: Bulletin de l'Institut du Pin (1929) 108-10
CODEN: BPINAR; ISSN: 0366-2527
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

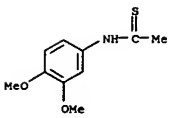
AB The origin and properties of retene are briefly reviewed. Below 160° rosin combines with S to give a reddish resin with sulfurous odor, the amount of S entering into combination depending on the temperature, time of heating and proportion of S used; above 160° the rosin-S combination is decomposed with evolution of H2S and MeSH; at 240-50° the CO2H of the rosin is split off, and the action of S can be represented by the equation C20H30O2 + 5S = C15H16 + 4H2S + MeSH + CO2. On the assumption that rosin oil consists of octahydroretene, the action of S can be represented by the equation C18H25 + 4S = C16H18 + 4H2S. By the following method 41% of the theoretical yield of retene was obtained from rosin oil: to 800 g. of light-colored rosin oil at 200° gradually add in small successive portions 370 g. S with stirring; toward the end of the reaction (about 5 hrs.) raise the temperature to 250°; when evolution of gas has ceased, add 160 g. of Fe filings and distil under partial vacuum (60-80 mm.), most of the yellowish distillate (which consists of a mixture of rosin oil and crystallized retene) passing at 275-95°; extract the distillate with hot 95% EtOH; the residue from the extracted (280 g. of viscous oil) is treated with 10% of its weight of S, distilled and extracted with EtOH as above. The optimum proportion of S is 3-4 atoms per mol. of abietic acid in the case of rosin or of octahydroretene (in the case of rosin oil), S derivs. of retene and their decomposition products being obtained when the amount of S taken corresponds to that calculated from the equations given above. Stable S derivs. of retene are formed at the distillation temperature, and the best results were given by Fe filings for decomposing them, the yield of retene obtained with S alone or with CaO as desulfurizing agent being much lower than with Fe filings. Attempts to extract the retene from the reaction products without vacuum distillation were unsuccessful. The purified retene, m. 98-9°, was identified with the natural product because it does not lower the m. p. of the latter, the picrate m. 126-7° and on treating with CrO3 in AcOH it gives a quinone, m. 126-7°. Nitration of retenequinone in AcOH and AcOH gave golden yellow crystals of dinitroretenequinone, m. 229-30°. Condensation of retenequinone with p-O2NC5H4NHNH2 gave madderred prismatic crystals of retenequinone p-nitrophenylhydrazone, m. 219°, very sparingly soluble in AcOH and EtOH. Nitration of retene gave ill-defined, resinous nitro derivs.

IT 107963-01-9, Acetanilide, 4,5-dimethoxythio-
(preparation of)

RN 107963-01-9 CAPLUS

CN Ethanethioamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1654 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

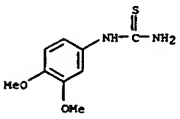


L10 ANSWER 1655 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1927:13431 CAPLUS
DOCUMENT NUMBER: 21:13431
ORIGINAL REFERENCE NO.: 21:1637e-i,1638a
TITLE: Inhibitory effect of substituents in chemical reactions. I. The reactivity of the amino group in substituted arylamines
AUTHOR(S): Dyson, G. M.; George, H. J.; Hunter, R. F.
SOURCE: Journal of the Chemical Society, Abstracts (1927) 436-45
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

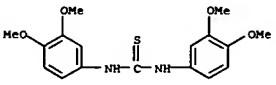
AB The following thiocarbimides were prepared from the amine and CSCl2in H2O-CHCl3; with EtOH-NH3 these give thiocarbamides; the thiocarbimides and the amines in EtOH give the s-diarylthiocarbamides. Thiocarbamides; m-xylyl-2, b760 247°; o-xylyl-3-, b760 262-3°; o-anisyl, pale yellow, b760 266-7°; m-anisyl, b760 267°; 2,5-dimethoxphenyl, m. 32°; 3,4-dimethoxyphenyl, oily; o-ethoxyphenyl b750, 273-5°; m-ethoxyphenyl, b758 278°; o-carbethoxyphenyl, oil of, nauseating odor, b. 150-1°; m-carbethoxyphenyl, pale yellow, b10 152°; p-carbethoxyphenyl, m. 58°; p-dimethyl-aminophenyl; pale yellow, m. 67°; p-acelylphenyl, m. 76°; m-cyanophenyl, oil, decomps. 250°; p-canophenyl, m. 45°; 2,5-dicyanophenyl, decomps. without melting; o-bromo-phenyl. b270 257°; 2,5-dibromophenyl, b. 240°, m. 17-8°; o-iodophenyl, m. 39°; vim-iodo. phenyl, m. 46°; 3,5-dibromo-o-lolyl, b. 280°, m. about 25°; 3-nitro-o-tolyl, lemon-yellow, m. 69°; 2-nitro-4-ethoxyphenyl, orange, m. 78°. Thiocarbamides; m-xylyl-2-, m. 190°; o-xylyl-3, m. 182°; o-anisyl, m. 148-9°; m-anisyl. m. 160°; 2,5-dimethoxyphenyl, m. 161°; 3,4-dimethoxyphenyl, m. 234°; o-ethoxyphenyl, m. 126°; m-ethoxyphenyl, m. 167° o-carbethoxyphenyl, m. 300-5°; in-carbethoxyphenyl, m. 294-5° p-carbethoxyphenyl, m. 159°; p-dimethylaminophenyl, pale yellow, m. 190°; p-acetylphenyl, yellow, m. 215° (decomposition); m-cyanophenyl, m. 137°: p-cyanaphenyl, m. 169°; 2,5-dicyanophenyl. m. 228°; o-bromophenyl, m. 125°; 2,5-dibromophenyl, m. 130°; o-iodophenyl, m. 157°; m-iodophenyl, m. 160° (decomposition); 2-nitro-4-elhoxyphenyl, orange-brown, m. 177°. s-Diarylthiocarbamides: m. 208°; o-anisyl, m. 134°; m-anisyl, m. 126°; 2,5-dimethoxyphenyl, m. 127°; 3,4-dimethoxyphenyl, m. 140°; o-elboxyphenyl, m. 125°; m-ethoxyphenyl, m. 115°; o-carbethoxyphenyl, decomps. without melting; m-carbeth-oxyphenyl, decomps. without melting; p-carbethoxyphenyl, m. 165°; p-acetylphenyl, m. 198°; m-cyanophenyl, cream-colored, m. 144°; p-cyanophenyl, m. 171°; o-bromophenyl, m. 157°; 2,5-dibromophenyl, m. 154°; o-iodophenyl, m. 164° (decomposition). s-Bis-3,5-di-nitrophenylthiocarbamide, yellow, m. 160°; s-di-o-carbethoxyphenylthiocarbamide, m. 300° (decomposition); s-di-p-acetaminophenylthiocarbamide, m. 220° (deconifin.). The amines containing the CN, Br, I and NO2 groups showed retarded thiocarbimide formation, while 2,6,4-Cl2(NO2)C6H2NH2, 2,6,4-Br2(NO2)C6H2NO2. 2,6,4-I2(NO2)C6H2NH2, 4,6,2-Br2(NO2)C6H2NH2 and 2,4,6,3-Br3(NO2)C6HNH2 did not react with CSCl2 under these conditions.

IT **65069-52-5**, Urea, [3,4-dimethoxyphenyl]-thio- **88101-27-3**, Carbanilide, 3,3',4,4'-tetramethoxythio-

L10 ANSWER 1655 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of)
RN 65069-52-5 CAPLUS
CN Thiourea, (3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 88101-27-3 CAPLUS
CN Thiourea, N,N'-bis(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



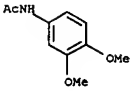
L10 ANSWER 1656 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1927:13423 CAPLUS
DOCUMENT NUMBER: 21:13423
ORIGINAL REFERENCE NO.: 21:1635e-i
TITLE: Condensation of substituted anilines with cyclopentanone cyanohydrin. Derivatives of 1-anilinocyclopentane-1-carboxylic acid
AUTHOR(S): Oakeshott, S. H.; Plant, S. G. P.
SOURCE: Journal of the Chemical Society, Abstracts (1927) 484-93
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB o-MeC6H4NH2 and (CH2)4CO in AcOH, treated with aqueous KCN, give 1-o-toluidino-1-cyanocyclopentane, m. 68°; in concentrated H2SO4 for 2 days this gives the corresponding carboxyamide, m. 122°, with excess HCl this gives 1-o-toluidino-cyclopentane-1-carboxylic acid m. 128°; this is unchanged by heating with KOH at 300°, but a mixture of KOH and EtONa gives 1-methylcarbazole, m. 117°. The latter was also synthesized from o-MeC6H4NHNH2 and (CH2)3CO, the cyclohexanone a-tolylhydrazone giving with dilute HSO4 8-methyltetrahydrocarbazole, m. 98° which was boiled with S and quinoline for 20 min. 1-m.Toluidino-1-cyanocyclopentane, m. 53°; the carboxyamide, m. 145° and the carboxylic acid, m. 123-1°. 1-o-Anisidino-1-cyanocyclopentane: is a brown sirup; in concentrated H2SO4, after 2 days, it gives 1-o.anisidino- cyclopentane-1-carboxyamide-5'-sulfonic acid, isolated as the Na salt. 1-m-Anisidino-1-cyanocyclopentane, m. 132°; no definite product was isolated from the H2SO4 reaction product. 1-p-Anisidinocyclopentane-1-carboxyamide, m. 81-2°; the corresponding acid, m. 160°. 1-Veralrylamino-1-cyanocyclopentane, m. 98°; H2SO4 gives no definite reaction product. 3,5-Dimethoxyaniline, b20 178°; Ac derivative, m. 157°. 1-m,m'-Di-methoxyanilino-1-cyanacyclopcnlane, m. 150°. 1-o-Chloroanilino-1-cyanocyclopentane, yellow oil; m-Cl derivative, m. 47°; p-Cl derivative, m. 73°. 1-o-Chloroanilinocyclopentane-1-carboxyamide, m. 113°; m-derivative, m. 118°; p-derivative, m. 132°; the corresponding acids, m. 145°, 112° and 144°, resp. 1-o-Bromoanilinocyanocyclopcntane, yellowish brown oil; m-derivative, oily; p-derivative, m. 69°; the corresponding carboxyamides, m. 128°, 126° and 145°, resp., and the acids, m. 140°. 130° and 130°. 1-m-Nitroanilino-1-cyanocyclo- pentane, yellow, in 95°; p-derivative, yellow, m. 165°; the corresponding carboxyamides, orange and yellow, m. 144° and 231°; the acids, yellow, m. 137° and 187°. 1-o-Carboxyanilino-1-cyanocyclopenlane m. 122°; m-derivative, m. 153°; p-derivative, m. 189-90°; the corresponding carboxyamides, m. 225°, 215° and 272°, resp.; the acids, m. 161°, 215° and 225°, resp.

IT **881-70-9**, Acetanilide, 3,4-dimethoxy-
(preparation of)

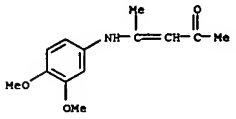
RN 881-70-9 CAPLUS
CN Acetamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1656 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



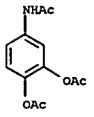
L10 ANSWER 1657 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1925:18066 CAPLUS
DOCUMENT NUMBER: 19:18066
ORIGINAL REFERENCE NO.: 19:2344a-i
TITLE: Strychnine and brucine. III. Position of the methoxy groups in brucine
AUTHOR(S): Lions, Francis; Perkin, Wm. H., Jr.; Robinson, Robert
SOURCE: Journal of the Chemical Society, Transactions (1925), 127, 1158-69
CODEN: JCHTA3; ISSN: 0368-1645
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 19:18066
GI For diagram(s), see printed CA Issue.
AB cf. C. A. 19, 293. Because the brucine-HNO3 reaction is so characteristic, a study has been made of the behavior with HNO3 of certain synthetic compds. containing MeO groups oriented so as to be typical of the various possibilities which must be considered in the case of brucine. The results indicate that brucine contains 2MeO groups in the o-position to each other in a C6H6 ring, and the quinones from brucine and its derivs. are o-quinones. If brucine contains a C6H6 ring bearing only 4 substituents, then these are arranged as in I; if the ring bears more than 4 substituents, such arrangements as II are possible. An alternative statement is that there can be no unsubstituted position in the C6H6 nucleus p to either of the MeO groups. β-2,5-Dimethoxy-anilinopropenyl Me ketone, m. 55°, readily hydrolyzed by dilute acids, from 2,5-(MeO)2C6H3NH2 and CH2Ac2. Concentrated H2SO4 yields 5,8-dimethoxy-2,4-dimethylquinoline, m. 107°; HCl salt, yellow, m. 235-7°; picrate, yellow, m. 190°. Reduction with Na and absolute EtOH gives the 1,2,3,4-tetrahydro derivative, b10 170-2°; its HCl salt gives no color with cold FeCl3 but on warming a KMnO4-color develops, fading to reddish brown. Concentrated HNO3 or dilute HNO3 containing a trace of NaNO2 gives a dark blood-red color. N-Ac derivative, m. 85-6° (about 60% yield); concentrated H2SO4 gives a yellowish green solution changing to green and then to brown; on heating the color changes are through brown, reddish violet, red to orange. 6-Nitro-1-acetyl-5,8-dimethoxy-2,4-dimethyl-1,2,3,4-tetrahydroquinoline, m. 127°; reduction followed by acetylation gives the 6-acetylamino derivative, m. 171°. β-6-Bromo-3,4-dimethoxyanilinopropenyl Me ketone, m. 78-9°, with concentrated H2SO4 yields 8-bromo-5,6-dimethoxy-2,4-dimethylquinoline, pale yellow, m. 74-5° (70% yield); HCl salt, yellow, m. 136-8°; reduction gives the 1,2,3,4-tetrahydro derivative, b10(166-7°, whose HCl salt gives a pink, then wine-red color with FeCl3. NaNO2 in dilute HNO3 ppts. an oily yellow-orange nitrosoamine. Ac derivative, oily; with HNO3 in H2SO4 it gives an intense reddish brown color; HNO3 in AcOH gives a yellow color. β-3,4-Dimethoxy-anilinopropenyl Me ketone m. 79°. 6,7-Dimethoxy-2,4-dimethylquinoline m. 81.5-2°; HCl salt m. 286° (decomposition); picrate, yellow, m. 239°. The 1,2,3,4-tetrahydro derivative m. 73-4°, b12 186-9°; picrate, Au-yellow, m. 145°. The HCl salt gives a pure olive-green color

L10 ANSWER 1657 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
with FeCl3. 1-Ac deriv. m. 118°; a trace of HNO3 in H2SO4 gives a bright orange-red color, identical with that from brucine, though the color fades a little more rapidly. HNO3, in AcOH gives a color reaction similar to that of brucine, though the brucine reaction is exhibited at a much lower concn. of HNO3. β-2,3-Dimethoxyanilino-propenyl Me ketone, pale yellow oil, darkening on exposure to the air to orange-red. 7,8-Dimethoxy-2,4-dimethylquinoline b10 189-91°; HCl salt, pale yellow, m. 145°. 1,2,3,4-Tetrahydro deriv. b12 168-70°; N-Ac deriv. m. 98-9°; the AcOH soln. gives no color with a little HNO3 and only a pale yellow with more HNO3. 5-Nitro-4-allyl-veratrole, lemon, m. 44° reduction and acetylation give the 5-acetylamino deriv., m. 126-7°; in H2SO4 or HNO3 it gives the characteristic brucine reaction with HNO3. 2-Nitroveratraldehyde and α-hydrindone with HCl give 2'-nitro-3',4'-dimethoxy-2-benzylidene-1-hydrindone, yellow, m. 156-76°, probably a mixt. of stereoisomers; the H2SO4 soln. is orange-red. Attempts to obtain a quinoline deriv. by reduction were fruitless. The corresponding 6'-nitro deriv. is brownish yellow and m. 211°; the H2SO4 soln. is bright red. Reduction gives dimethoxyindenoquinoline, m. 188-90°. whose HCl salt, m. 251-2°, gives an intensely bluish purple fluorescent soln. in EtOH.
IT 861350-18-7, Δ3-2-Pentenone, 4-(3,4-dimethoxyanilino)-
(preparation of)
RN 861350-18-7 CAPLUS
CN Δ3-2-Pentenone, 4-(3,4-dimethoxyanilino)- (2CI) (CA INDEX NAME)




L10 ANSWER 1658 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1924:2625 CAPLUS
DOCUMENT NUMBER: 18:2625
ORIGINAL REFERENCE NO.: 18:385f-i,386a
TITLE: 2-Amino-4-nitroresorcinol and 2-nitro-4-aminopyrocatechol
AUTHOR(S): Heller, Gustav; Lindner, Paul; Georgi, Hans
SOURCE: Ber. (1923), 56B, 1868-72
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB 2-Acetamido-4-nitroresorcinol (8 g. from 10 g. 2,4-dinitroresorcinol (I) in 30 g. AcOH treated at 40-60° in the course of 2 hrs. with 35 g. SnCl2 in 70 g. concentrated HCl, heated 10 min. longer at 70°, nearly neutralized with saturated NaOAc and treated with an excess of Ac2O), pale yellow, m. 213°, soluble in Na2CO3 with yellow, in NaOH with orange-red color, converted by boiling 0.5 hr. with 15 parts concentrated HCl into the HCl salt, turns brown 225°, of 2-amino-4-nitroresorcinol (II), red needles with blue surface luster, m. 182°, identical with the product obtained by Benedict and Hubl (Monatsh. 2, 324(1881)) from I with (NH4)2S; yield, 6.5 g. from 10 g. I. On diazotization in cold H2O4, 5 g. II consumes 2 mols. NaNO2 and yields 6.5 g. of the yellow 2-nitrosamino-4-nitro-3-hydroxy-1,6-quinone oxime, HON:C.CO.C(NHNO):C(OH).C(NO2):CH, which explodes on heating, decomps. with gas evolution in boiling H2O, gives in alc. with FeCl3 a dark green color which can be shaken out with Et2O, dissolves in NaOAc with a dark green color changing. after some hrs. to red-brown; it dissolves with difficulty in concentrated HCl and the solution does not couple with alkaline β-naphthol; with AcCl it yields after some hrs. orange needles. 2-Acetamidoresorcinol diacetate (4.9 g. from 5 g. (HO)2C6H3NH2.HCl refluxed 1 hr. with 5 g. NaOAc and 30 g. Ac2O), m. 104°; 2 g. in 8 g. cold AcOH gives with 8 g. HNO3 (d. 1.3) after 4 hrs. 0.4 g. of the 4-nitro derivative, C12H12O9N2, m. 123°, which with boiling concentrated HCl gives II.HCl. 4-Amino-6-nitropyrocatechol (III) is obtained from the 4,6-(NO2)2 compound in better yield by partial reduction with SnCl2 than with (NH4)2S; HCl salt, m. 228°. The "diazo oxide" formed by the action of HNO2 on III dissolves in alkali with purple, in NaOAc with dark brown color; in Me2CO FeCl3 gives a greenish brown color; the substance couples neither directly nor after solution in concentrated HCl it is not attacked by Ac2O-AcCl. 4-Acetamidopyrocatechol diacetate, from (HO)2C6H3NH2.HCl and Ac2O-NaOAc, m. 198°, gives in AcOH with HNO3 (d. 1.5) at room temperature the 6-nitro derivative, m. 207deg;, which with hot concentrated HCl yields III.HCl.
IT 74332-02-8, Acetanilide, 3,4-dihydroxy-, diacetate
(preparation of)
RN 74332-02-8 CAPLUS
CN Acetamide, N-[3,4-bis(acetyloxy)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 1658 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



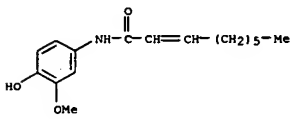
L10 ANSWER 1659 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1922:7168 CAPLUS
DOCUMENT NUMBER: 16:7168
ORIGINAL REFERENCE NO.: 16:1229i,1230a-b
TITLE: Natural and artificial pepper substances and the relation between chemical constitution and pepper taste. I
AUTHOR(S): Ott, Erwin; Zimmermann, Kurt
SOURCE: Diss., Munster (1921)
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The first part is a review of the literature and preparation of hydroxybenzylamines, as well as various unsatd. fatty acids. Δω,β-Nonylenic chloride, b10 103-4°. Undecylenic 4-hydroxy-I-benzylamide, m. 86°, has a sharp taste, gives no green FeCl3 reaction. 2-Hydroxy derivative, was not obtained crystalline 4-Methoxy derivative, glistening leaflets, m. 91°. It does not have a pepper-like taste. Benzylamide, wax-like mass, m. 51-2°, has no taste. 4-Hydroxy-I-phenylamide, m. 107°, has no taste. Sorbic piperidide, m. 77°, has a bitter taste. Δω,β-Nonylenic vanillylamide, oil, giving a green FeCl3 reaction, and having a sharp pepper-like taste. 4-Hydroxy-I-benzylamide, of butter-like consistency, has a very sharp taste. Oleic vanillylamide, slightly colored oil, has a sharp taste but not comparable with the aromatic odor of the other derivs. examined Cinnamic vanillylamide, powder, m. 138°, has an aromatic pepper-like taste, and gives an emerald-green color with FeCl3. Palmitic vanillylamide m. 79°; the solid has very little taste while the alc. solution has a marked taste. Stearic vanillylamide, m. 86° and has no taste.

IT 861334-33-0, α-Nonenamide, N-vanillyl- (preparation of)

RN 861334-33-0 CAPLUS

CN α-Nonenamide, N-vanillyl- (2CI) (CA INDEX NAME)




L10 ANSWER 1660 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1919:12071 CAPLUS
DOCUMENT NUMBER: 13:12071
ORIGINAL REFERENCE NO.: 13:2371g-i,2372a-i,2373a-i
TITLE: Certain amino-and acylaminophenol ethers
AUTHOR(S): Heidelberger, Michael; Jacobs, Walter A.
SOURCE: Journal of the American Chemical Society (1919), 41, 1450-72
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB o-MeOC6H4NHCOCH2Cl, obtained almost quant. from the NH2 compound and ClCH2COCl in dilute AcOH in the presence of NaOAc (C. A. 11, 2329), m. 48.5°-9.0°. Chloroacetyl-m-anisidine, obtained in 6.9 g. yield from 6 g. of the anisidine, flat needles and long plates from C6H6-ligroin (2:1), m. 90.5-1.5°. Chloroacetyl-o-phenetidine, hexagonal rhombs from 85% alc., m. 65.5-7.0°. m-Compound, flat needles from PhMe, m. 125.5-6.5°. 3-Methoxyacetanilide, from Me(HO)C6H3NHAc and Me2SO4, pearly hexagonal scales from 50% alc., m. 103-3.5°, converted by boiling 1:1 HCl into the aniline, m. 59-9.5° (yield, 14.4. g. from 30 g. Me(HO)C6H3NHAc), which with ClCH2.COCl gives the chloroacetanilide, delicate needles from C6H6-ligroin, m. 90-2°. 2,4-Me(MeO)C6H3NH2, obtained in 9 g. yield from 13 g. of the Ac derivative, b23 144-52°, m. 13-4° (Bamberger and Blangey, C. A. 6, 2751, give 29-30°); 8.7 g. gives 11.5 g. 2-methyl-4-methoxy-chloracetanilide, hair-like needles from PhMe, m. 134.5-5.5°. 3,4-O2N(MeO)C6H3NH2, obtained in 10.4 g. yield from 16 g. p-MeOC6H4NHAc, red prisms from PhMe, m. 57-7.5° (Ger. pat. 101,778 gives 50°); 5 g. gives 6.7 g. 3-nitro-4-methoxychloroacetanilide, golden yellow flat needles from AcOEt, m. 149.5-51.5°. 3-Acetamino-6-methoxybenzenesulfonic acid, from the NH2 acid and Ac2O, minute, flat needles from H2O containing a few drops of AcOH, intumesces 197-8°, resolidifies, becomes yellow and again m. about 250° (decomposition). Amide, obtained in 9 g. yield from 21.7 g. of the Na salt through the chloride, faintly yellow minute crystals from H2O, m. 233-5.5° (slow gas evolution); 6.5 g. boiled 0.5 hr. with 1:1 HCl yields 3.4 g. 3-amino-6-Methoxy-benzenesulfonamide, minute cream-colored spindles from 50% alc., m. 184.5-6.0°, is easily diazotized and couples with R salt to a deep red dye, slowly develops a brownish pink color with FeCl3. 3,4-Methylenedioxychloroacetanilide, obtained in 3.5 g. yield from 4 g. CH2O2C6H3NH.2HCl, microneedles from PhMe, m. 157.5-8.5°, gives a pale yellow color with H2SO4. 4-Chloroacetylaminoguaiacol (17.5 g. from 16.7 g. of the NH2 compound), slightly pink, thin, pearly plates from H2O, m. 113-4°, gives a yellow-brown color with FeCl3. 5-Chloroacetylaminoguaiacol (2.7 g. from 6 g. of the HCl salt of the NH2 compound), pale pink pearly platelets from PhMe, m. 140-50°. p-Sulfophenylazo-o'-ethoxyphenol, obtained in 26.3 g. yield from 23.1 g. diazotized Na sulfanilate and 13.8 g. p-EtOC6H4OH, dark red plates with purple reflex, containing 2 H2O, m. (anhydrous) 220° (gas evolution) when heated rapidly, difficultly soluble in cold H2O with bright orange-red color owing to formation of the hydrate, gives a bright red color with concentrated H2SO4, converted in NH4OH by H2S into 4-amino-6-ethoxyphenol, minute hexagonal platelets, m. 186-8°, soluble in alkalies with a gray-lilac color changing to deep violet, gives an olive color with alc. FeCl3 and turns purple with H2HSO4 but dissolves with very little color; 29 g. gives with Ac2O in AcOH 23.4 g. of the acetyl derivative, pearly platelets from 50% AcOH, m.

L10 ANSWER 1660 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

165.5-6.5°, gives an olive color with alc. and an orange color with aq. FeCl3; chloroacetyl derivative (yield equal to the amt. of NH2 compd. taken), woolly needles from PhMe, m. 155-6°. 3,4-Dimethoxychloroacetanilide (6.2 g. from 5 g. of the NH2 compd.), long silky needles from C6H6, m. 133.5-4.5°. 3-Methoxy-4-ethoxyacetanilide (15.8 g. from 16.7 g. MeO(HO)C6H.3-NHAc and Et2SO4), long narrow pearly plates from PhMe, m. 148.5-50°, thick plates and columns from H2O, apparently obtained by Freyss by ethylation of "p-nitrogualacol" and subsequent reduction and acetylation (Chem. Zentr. 1901, I, 739); 14.5 g. with boiling 25% H2SO4 gives 6 g. of the aniline, prismatic needles, solidify 55°, m. turbid 55°, clear 59°, b20 175-6°, gives with FeCl3 a brown color changing through wine-red to reddish purple on standing, is readily diazotized, the purple-red soln. coupling with R salt to an intense purple-red dye. Choroacetyl derivative (4 g. from 3.5 g. of the aniline), long silky needles from 50% alc., m. 133-4°. 4-Methoxy-5-ethoxyacetanilide (18.3 g. from 18 g. HO(EtO)C6H3NHAc and Me2SO4), slightly purple, very thin, pearly scales from PhMe, m. 145-6°; 17.5 g. with boiling 25% H2SO4 gives 10.2 9. of the aniline, faintly pink rhombic crystals from 50% alc., m. 81.5-2.0°, slowly gives an intense violet color with FeCl3, forms in dil. HCl a diazo soln. of transient purple color, brown in thin layers, changing to brownish gray and coupling with R salt to a deep red dye. Chloroacetyl derivative (5.6 g. from 5.1 g. of the aniline), delicate woolly needles from PhMe, m. 135.5-6.0°. Diacetyl-4-aminopyrocatechol (14.4 g. from 25 g. (HO)2C6H3NH2.HBr and AC2O), thin, faintly pink, hexagonal platelets from 50% alc. containing a few drops of AcOH, m. 187.5-92°, gives a grayish brown color with FeCl3, dissolves in dil. Na2CO3: or NH4OH, the soln. in the latter case turning rose-brown on shaking, gives with NaNO2 and dil. AcOH golden yellow platelets of a NO deriv. sol. in alkalies with a brown-red color quickly changing to purple-red; 13 g. with KOH and Et2SO4 gives 4.9 g-3,4-(EtO).2H3NH2, pearly leaflets from 50% alc., m. 124.5-5°, also obtained from 3,4-EtO(HO)C6H3NH2: and Et2SO4 (yield, slightly more than the starting material); 6.8 g. with boiling 1:1 HCl gives 4.8 g. of the 3,4-diethoxyaniline, cream-colored prisms, rhombs, thick plates and needles from ligroin, m. 47.5-8.5°, gives an intense violet color with FeCl2, forms a purple color with NaNO2: and couples with R salt to a purple-red dye. Chloroacetyl derivative, hair-like needles from PhMe, m. 122.5-4.5°, sol. in concd. H2SO4 with faint greenish yellow color. p-Sulfophenylazo-m-methoxyphenol (25.2 g. from 12.4 g. m-HOC6H4OMe), brown-orange, lenticular platelets with 1 H2O, brick-red powder when anhydrous, thick orange microplates from alc., chars and swells about 250°, sol. in concd. H2SO4 with yellow-orange, in dil. carbonates and alkalies with reddish orange color; 24 g. with Et2S in NH4OH gives 7.6 g. 4-amino-5-methoxyphenol, delicate, pale purple-brown needles from PhMe, blackens markedly about 160°, m. 175-80°, sol. in boiling H2O, the soln. turning purple in the air, slowly develops a brownish purple color with FeCl3. N-Acetyl derivative, minute pale pink needles from PhMe, m. 150-5° when heated rapidly, resolidifies and m. again 169-71°. Chloroacetyl derivative (5.1 g. from 5 g. of the NH2 compds.), pearly platelets from AcOEt, m. 165.5-6.5°. p-Sulfophenylazo-m'-ethoxyphenol (25.4 g. from 13.8 g. m-HOC6H4OEt), minute, flat, brown-orange, pointed needles and narrow plates with 1 H2O, brick-red powder when anhydrous, blackens about 250-5°, then softens but does not m. 285°, sol. in concd. H2SO4 and in H2O with

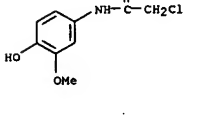
L10 ANSWER 1660 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

bright orange color, yields with H2S-NH4OH 40% of its wt. of 4-amino-5-ethoxyphenol; gray microleaflets from H2O containing H2S, m. 152-4°, gives with FeCl3 a purple color deepening to an intense violet; alk. solns. rapidly become dark purple and deposit a ppt. of the same color. N-Acetyl derivative (22.7 g. from 20 g. of the NH2 compd.), pointed prisms from 50% AcOH, m. 172.5-4.5°. Chloroacetyl derivative, pearly gray plates from PhMe, m. 158.5.-61°, gives an olive color with alc. FeCl3. 2,4-(MeO)2C6H2NH2, from 2,4-MeO(HO)C6H3NHAc with Me2SO4 and subsequent hydrolysis with boiling 1:1 HCl, pearly pinkish plates from ligroin, m. 32.5-3.5° (Bechhold, Ber. 22, 2378 (1899), gives 39-40°), produces with aq. FeCl3 a deep purple and with alc. FeCl a green color slowly changing to violet-brown; with ClCH2COCl it gives almost quant. 2,4-dimethy-oxychloroacetanilide, delicate needles from 50% alc., m. 89.5-90°. 2-Methoxy-4-ethoxyacetanilide, from the 4-HO compd. and Et2SO4, pale pink platelets from C6H6-ligroin, m. 117.5-8.5°, sol. in concd. H2SO4 with pale pink color. Aniline, b12, 151.5-2.5°, faintly pinkish rhombs from C6H6-ligroin, m. 27.5-8.5°, gives with FeCl3 a violet-purple soln. depositing purple microneedles, couples with R salt, when diazotized, to a deep purple dye. Chloroacetyl derivative, flat narrow striated plates from ligroin, softens 97°, m. 97.5-8.0°. 4-Methoxy-6-ethoxyacetanilide, from the 4-HO compd., faintly pink silky needles from ligroin, m. 100.5-1.0°, gives a faint yellow color with concd. H2SO4; 11.3 g. with 1:1 HCl gives 7.5 g. of the aniline, b9 144-4.5°, solidifies to thin platelets, m. 22.5°, gives with FeCl3 a brownish color changing to dark purple and depositing a ppt. of the same color, forms a bluish diazo soln. coupling with R, salt to a red dye. Chloroacetyl derivative, thick platelets from PhMe, m. 126-7°. 2,4-(EtO)2C6H3NHAc (4.6 g. from 6.8 g. of the 4-HO compd.), silky needles from 50% alc., m. 117.8° (Will and Pukall, Ber. 20, 1127(1887), give 120.5°; aniline, pale brownish pink flat needles and narrow platelets from C6H6-ligroin, m. 33.5-4.0° (W. and P. give 32°), slowly produces with FeCl3 a deep violet soln. depositing dark violet microneedles, gives a purplish red color when diazotized and coupled with R salt. 2,4-Diethoxychloroacetanilide, delicate woolly needles from 85 % alc., m. 102-3°.

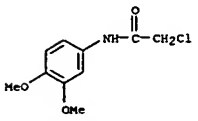
IT 17640-79-8, m-Acetaniside, α-chloro-4-hydroxy- 62593-78-6, Acetanilide, α-chloro-3,4-dimethoxy- 86412-56-8, p-Acetophenetide, 3-methoxy- 135325-75-6, m-Acetophenetide, 4-hydroxy- 727982-71-0, Acetanilide, α-chloro-3,4-diethoxy- 861796-51-2, m-Acetophenetide, 4-methoxy- 861796-53-4, m-Acetophenetide, α-chloro-4-methoxy- 861796-55-6, m-Acetophenetide, α-chloro-4-hydroxy- (preparation of)

RN 17640-79-8 CAPLUS

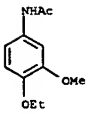
CN Acetamide, 2-chloro-N-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



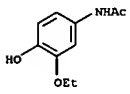
L10 ANSWER 1660 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 62593-78-6 CAPLUS
CN Acetamide, 2-chloro-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



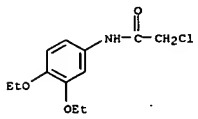
RN 86412-56-8 CAPLUS
CN Acetamide, N-(4-ethoxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 135325-75-6 CAPLUS
CN Acetamide, N-(3-ethoxy-4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

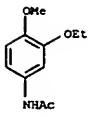


RN 727982-71-0 CAPLUS
CN Acetamide, 2-chloro-N-(3,4-diethoxyphenyl)- (9CI) (CA INDEX NAME)

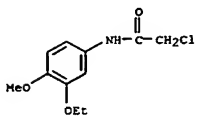


RN 861796-51-2 CAPLUS
CN m-Acetophenetide, 4-methoxy- (2CI) (CA INDEX NAME)

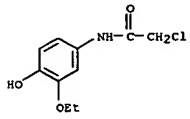
L10 ANSWER 1660 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 861796-53-4 CAPLUS
CN m-Acetophenetide, α-chloro-4-methoxy- (2CI) (CA INDEX NAME)



RN 861796-55-6 CAPLUS
CN m-Acetophenetide, α-chloro-4-hydroxy- (2CI) (CA INDEX NAME)

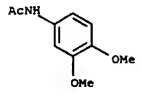


L10 ANSWER 1661 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1918:4210 CAPLUS
DOCUMENT NUMBER: 12:4210
ORIGINAL REFERENCE NO.: 12:678b-h
TITLE: Nitration of 5- and 6-acetamino-3,4-dimethoxybenzoic acids and 4-acetaminoveratrole
AUTHOR(S): Simonsen, John Lionel; Rau, Madyar Gopala
CORPORATE SOURCE: Madras
SOURCE: Journal of the Chemical Society, Transactions (1918), 113, 22-8
CODEN: JCHTA3; ISSN: 0368-1645
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

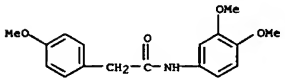
AB A continuation of the study of the orientating influence of substituents on isomers of the compds. previously investigated (Gibson, S. and R., C. A. 11, 1421, 1950). 10 g. vanillin in 200 g. Et2O were treated with a steady stream of N oxides (from H2SO4 and NaNO2) for 2-3 hrs., cooling continuously. After adding a little H2O and letting stand overnight the separated 5-nitrovanillin was converted into the K salt, this dried at 130°, suspended in C7H8, and heated 2-3 hrs. with a slight excess of Me2SO4 at 135-40°. On boiling off the C7H8 in a current of steam, triturating with NaOH, and oxidizing the residual 5-nitroveratrole with alkaline KMnO4, 5,3,4-O2N(MeO)2C6H2CO2H was obtained in 50% yield. Its Ba salt, reduced with alkaline Fe(OH)2, concentrated, and acidified strongly with HCl, gave a 50% yield of 5-amino-3,4-dimethoxybenzoic acid hydrochloride, woolly needles, decomps. 235°; the free acid darkens rapidly in the air; chloroplatinate, yellow needles, turns brown about 180° and blackens at higher temps.; acetyl derivative (A), needles with 1 H2O, m. 188° when anhydrous. (A), gradually added to 3 parts HNO3 (d. 1.52) cooled with ice-salt, let stand 10 min. and poured onto ice, gave a mixture of 4,5,3-(O2N)2AcNHC6H(OMe)2 and 6-nitro-5-acetamino-3,4-dimethoxybenzoic acid, straw-colored needles, m. 220-1°, yields the 5-amino acid (B) on warming with 1:1 HCl on the H2O bath for several hrs., iridescent yellow needles, m. 148°. In 1 case a trace of an acid, plates, m. 180°, was obtained. Diazotization of (B) in alc.-H2SO4, decomposition of the diazonium salt on the H2O bath, and remethylation of the product, gave 6,3,4-O2N(MeO)2C6H2CO2H. 6-Acetamino-3,4-dimethoxybenzoic acid (A), prisms, decomps. 228°, when nitrated with all precautions with 3 parts HNO3 (d. 1.43), gave only 5-nitro-4-acetaminoveratrole (C), golden needles, m. 196°; heated in 90% H2SO4 at 100° for 10 min. it gives 5-nitro-4-aminoverairole, terra-cotta needles, m. 171°, yields 4-O2NC6H3(OMe)2 (D) on diazotization; benzoyl derivative, yellow needles, m. 153-4°. In the reduction of (D) chlorination is best avoided by mixing 10 g. with 16 g. Sn, adding a trace of graphite (Pinnow, J. prakt. Chemical [2] 63, 352(1901)), and heating 2-3 hrs. on the H2O bath with 50 cc. 1:1 HCl; in this way 50% yields of 4NH2C6H3(OMe)2 are obtained. Nitration of the 4-NHAc compound with HNO3 (d. 1.4) gave (C). The only unexpected occurrence in the light of S. and R.'s theories was the formation of (C) from (A), showing that a p-NHAc group exercizes much less influence on the MeO than on o-NHAc.

IT 881-70-9, Acetanilide, 3,4-dimethoxy-
(nitration of)
RN 881-70-9 CAPLUS

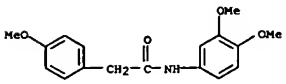
L10 ANSWER 1661 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Acetamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



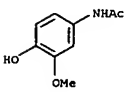
L10 ANSWER 1662 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1913:21685 CAPLUS
DOCUMENT NUMBER: 7:21685
ORIGINAL REFERENCE NO.: 7:3122a-c
TITLE: Synthesis of Unsymmetrical Derivatives of Deoxybenzoin
AUTHOR(S): Cain, John C.; Simonsen, John L.; Smith, Clarence
SOURCE: Journal of the Chemical Society, Transactions (1913), 103, 1035-9
CODEN: JCHTA3; ISSN: 0368-1645
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB p-MeOC6H4CH2COCO2H (a) was prepared by a slight modification of Wakeman and Dakin's method (C. A., 5, 2512). The ethyl ester semicarbazone, needles, m. 152-3°. Oxidation of (a) with H2O2 in alkaline solution and esterification gave ethyl p-methoxyphenylacetate, b. 138-40°. Chloride of the acid, b10 143°; with o-C6H4(OMe)2 and AlCl3 in CS2 it gives β-keto-α-4-methoxyphenyl-β-3,4-dimethoxyphenylethane, MeOC6H4CH2COC6H3(OMe)2, needles, m. 118°; oxime, prisms, m. 143°, gives, with PCl5, p-methoxyphenylaceto-3,4-dimethoxyanilide, MeOC6H4CH2CONHC6H3(OMe)2, needles, m. 147-9°. Similarly, starting with the lactone of α-benzoylamino-3,4-dimethoxycinnamic acid, ethyl 3,4-dimethoxyphenylacetate was obtained, b25 191°. β-Keto-β-4-methoxyphenyl-α-3,4-dimethoxyphenylethane, needles, m. 138°, gives a yellow color with concentrate H2SO4; oxime, needles, m. 100-1°.
IT 791829-94-2, m-α-Toluaniside, p-4'-dimethoxy- (preparation of)
RN 791829-94-2 CAPLUS
CN Benzeneacetamide, N-(3,4-dimethoxyphenyl)-4-methoxy- (9CI) (CA INDEX NAME)



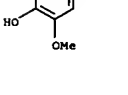

L10 ANSWER 1663 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1913:21684 CAPLUS
DOCUMENT NUMBER: 7:21684
ORIGINAL REFERENCE NO.: 7:3122a-c
TITLE: Synthesis of Unsymmetrical Derivatives of Deoxybenzoin
AUTHOR(S): Cain, John C.; Simonsen, John L.; Smith, Clarence
CORPORATE SOURCE: E. London Coll., Madras
SOURCE: Proc. Chem. Soc. (1913), 29, 172
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB p-MeOC6H4CH2COCO2H (a) was prepared by a slight modification of Wakeman and Dakin's method (C. A., 5, 2512). The ethyl ester semicarbazone, needles, m. 152-3°. Oxidation of (a) with H2O2 in alkaline solution and esterification gave ethyl p-methoxyphenylacetate, b. 138-40°. Chloride of the acid, b10 143°; with o-C6H4(OMe)2 and AlCl3 in CS2 it gives β-keto-α-4-methoxyphenyl-β-3,4-dimethoxyphenylethane, MeOC6H4CH2COC6H3(OMe)2, needles, m. 118°; oxime, prisms, m. 143°, gives, with PCl5, p-methoxyphenylaceto-3,4-dimethoxyanilide, MeOC6H4CH2CONHC6H3(OMe)2, needles, m. 147-8°. Similarly, starting with the lactone of α-benzoylamino-3,4-dimethoxycinnamic acid, ethyl 3,4-dimethoxyphenylacetate was obtained, b25 191°. β-Keto-β-4-methoxyphenyl-α-3,4-dimethoxyphenylethane, needles, m. 138°, gives a yellow color with concentrate H2SO4; oxime, needles, m. 100-1°.
IT 791829-94-2, m-α-Toluaniside, p-4'-dimethoxy- (preparation of)
RN 791829-94-2 CAPLUS
CN Benzeneacetamide, N-(3,4-dimethoxyphenyl)-4-methoxy- (9CI) (CA INDEX NAME)




L10 ANSWER 1664 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1911:22230 CAPLUS
DOCUMENT NUMBER: 5:22230
ORIGINAL REFERENCE NO.: 5:3805a-c
TITLE: Chloroguaiacols
AUTHOR(S): Jona, Temistocle; Pozzi, G. B.
CORPORATE SOURCE: Ist. chim. farm. tossic. r. univ. Pavia
SOURCE: Gazzetta Chimica Italiana (1911), 41(I), 722-37
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB 5-Aminoguaiacol, HOC6H3(OMe)NH2, obtained by the reduction of HOC6H3(OMe)NO2 with Sn and HCl, grayish crystals, m. 125-7°, gives a reddish brown color with aqueous or alc. FeCl3; hydrochloride, greenish crystals. 1,5-Dibenzoyl-5-aminoguaiacol, m. 162-4°, is obtained from the base, NaOH and BzCl, while the hydrochloride, NaOAc and Ac2O form 5-acetyl-5-aminoguaiacol, m. 116-9°, and by the Sandmeyer reaction is obtained 5-chloroguaiacol, m. 161-3.5°, b760 237-9° (corrected), gives a yellow color with aqueous FeCl3; benzoate, needles, m. 56-8°; acetate, leaflets, m. 42-4°; ethyl ether, from the phenol, KOH and EtI, m. 49-51°. 4-Acetyl-4-aminoguaiacol, from the amino compound and Ac2O in dilute AcOH, m. 111-3°, gives through the diazo compound 4-chloroguaiacol, identical with the substance obtained by Peratoner and Ortoleva (Gazz. chim. ital., 1898, I, 228) from guaiacol and SO2Cl2.
IT 3251-55-6, Guaiacol, 4-acetamido- (preparation of)
RN 3251-55-6 CAPLUS
CN Acetamide, N-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)

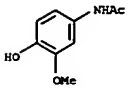



L10 ANSWER 1665 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1907:1185 CAPLUS
DOCUMENT NUMBER: 1:1185
ORIGINAL REFERENCE NO.: 1:294c-f
TITLE: Note on 3, 4, Diaminoguaiacol
AUTHOR(S): Fichter, Fr.; Schwab, Julius
CORPORATE SOURCE: Univ. Lab. of Basle
SOURCE: Ber. (1907), 39, 3339-41
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 4-Acetaminoguaiacyl acetate, AcOlC6H3(O2Me)N4HAc, silvery lustrous spangles, m. 149°. 4-Acetaminoguaiacol m. 118°. 3-Nitro-4-acet-aminoguaiacyl acetate, yellow, rhombic plates or needles, m. 158°. 3-Nitro-4-acet-aminoguaiacol, orange-red rods, m. 223°. c-Nitro-D-aminoguaiacol, light red needles, m. 169°-171°. 3-Nitro-4-benzylaminoguaiacyl benzoate, yellow, lustrous needles, m. 177°. The 3-nitro-4-amino- or 4-acetamino-derivatives when reduced yield 3,4-di-aminoguaiacol hydrochloride, which, with benzil, gives 2,3-diphenyl-7-hydroxy-8 methoxyquinoxaline, brown-red needles of metallic lustre, m. 235°. In presence of ammonia the diamine is oxidized by air to 1,9-dimethoxy-8-hydroxy-2,3-diamino-phenazine, black, lustrous needles. Green solution in concentrated sulphuric acid; water changes the color to blue, violet and red, successively. Alkali gives a reddish yellow color; alcohol a brown-red with green fluorescence. Vegetable and animal fibers are dyed brown-red.
IT 3251-55-6, Guaiacol, 4-acetamido- (preparation of)
RN 3251-55-6 CAPLUS
CN Acetamide, N-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 1666 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1907:1184 CAPLUS
DOCUMENT NUMBER: 1:1184
ORIGINAL REFERENCE NO.: 1:293e-i,294a-c
TITLE: Peri-Aminonaphthol (δ-Aminonaphthol)
AUTHOR(S): Fichter, Fr.; Gageur, Rudolf
CORPORATE SOURCE: Univ. Lab., Basel
SOURCE: Ber. (1907), 38, 3331-39
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB 8-Acetaminonaphthol, colorless broad plates or needles, m. 168°-169°, b16 170°-172°. Friedlander and Silberstein, who prepared probably the same compound in a different way, give 138° as the m. p. Nitroso derivative, brown-red needles, decomposes 175°-180°. 8-Benzoylaminonaphthol, almost colorless, slender needles, m. 193°-194°. 8-Formylaminonaphthol, reddish white needles, darkens and decomposes at 140°-150°. 4-Benzeneazo-8-acetaminonaphthol, AcN8CH16H3(O1H)N24Ph, dark red, metallic, lustrous needles, m. 215°-216°. 4,8-Diaminonaphthol, by the reduction of the preceding compound. Hydrochloride, C20H10ON22HCl, colorless needles. Triacetyl derivative unstable. Diacetyl compound, white needles, with 1H2O, m. 247°. 4,8-Diacetaminonaphthol acetate, small, colorless, stellate needles, m. 258°. It must be identical with a compound of Friedlander and v. Scherzer, m. 245°. Tribrom-μ-methylnaphtho-peri-oxazole dibromide, MeC C10H3Br32,B4,r25, by the action of bromine on acetaminonaphthol. Slender, yellow, interlaced needles, m. 235°. Tribrom-μ-methylnaphtho-perioxazole, from the preceding compound and stannous chloride. Colorless needles, m. 215°. Bromine regenerates the pentabrom compound. The corresponding μ-phenyl derivative, slender, lustrous needles, m. 234°. It does not form a bromine addition compound. Attempts to resolve the oxazole ring in these three compounds were unsuccessful. 8-Acetaminonaphthylacetate, AcNHC20H8OAc, colorless needles, m. 118.°5. Dilute sodium hydroxide converts this into 8-acetaminonaphthol. The monobrom derivative, C14H13O3NBr, m. 203°. In excess, bromine yields the oxazolepentabrom compound. 8-Benzoylaminonaphthylbenzoate, colorless needles, m. 206°-207°. 8-Acetamino-5-nitronaphthylacetate, long, pale yellow, lustrous needles, m. 224°. 8-Acetamino-5-nitronaphthol, red needles, m. 192°. It couples with diazonium compounds and when reduced gives a readily oxidizable diamino derivative but no anhydro base. 8-Acetaminonaphthyl methyl ether, colorless, silvery lustrous needles, m. 128°, b14 138°-140°. The monobrom derivative is crystalline, m. 124°. 8-Aminonaphthyl methyl ether, an oil, b14 180°-185°, rapidly darkens. Hydrochloride, crystalline. Picrate, greenish yellow needles, m. 172°. The β-naphthol azo derivative, dark violet-red, hexagonal plates, with metallic lustre, m. 177°. 8-Hydroxynaphthyl methyl ether, by boiling the preceding compound, yields a picrate, m. 173°. By means of the diazo reaction 8-aminonaphthol yields, according to the conditions, either α-naphthol or 8-amino-2-nitrosonaphthol, green needles, unmelted up to 250°. It gives a violet color with sodium hydroxide. When the diazonium compound is directly reduced there is formed 2,8P-diaminonaphthol hydrochloride, C19H10ON8.2HCl, colorless needles. The benzal derivative, C17H16ON2HCl, yellow needles, becoming dark brown in air. Triacetyl derivative, slender, colorless needles, m. 234°.
IT 3251-55-6, Guaiacol, 4-acetamido-
(preparation of)

L10 ANSWER 1666 OF 1666 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 3251-55-6 CAPLUS
CN Acetamide, N-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



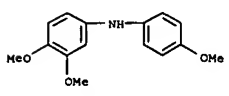
=> d ibib abs hitstr l11 470-490

L11 ANSWER 470 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:449171 CAPLUS
DOCUMENT NUMBER: 57:49171
ORIGINAL REFERENCE NO.: 57:9785b-i,9786a-i,9787a-b
TITLE: Research in the indole series. VI. Some substituted tryptamines
AUTHOR(S): Julia, Marc; Igolen, Jean; Igolen, Hanne
SOURCE: Bulletin de la Societe Chimique de France (1962) 1060-8
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A series of substituted 3-indolylacetic acids was prepared from secondary aromatic amines and 4-bromo-3-oxo esters; the acids were converted via the amides or the alcs. and bromides to the corresponding tryptamines. PhNH2 (279 g.) and 185 g. PhCH2CH2Br (I) in 500 cc. dry xylene refluxed 12 h. gave 151 g. PhNHCH2CH2Ph, b0.4 155-60°. p-MeOC6H4NH2 (295 g.) and 148 g. I in 350 cc. xylene gave similarly 95 g. unreacted p-MeOC6H4NH2 and 135 g. yellow-green oily p-MeOC6H4NHCH2CH2Ph (II), b0.1 170-5°; HCl salt m. 127-8° (EtOH-Et2O). p-MeOC6H4NH2 (3 mol) and Ph(CH2)3Br gave p-MeOC6H4NH(CH2)3Ph, b0.2 180-90°, needles, m. 44° (EtOH); HCl salt, plates, m. 158-9° (H2O); HBr salt, needles, 129° (EtOH). 4-Aminoveratrole gave similarly 89% 3,4-(MeO)2C6H3NHCH2Ph, b0.2 170-2° [HCl salt, plates, m. 142-5° (iso-PrOH)], and 3,4-(MeO)2C6H3NHC6H4OMe-p, 72%, needles, 86.5° (EtOH); HCl salt m. 188° (EtOH). By the direct bromination of the corresponding oxoesters were prepared the following compds.: MeCHBrCOCH2CO2Et, 73%, b0.25 82-5°; BrCH2COCHMeCO2Et, 65%, b0.2 80-5°; BrCH2COCMe2CO2Et, 95%, -(crude); BrCH2COCH(OCEt)CO2Et, 66, b0.1 69-72°. II (209 g.) and 96.1 g. BrCH2COCH2CO2Et (III) diluted with cooling with 250 cc. dry Et2O, filtered from 138 g. II.HBr, evaporated, the residue refluxed 15 h. with 63 g. ZnCl2 in 250 cc. absolute EtOH, evaporated, treated with H2O and C6H6, and the organic layer worked up gave 113 g. Et ester (IV) of 1-phenethyl-5-methoxy-3-indolylacetic acid (V), b0.1 215-20°, yellow-orange oil, which refluxed 1-2 h. with KOHMeOH yielded 73% V, m. 129-31° (aqueous EtOH); method A. III (50 g.) and 100 g. p-MeOC6H4NHCH2Ph in 300 cc. absolute EtOH refluxed 40 h., evaporated, the residue treated with H2O and Et2O, and the Et2O phase worked up yielded 44.7 g. Et ester (VI) of 1-benzyl-5-methoxy-3-indolylacetic acid (VII), b0.15 180-5°, yellow-orange oil, which saponified in the usual manner yielded 84% VII, m. 128-9°; method B. VI was also obtained in 64% yield by method A. In the same manner were prepared the following VIII (X, R1, R2, R3, R4, method, % yield of Et ester, b.p./mm. or m.p. of Et ester, % yield of free VIII, m.p., and m.p. of corresponding skatole given): H, PhCH2CH2, H, H, H, A, 68, 204-8°/0.15, 90, 103° (C6H6) (IX), -; 5-MeO, p-MeOC6H4CH2, H, H, H, A, 55 (47% by method B), 220-8°/0.05 (m. 50-2° (EtOH)], 85, 116-18° (EtOH) (X), -; 5-MeO, Ph(CH2)3, H, H, H, A, 72, 230-5°/0.4 (XI), 50, 86° (Et2O-petr. ether) (XII), -; 5,6-(MeO)2, PhCH2, H, H, H, A, 69, 215-25°/0.15 (m. 64-5°), 82, 141° (EtOH) (XIII), 81.5°; 5,6-(MeO)2, p-MeO-C6H4CH2, H, H, H, B, 82, 86-5.87° (EtOH), 100, 127° (EtOH) (XIV), 102° (EtOH); 5-MeO, PhCH2, Me, H, H, A, 48, 201-5°/0.01 (m. 70.5-1.5°), 82, 173-4° (EtOH) (XV), -; 5-MeO, PhCH2, H, Me, H, A, 20, 200-10°/0.6, 45, 108° (Et2O-petr. ether) (XVI), -; 5-MeO, PhCH2, H, Me, Me, A, 65, 210-30°/0.25 (m. 80°), 70, 151-2° (EtOH) (XVII), 58° (EtOH); H, PhCH2, Me, Me, H, A, 26 (43% by method B), 178-81°/0.05, 63, 160-2° (aq. EtOH) (XVIII), --; 5-MeO, PhCH2, Me, Me, H, A, 41 (30% by method B), 190-3°/0.1 [m. 80-1° (MeOH)], 89, 148-51° (EtOH), --; 5-MeO, p-MeOC6H4CH2, Me, Me, H, A, 28, 208-12°/0.1, 76, 159-60° (EtOH), --. IV (8 g.) in 80 cc. MeOH (satd. with NH3) heated 24 h. in a sealed tube at 105°, filtered, and evapd. gave 5.2 g. 1-phenethyl-5-methoxy-3-indolylacetamide (XIX), needles, m. 147-8° (abs. EtOH); method D. The amides were also prepd. by heating the acid with urea; method C. XI (13.6 g.) in 200 cc. CHCl3 and 4.26 g. Et3N cooled to -5°, treated rapidly with 4.58 g. ClCO2Et, stirred 15 min., treated 5 min. with a stream of dry NH3, kept 1 h. at room temp., dild. with H2O, and the CHCl3 layer worked up gave 7.7 g. amide of XII, needles, m. 124-5°; method E. Similarly were prepd. the amides of the following compds. (m.p., % yield, and method given): IX, 146-7° (C6H6), 70, C; VII, 156-7°, 70, C (69% by method E); X, 138.5-9.5° (EtOH), 81, C (66% by method D); V, 147-8° (EtOH), 74, D; XII, 1245° (C6H6-petr. ether), 57, E; XIII, 167-8° (EtOH), 67, D; XIV, 166° (EtOH), 95, D; XV, 129-30° (EtOAc-petr. ether), 70, C; XVI, 180.5-82° (EtOH), 39, C; XVII, 183° (EtOH), 81, E; XVIII, 163-4° (EtOH), 70, C. By the same methods were prepd. the dimethylamides of the following acids (same data given): IX, -- (oil), 80, E [picrate m. 84° (EtOAc-petr. ether)]; V, --, 94, E; XII, --, 75, E [picrate m. 97° (EtOAc-petr. ether)]. The diethylamides of the following acids (same data given): IX, 63-4° (Et2O), 50, E [picrate m. 104-5° (EtOH-Et2O)]; V,--, 85, E [picrate m. 103-4° (EtOH-Et2O)]; XII, --, 75, E [picrate m. 117° (EtOAc-petr. ether)]. X (0.5 g.) and 0.17 g. PhNH2 in 5 cc. CH2Cl2 treated with 0.33 g. dicyclohexyldicarbodiimide, kept 16 h. at room temp., filtered from 0.26 g. dicyclohexylurea, treated with AcOH to ppt. an addnl. 0.08 g. urea, and the filtrate worked up gave 0.4 g. anilide of X, m. 133° (aq. EtOH). VI (28 g.) in 100 cc. Et2O added gradually at 0° to 4 g. LiAlH4 in 900 cc. Et2O, refluxed 3 h., and worked up gave 21 g. 1-benzyl-3-(2-hydroxyethyl)-5-methoxyindole (XX), b0.05 172-8°, m. 47-8° (Et2O-petr. ether); 3,5-dinitrobenzoate, red crystals, m. 158-61° (EtOAc). Similarly were prepd. the 3-(2-HOCH2CH2) analogs of the following compds. (b.p./mm. and % yield given): X, 185-95°/0.05, 79 [3,5-dinitrobenzoate m. 169-71° (EtOH-Et2O)]; XIII, 95-6° (Et2O-petr. ether), 91; V, 195°/0.1, 78 [picrate m. 79-81° (C6H6-petr. ether)]; XVIII, 89°, 65; XIV, 81-2° (Et2O), 80. XX (3 g.) in 140 cc. dry Et2O treated dropwise at 0° with 1.8 g. PBr3 in 30 cc. Et2O, kept 16 h. at room temp., decanted, the residual resin extd. with Et2O, and the ext. worked up gave 2.5 g. 1-benzyl-3(2-bromoethyl)-5-methoxyindole, prisms, m. 94-5° (abs. EtOH). Similarly were prepd. the 3-(2-BrCH2CH2) analogs of the following compds. (m.p. and % yield given): V, --, 45; XIII, 77-8° (EtOH), 55; XVIII, 89°, 65. XIX (5.5 g.) and 1.4 g. LiAlH4 in 500 cc. Et2O refluxed 66 h. and worked up in the usual manner yielded 1-phenethyl-5-methoxy-3-(2-aminoethyl)indole-HCl, m. 136-8° (abs. EtOH). Similarly were prepd. the 3-(2-H2NCH2CH2) analog HCl salts of the following compds. (m.p. and % yield given): IX (XXI), 128-30° (EtOAc), 72; VII, 156-9° (EtOH-Et2O), 74 [picrate m. 167-8° (EtOH)]; X, 162-4° (EtOH-Et2O), 71; V, 136-8° (EtOH), 74; XII, 124-6° (EtOH-Et2O), 70; XIII, 95-6° (Et2O-petr. ether), 91; XIV, -- (hygroscopic), 42 [picrate m. 190-3° (EtOH)]; XV (XXII), 229-31° (EtOH), 52; XVI, 168-73° (EtOH-Et2O), 68; XVII, 228-32° (EtOH-Et2O), 73; XVIII, 78-80° (iso-PrOH), 50. The 3-(2-Me2NCH2CH2) analog HCl salts of the following compds. (same data given): IX (XXIII), 199-200° (EtOH), 58; VII, 189-91° (EtOH), 50; X, 174-6° (EtOH), 55; V (XXIIIA), 122-4° (iso-PrOH-Et2O), 60 (44) [methiodide m. 194-6° (EtOH), 75%]; XII, 143-5° (EtOH-Et2O), 66; XIII, -- (hygroscopic), 35 [picrate m. 172-4° (EtOAc)]; XVIII, 193-4° (EtOH), 86. In the same manner were prepd. the 3-(Et2NCH2CH2) analog HCl salts of the following compds. (same data given): IX (XXIV), 104-5° (EtOH-Et2O), 72; X, --, 65 [picrate m. 88-9° (C6H6)]; V (XXV), 99-100° (EtOH-Et2O), 60; XII, -- (hygroscopic), 45; XVIII, 167-9° (EtOH-iso-Pr2O), 30. 1-Benzyl-5-methoxy-3-(2-piperidinoethyl)indole-HCl, m. 202-4° (iso-PrOH), was obtained in 60% yield by heating the corresponding 3-(2-BrCH2CH2) analog (2 g.) with 1.5 g. piperidine in 65 cc. MeOH 15 h. in a sealed tube at 100°. Similarly was prepd. the 3-(2-piperidinoethyl) analog HCl salt of X, m. 180-3° (iso-PrOH), in 56% yield. VI (1.62 g.) and 0.32 g. N2H4.H2O in 20 cc. abs. EtOH refluxed 20 h., cooled, and filtered yielded 1.1 g. hydrazide of VII, m. 140° (EtOH). Similarly were prepd. the hydrazides of the following acids (m.p. and % yield given): IX, 128-30° (EtOH), 50; X, 144-6° (EtOH), 61; V, 117-18° (EtOH), 68; XIII, 173.5° (EtOH), 63; XIV, 179-82° (EtOH), 82. VII (5.1 g.) and 3.1 g. NaOAc in 10 cc. Ac2O refluxed 18 h., cooled, worked up, and the crude product (1.85 g.) chromatographed on Al2O3 gave 409 mg. 1-benzyl-5-methoxy-3-acetonylindole, m. 62.5-3.5° (Et2O-petr. ether); 2,4-dinitrophenylhydrazone, orange prisms, m. 62.5-63° (EtOAc); oxime (XXVI), prisms, m. 98.5-9.5° (C6H6-petr. ether). Similarly was prepd. the 3-acetonyl analog of XIII in 56% yield; 2,4-dinitrophenylhydrazone m. 186° (EtOH). In the same manner as XXI was prepd. the 3-(2-H2NCHMeCH2) analog HCl salt of VII, 71%, m. 190-2° (EtOH-Et2O), and the 3-(PhCH2NMeCH2CH2) analog HCl salt of X, 32%, m. 160° (EtOH-Et2O). The antiserotonin activities of XXI, XXIII, XXIIIA, XXIV, and XXV were detd. XXII did not show any tuberculostatic activity in vivo at the max. tolerable dose.

IT 94026-98-9, p-Anisidine, N-(3,4-dimethoxyphenyl)-, hydrochloride
94026-99-0, p-Anisidine, N-(3,4-dimethoxyphenyl)-
(preparation of)

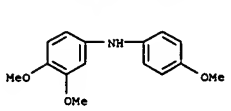
RN 94026-98-9 CAPLUS

CN p-Anisidine, N-(3,4-dimethoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)




● HCl

RN 94026-99-0 CAPLUS



L11 ANSWER 471 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:79563 CAPLUS
DOCUMENT NUMBER: 56:79563
ORIGINAL REFERENCE NO.: 56:15575e-i,15576a-f
TITLE: Synthesis of A-norcholest-3(5)-en-2-one
AUTHOR(S): Dauben, William G.; Boswell, George A.; Templeton, William H.
CORPORATE SOURCE: Univ. of California, Berkeley
SOURCE: Journal of the American Chemical Society (1961), 83, 5006-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 56:79563

GI For diagram(s), see printed CA Issue.

AB A-Norcholestan-2-one (I) (6.10 g.), 1.0 g. p-MeC6H4SO3H, and 100 mL. redistd. isopropenyl acetate was refluxed 72 h. with removal of Me2CO and occasional addition of isopropenyl acetate to maintain the volume at 75 mL.
Solid NaHCO3 was added to the cooled mixture, which was then concentrated under
reduced pressure, the residue dissolved in Et2O, washed, dried, evaporated,
and chromatographed on Al2O3 to give 3.40 g. 2-acetoxy-A-norcholest-1-ene (II), m. 87-8° (EtOH), [α]25D 52.6° (c 1.22, CHCl3), ν 1750, 1250 cm.-1 (CS2), and 2.81 g. unreacted I. II (631 mg.) in 30 mL. CCl4 in an ice-salt bath was stirred with 242 mg. Br in CHCl3 and the solution concentrated under reduced pressure to give 660 mg. 1α-bromo-A-norcholestan-2-one (III), m. 97-8° (EtOH), [α]25D 77° (c 1.04, CHCl3), λ 313 mμ (ε 113), ν (CS2) 1742 cm.-1
The optical rotatory curve in MeOH showed a peak at 348 mμ (+850) and a trough at 302 mμ (-125). III (1.32 g.) was heated 24 h. at 150° under N with 500 mg. anhydrous LiCl in 20 mL. HCONMe2, the cooled solution
diluted with H2O, and filtered to give 1.0 g. A-norcholest-3(5)-en-2-one (IV) in 2 dimorphic forms (EtOH), prisms, m. 87-8°, and needles, m. 96-7°, λ (EtOH) 236 mμ (ε 15,600), ν (CS2) 1706, 1620 cm.-1 [α]25D -14.6° (c 1.41, CHCl3), ORD curve in dioxane showed a trough at 325 mμ (-1140) and a peak at 300 mμ (+1500); 2,4-dinitrophenylhydrazone m. 193-5° (EtOH-EtOAc), λ (CHCl3) 392 mμ (ε 32,000). The NMR (n.m.r.) spectrum of II indicated a Δ1-enol, not a Δ3-enol, and this was confirmed by oxidation of II to the seco diacid (V). The n.m.r. spectrum of
III indicated a 1-bromo-2-ketone with no adjacent protons. The configuration of III was 1α-bromo, since bromination of the enol acetate of 16-oxo steroids gives the α-isomer (Fishman and Djerassi, CA 54, 21196d). II (100 mg.) kept 18 h. at room temperature with 100 mg. CrO3
in 10 mL. AcOH and 1 mL. C6H6 gave 20 mg. 1,3-secocholestane-1,3-dioic acid (V), m. 223-6° (Et2O-petr. ether), [α]25D 9.8° (c 0.55, CHCl3), identical with V from 1-cholesten-3-one (Tamm and Albrecht, CA 54, 24870e); di-Me ester m. 50-1° (MeOH), [α]25D 13.5 ± 2° (c 0.57, CHCl3). III (0.50 g.) in 30 mL. EtOH was stirred 1 h. at room temperature with 100 mg. NaBH4 in 20 mL. EtOH, the solution decomposed with
dilute HCl, extracted with Et2O, the exts. washed, dried, evaporated, and the crude
bromohydrins (270 mg.) refluxed 46 h. with 0.3 g. KOH in 30 mL. MeOH. The
mixture was diluted with H2O, extracted with Et2O, the exts. washed, dried,

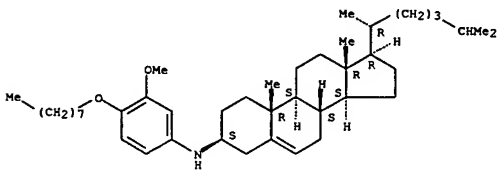
L11 ANSWER 471 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
evapd., and the residue chromatographed on Al2O3 to give 55 mg. 1β,2β-epoxy-A-norcholestane (VI), m. 102-4° (MeOH), [α]20D 16° (c 0.67, CHCl3), and 100 mg. I. I (500 mg.) in 25 mL. EtOH was reduced with 10 mg. NaBH4 in 10 mL. H2O and the crude product
chromatographed to give 472 mg. A-norcholestan-2β-ol (VII), m. 110-12° (dil. EtOH), [α]25D 23° (c 0.97 CHCl3); acetate m. 75-7° (Et2OMeOH), [α]25D 20° (CHCl3). A sample of VII, purified as the digitonide, showed no change in m.p., confirming the 2β-configuration. I (220 mg.) reduced with Na and isoPrOH gave 105 mg. 2β-isomer, pptd. by digitonin, and from the filtrate, the epimer, m. 125-8°, [α]20D 29° (c 0.86, CHCl3). VI (38 mg.) in 10 mL. Et2O was stirred 2 h. at room temp. with 100 mg. LiAlH4, the mixt. decompd. with EtOAc, dild. with H2O, extd. with Et2O, and the crude stanol mixt. (35 mg.) purified through the digitonide to give 27 mg. VII, m. 105-8°. VII was oxidized to I. IV (200 mg.) was hydrogenated with 5% Pd-C and 0.3 g. KOH in 30 mL. MeOH to give 133 mg. A-norcoprostan-2-one (VIII), m. 100-2° [α]25D -46° (c 1.08, CHCl3). Li (0.50 g.) was added with stirring during 30 min. to 0.28 g. IV in 20 mL. Et2O and 75 mL. liq. NH3. NH4Cl was added
after 20 min., the NH3 evapd., the residue taken up in Et2O, washed, dried, evapd., and the crude product chromatographed to give 0.15 g. crude
VIII, which on crystn. from EtOH gave 40 mg. pure VIII, m. 105-7°. To the enol lactone (IX) (cholestane deriv.) (0.713 g.) in 20 mL. 1:1 C6H6-Et2O was added 2 mol MeMgI in 5 mL. Et2O, the mixt. stirred 1 h., and
after the usual workup, the product (685 mg.) chromatographed on Al2O3. Elution with 1:1 Et2O-petr. ether gave 166 mg. X, m. 117-18° (petr. ether), [α]25D 40° (c 1.17, CH-Cl3), ν (CS)2 1700, 3415, 3570 cm.-1 IX (0.540 g.) in Et2O was added to 3 mol MeMgI and worked up as before to give 333 mg. XI, (m. 101-2° Me2CO), [α]25D 63° (c 2.67, CHCl3), and 140 mg. X.

IT **96868-31-4**, Cholest-5-en-3β-amine, N-[3-methoxy-4-(octyloxy)phenyl]-
(preparation of)

RN 96868-31-4 CAPLUS

CN Cholest-5-en-3β-amine, N-[3-methoxy-4-(octyloxy)phenyl]- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 472 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:12827 CAPLUS
DOCUMENT NUMBER: 56:12827
ORIGINAL REFERENCE NO.: 56:2379a-c
TITLE: Diphenylamines
INVENTOR(S): Mueller, Werner; Brack, Alfred
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

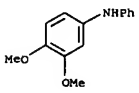
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 1112990 | | | DE | 19590912 |
| GB 886472 | | | GB | |

AB Diphenylamine carboxylic acid derivs. were heated at 180-200° in enough aniline or aniline derivative to keep the mixture fluid until no more CO2
was discharged (12-24 hrs.). Thus, 4'-ethoxydiphenylamine-2-carboxylic acid (I) 100 and PhNMe2 (II) 120-300 parts was heated to 150-160° in 15-30 min., to 180° in 1-2 hrs., and to 200° in 2-3 hrs. (15 hrs. overall). I was recovered by distillation to leave almost pure 4-MeOC6H4NHPh (III). II 100 similarly heated with III 150-300 parts gave almost pure crystalline III on cooling. Other derivs. produced were: 3-EtO,
2-EtO, 2-MeO, 4-Cl, 4-Ph, 2,4-(MeO), 3,6(EtO), 4,2-Cl(MeO), 3,4-(MeO)2, 2,4-Cl2, 4',3-Cl(EtO), and 4',3-Cl(MeO) derivs. of diphenylamine.

IT **87853-73-4**, Diphenylamine, 3,4-dimethoxy-
(preparation of)

RN 87853-73-4 CAPLUS

CN Benzenamine, 3,4-dimethoxy-N-phenyl- (9CI) (CA INDEX NAME)



L11 ANSWER 473 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1962:2426 CAPLUS
DOCUMENT NUMBER: 56:2426
ORIGINAL REFERENCE NO.: 56:479d-g
TITLE: Diphenylamines and phenothiazines
INVENTOR(S): Schmitt, J.
PATENT ASSIGNEE(S): Etablissements Clin-Byla
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 1173121 | | 19590220 | FR | |

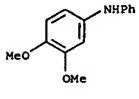
OTHER SOURCE(S): CASREACT 56:2426

AB Substituted diphenylamines are prepared by heating a phenol with an aniline
in an inert atmospheric in the presence of a dehydrating agent and a water
entrainer. The diphenylamines are converted to the corresponding phenothiazines by heating with S. Thus, 225 g. m-chloroaniline is heated with 242 g. resorcinol, 16 g. ZnCl2, and 60 cc. xylene at 180-95° until 36 cc. H2O is recovered from the separator and the mixture worked up
to give 300 g. 3-chloro-3'-hydroxydiphenylamine, b0.4 180-95°. Methylation with Me2SO4 gives the 3'-Me ether, b0.2 153-6°, which is heated at 170-5° with S and iodine to give 8-chloro-2-methoxyphenothiazine [CA numbering], m. 204-5°, b0.6 230-40° sublimes 190-5°; demethylation with pyridine-HCl gives the 2-HO analog, m. 250°. Similarly are prepared the following diphenylamine, (substituents given): 3-OH, 4'-OMe, b1 220-30°; 3-OMe, 4'-OMe, m. 68° b1 185-95°; 3-OH, 3'-OMe, b1 155-65°; 3-OAc, m. 89°; 2-OH, m. 57°; 3-OH, 3'-OMe, b0.7 189-91°; 3-OMe, 3'-OMe, b0.5 170-80°; 2-OH, 4'-OMe, b0.5 185-200°; 3-OH, 4'-Cl, m. 109-10°, b0.6 185-95°; 3-OMe, 4'-Cl, m. 79-80°, b0.7 167-72°. The following phenothiazines were prepared (substituents given): 1-OH, m. 133-4°; 1OMe, m. 98-9°; 2-OH, m. 213°; 2-OMe, m. 187-8°; 2OAc, m. 184°; 4-OMe, m. 98-9°; 2,7-di-OMe, m. 154°; 2,8-di-OMe, m. 174-5°; 2-OMe, 7-Cl, m. 176-7°.

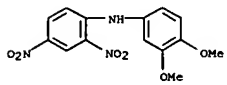
IT **87853-73-4**, Diphenylamine, 3,4-dimethoxy-
(preparation of)

RN 87853-73-4 CAPLUS

CN Benzenamine, 3,4-dimethoxy-N-phenyl- (9CI) (CA INDEX NAME)

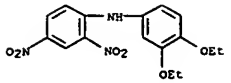


L11 ANSWER 474 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:58755 CAPLUS
DOCUMENT NUMBER: 52:58755
ORIGINAL REFERENCE NO.: 52:10581g-i,10582g-h
TITLE: Some disperse dyes derived from pyrocatechol dialkyl ethers
AUTHOR(S): Kuroki, Nobuhiko; Nishiura, Ayaru; Konishi, Kenzo
CORPORATE SOURCE: Osaka Prefect. Univ., Sakai
SOURCE: Kogyo Kagaku Zasshi (1956), 59, 1053-6
CODEN: KGKZA7; ISSN: 0368-5462
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. Kuroki, et al., ibid., 59, 909(1956). The monoazo dyes of the general structure 3,4-(RO)2C6H3N:NC6H3(OH)Me-2,5 (I), obtained from 4-amino pyrocatechol dialkyl ethers and p-cresol, and dinitrodiphenylamine dyes of the general formula 3,4-(RO)2C6H3NHC6H3(NO2)-2,4 (II) were prepared, where R denotes Me, Et, Pr, or Bu or -OR-RO- represents -OCH2CH2O-. The dyeing properties (yellow to reddish yellow) on acetate rayon, Vinylon, and Amilan were compared and the absorption spectra given. I showed decreasing dyeing tendency with an increase of the length of alkyl group, the best dyeing properties being shown for acetate rayon followed by Amilan and Vinylon. The dibutyl derivative did not dye Vinylon. I, where -OR-RO- is ethylene, which has a structure resembling Vinylon (formalized polyvinyl alc. fiber), did not show any particularly strong dyeing properties on Vinylon. The fastness to light of I was superior but that to washing was not high. Similar tendencies of dyeing properties were observed for II. Their fastness to light was lower than for I. The m.ps. of the dyes are as follows: group I; R is Me 107- 8°, Et 89-90°, Pr 75-6°, Bu 70-1°, -C2H4- 113-14°; group II; Me 174-5°, Et 169.0-9.5°, Pr 113.0-4.5°, Bu 81-2°, -C2H4- 147°.
IT 18885-63-7, Diphenylamine, 3',4'-dimethoxy-2,4-dinitro-
101435-64-7, Diphenylamine, 3',4'-diethoxy-2,4-dinitro-
101720-42-7, Diphenylamine, 2,4-dinitro-3',4'-dipropoxy-
102240-83-5, Diphenylamine, 3',4'-dibutoxy-2,4-dinitro-
(preparation and dyeing properties of)
RN 18885-63-7 CAPLUS
CN Benzenamine, N-(3,4-dimethoxyphenyl)-2,4-dinitro- (9CI) (CA INDEX NAME)

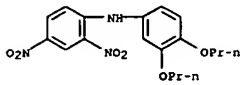


RN 101435-64-7 CAPLUS
CN Diphenylamine, 3',4'-diethoxy-2,4-dinitro- (6CI) (CA INDEX NAME)

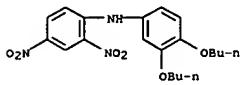
L11 ANSWER 474 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



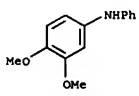
RN 101720-42-7 CAPLUS
CN Diphenylamine, 2,4-dinitro-3',4'-dipropoxy- (6CI) (CA INDEX NAME)



RN 102240-83-5 CAPLUS
CN Diphenylamine, 3',4'-dibutoxy-2,4-dinitro- (6CI) (CA INDEX NAME)



L11 ANSWER 475 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:54972 CAPLUS
DOCUMENT NUMBER: 52:54972
ORIGINAL REFERENCE NO.: 52:9850e-g
TITLE: Some derivatives of Variamin Blue suited for use as oxidation-reduction indicators
AUTHOR(S): Erdey, L.; Zalay, E.; Bodor, E.
CORPORATE SOURCE: Tech. Univ., Budapest
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1957), 12, 251-8
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: German
AB 4-Amino-4'-methoxydiphenylamine (I) forms a colorless aqueous solution which upon addition of an oxidizing agent changes to a blue colored product (II) and eventually to a red colored quinone diimine (III). The potentiometric investigation of the dye indicated a reversible oxidation-reduction process. If a reducing agent is added to III it changes to II and eventually to the colorless solution of I. In the solid form, I did not show any paramagnetic properties; this excluded the presence of free radicals. Various substituted derivs. of the basic compound were prepared some of which showed the properties of indicators. In some cases the substituents caused a shift of the potential to more neg. values.
IT 87853-73-4, Diphenylamine, 3,4-dimethoxy-
(preparation of)
RN 87853-73-4 CAPLUS
CN Benzenamine, 3,4-dimethoxy-N-phenyl- (9CI) (CA INDEX NAME)



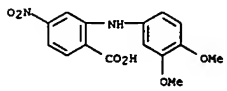
L11 ANSWER 476 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1958:1930 CAPLUS
DOCUMENT NUMBER: 52:1930
ORIGINAL REFERENCE NO.: 52:384b-i,385a-b
TITLE: Some 9-amino-3-nitroacridine derivatives
AUTHOR(S): Steck, Edgar A.; Buck, Johannes S.; Fletcher, Lynn T.
CORPORATE SOURCE: Sterling-Winthrop Research Inst., Rensselaer, NY
SOURCE: Journal of the American Chemical Society (1957), 79, 4414-17
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:1930
AB p-O2NC6H4OK in BuOH treated with BuBr yielded 68.5% p-O2NC6H4OBu (54-8% from BuI) which hydrogenated in MeOH over Raney Ni yielded 92.5% p-H2NC6H4OBu (I), b1 95-8°. I (33 g.), 35.3 g. powdered K2CO3, 36.3 g. 2,4-Cl(O2N)C6H3CO2H, and 0.5 g. Cu powder in 150 cc. pentanol refluxed 5 hrs. with stirring and steam distilled gave 64.5 g. 2,4-(p-BuOC6H4NH)(O2N)C6H3CO2H (II), orange blades, m. 197.3-7.8° (80% EtOH) (all m.ps. are corrected). II heated with POCl3 in PhMe gave 69% 7-butoxy-9-chloro-3-nitroacridine, golden brown needles, m. 159-60° (heptane). 4-Nitroveratrole (450 g.) in 1.4 l. EtOH hydrogenated at 25° and 50 atmospheric with 10% Pd-C, filtered, kept under N, and added together with 25 g. Cu powder and 25 g. Filter-Cel to 337 g. K2CO3, 492 g. 2,4-Cl(O2N)C6H3CO2H, and 0.5 l. H2O at 60°, yielded 392 g. 2,4-[3,4-(MeO)2C6H3NH](O2N)C6H3CO2K (III); free acid, m. 221-3.5°. III (356.3 g.) and 3.5 l. PhMe distilled with stirring to remove about 0.5 l. solvent, and the residual mixture treated with stirring during 15 min. with 220 cc. POCl3 gave 230 g. 9-chloro-6,7-dimethoxy-3-nitroacridine (IV), yellow, m. 246-8°. 3,4-(CH2O2)C6H3NO2 hydrogenated in MeOH at 3 atmospheric over PtO2 yielded 88% 3,4-(CH2O2)C6H3NH2 (V), b1 85-6°, m. 44.5-5.5°. V treated with 2,4-Cl(O2N)C6H3CO2H and K2CO3 in the presence of Cu powder and Filter-Cel gave 91% 2,4-[3,4-(CH2O2) C6H3NH] (O2N) C6H3 CO2H (VI), garnet plates, m. 246-7° (60% MeOH with C). VI was cyclized with POCl3 to 63% 6,7-methylenedioxy analog of IV, yellow needles, m. above 300° (from PhCl). 1,4-Benzodioxan nitrated by the method of Heertjes, et al. (C.A. 37, 6207), yielded 80% 4-nitro-1,2-ethylenedioxybenzene (VII). VII in MeOH hydrogenated at 40° and 3 atmospheric with PtO2 yielded 69% 6,7-ethylenedioxy analog (VIII) of VI, golden needles, m. 249-50.5° (aqueous EtOH with C). VIII treated in PhMe with POCl3 yielded 86.5% 6,7-ethylenedioxy analog of IV, orange, m. 298.7-9.5° (PhCl). (CH2NH2)2 treated with propylene oxide yielded 48% MeCH(OH) CH2NH(CH2)2NH2, b3 88-91°, n25D 1.4744, and 21.5 g. [MeCH(OH)CH2NHCH2]2, platelets, m. 147-7.5° (EtOH). (CH2NH2)2 and isobutylene oxide gave 58.5% Me2C(OH)CH2NH(CH2)2NH2, b2 89-93°, n25D 1.4670, and 22.5% [Me2C(OH)CH2NHCH2]2, blades, m. 69.5-70° (hexane). HO(CH2)2NH(CH2)2CN reduced catalytically in ammoniacal EtOH yielded HO(CH2)2NH(CH2)3NH2, b1 105-7°, n25D 1.4837. Similarly was prepared Me2C(OH) CH2NH(CH2)3NH2, b4 102-6°, n25D 1.4672. Pimelonitrile in 10% ammoniacal EtOH hydrogenated at 60 atmospheric and 90° over Raney Ni yielded 88% H2N(CH2)7NH2 (IX), b1 52-4°. IX (33.3 g.) in 100 cc. 90% MeOH treated at -10° with stirring with 12 cc. liquefied ethylene oxide during about 0.5 hr. yielded 20.9 g. HO(CH2)2NH(CH2)7NH2, b1 164-8°, n25D 1.4751. PhOH (440 g.) and 220 g. IV stirred at 70° and treated with 123 g. Et2NCH2CH(OH)CH2NH2 at such a rate that the temperature did not exceed 95° yielded 56-65% 9-(3-diethylamino-2-hydroxypropylamino)-6,7-

L11 ANSWER 476 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
dimethoxy-3-nitroacridine, m. 223-5° (decompn.). Similarly were prepd. the following substituted 9-(substituted amino)-3-nitroacridine di-HCl salts (substituent, 9-aminosubstituent, % yield, appearance, and m.p. given): 7-BuO, Et2NCH2CH(OH) CH2NH (X), 58.5, scarlet microcrystals, 182-4°; 7-BuO, HO(CH2)2NH(CH2)2NH, 76, orange platelets, 290-2°; 6,7-di-MeO, HO(CH2)2NH(CH2)2NH, 71, scarlet needles, 240-3°; 6,7-di-MeO, MeCH(OH) CH2NH(CH2)2NH, 78.5, orange microcrystals, 250-1°; 6,7-di-MeO, Me2C(OH)CH2NH(CH2)2NH, 70, orange needles, 253-7°; 6,7-di-MeO, HO(CH2)2NH(CH2)3NH, 68, brick-red microcrystals, 228-8.5°; 6,7-di-MeO, Me2C(OH)NH(CH2)3NH, 73, brick-red needles, 235-5.5°; 6,7-di-MeO, HO(CH2)2NH(CH2)7NH, 65.5, scarlet microcrystals, 238-9°; 6,7-(CH2O2), Et2NCH2CH(OH)CH2NH, 62, orange prisms, 251-1.5°; 6,7-(CH2O2), HO(CH2)2NH(CH2)2NH, 72.5, orange microcrystals, above 300° with charring at about 250°; 6,7-(CH2O)2, HO(CH2)2NH(CH2)2NH, 50, garnet needles, 236-8°; 6,7-(CH2O)2, Et2NCH2CH(OH)CH2NH, 74, russet microcrystals, 198-9°. All compds. except X melted with decompn.

IT 7159-41-3, Anthranilic acid, N-(3,4-dimethoxyphenyl)-4-nitro-
116571-18-7, Anthranilic acid, N-(3,4-dimethoxyphenyl)-4-nitro-, potassium salt
(preparation of)

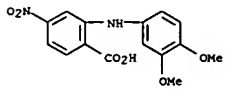
RN 7159-41-3 CAPLUS

CN Benzoic acid, 2-[(3,4-dimethoxyphenyl)amino]-4-nitro- (9CI) (CA INDEX NAME)



RN 116571-18-7 CAPLUS

CN Anthranilic acid, N-(3,4-dimethoxyphenyl)-4-nitro-, potassium salt (6CI) (CA INDEX NAME)



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L11 ANSWER 477 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN

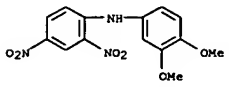
ACCESSION NUMBER: 1957:23743 CAPLUS
DOCUMENT NUMBER: 51:23743
ORIGINAL REFERENCE NO.: 51:4714h-i,4715a
TITLE: The relation between structure of disperse dyes and their dyeing characteristics on synthetic fibers
AUTHOR(S): Kuroki, Nobuhiko; Konishi, Kenzo
CORPORATE SOURCE: Univ. Osaka
SOURCE: Bulletin of University of Osaka Prefecture, Series A: Engineering and Natural Sciences (1956), 4, 123-38
CODEN: BSKAAJ; ISSN: 0474-7844
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. ibid. 2, 138 (1954). A series of 116 dyes are prepared Monoazo dyes are obtained by coupling the diazo derivative of p-nitroaniline, 2,4-dinitroaniline, and 2,6-dichloro-4-nitroaniline with a series of N,N-disubstituted anilines, 3-quinolinols, 4-phenylmorpholines, and hexahydrocarbazoles. Several nitrodiphenylamine and aminoanthraquinone dyes are also prepared Standardized dyeings are carried out with these dyes
on acetate, vinylon, and nylon. The following conclusions were drawn: even on hydrophobic fibers too hydrophobic dyes do not give good dyeability. The presence of a proper hydrophilic group is an essential factor in obtaining good results, but only those which have a proper hydrophilic-hydrophobic balance give good dyeability. H-donating groups, e.g., hydroxyl, give favorable effects, but H-accepting groups, e.g., cyano or carbonyl, give unfavorable effects. Not only nonpolar forces but
also polar forces play an important part in dye-fiber attachment.

IT 18885-63-7, Diphenylamine, 3',4'-dimethoxy-2,4-dinitro-
101435-64-7, Diphenylamine, 3',4'-diethoxy-2,4-dinitro-
101720-42-7, Diphenylamine, 2,4-dinitro-3',4'-dipropoxy-
102240-83-5, Diphenylamine, 3',4'-dibutoxy-2,4-dinitro-
(azo dyes from)

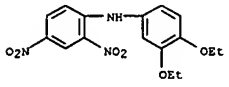
RN 18885-63-7 CAPLUS

CN Benzenamine, N-(3,4-dimethoxyphenyl)-2,4-dinitro- (9CI) (CA INDEX NAME)



RN 101435-64-7 CAPLUS

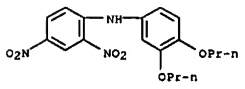
CN Diphenylamine, 3',4'-diethoxy-2,4-dinitro- (6CI) (CA INDEX NAME)



RN 101720-42-7 CAPLUS

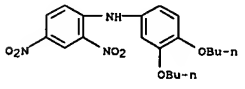
CN Diphenylamine, 2,4-dinitro-3',4'-dipropoxy- (6CI) (CA INDEX NAME)

L11 ANSWER 477 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 102240-83-5 CAPLUS

CN Diphenylamine, 3',4'-dibutoxy-2,4-dinitro- (6CI) (CA INDEX NAME)

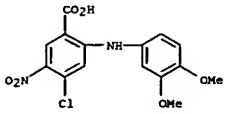


L11 ANSWER 478 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN

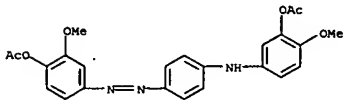
ACCESSION NUMBER: 1956:74036 CAPLUS
DOCUMENT NUMBER: 50:74036
ORIGINAL REFERENCE NO.: 50:13928c-i,13929a
TITLE: Aminoacriquine and its analogs
AUTHOR(S): Grigorovskii, A. M.; Veselitskaya, T. A.
SOURCE: Zhurnal Obshchei Khimii (1956), 26, 466-73
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 42, 910b. The favorable results obtained in applications of aminoacriquine [2-methoxy-6-chloro-7-amino-9-( 1-diethylamino-4-methylbutyl)aminoacridine-2HCl] to therapy of malaria and other diseases prompted the preparation of analogs. Treatment of 20 g. 2-methoxy-6,9-dichloroacridine in 120 mL. concentrated H2SO4 with 3 mL. HNO3 (d. 1.5) in 6 mL.
concentrated H2SO4 at 25°, followed by 1.5 h. at 50° gave after aqueous treatment and solution in (CH2Cl)2 27% mixed 4- and 7-nitro derivs.;
4-nitro isomer, m. 272-3° 7-nitro isomer, m. 211-12°.
Hydrogenation of Me2NCH2-CH2C(:NOH)Me, b38-40 136-7°, in EtOAc over Raney Ni gave 49.2% Me2NCH2CHMeNH2, b. 132-7°, d20 0.8122, nD20 1.4322. Hydrogenation of 166 g. Et2N(CH2)3-CN in 410 m. 12% NH4OH with Raney Ni at 20 atmospheric and 105° gave 80% Et2N(CH2)4NH2, b27 89-93%
Heating the various diamines with 2-methoxy-6,9-dichloro-7-nitroacridine in PhOH 2 h. at 100% followed by quenching in 10% NaOH gave the following 9-substituted 2-methoxy-6-chloro-7-nitroacridines (group in 9 position shown): amino, red, m. 298-300°; 2-diethylaminoethylamino, red, m. 187-8°; 3-diethylaminopropylamino, red, m. 150-1°
3-diethylamino-2-hydroxypropylamino, red, m. 145-6°;
3-dimethylamino-1-methylpropylamino, red, m. 135-6°
4-diethylaminobutylamino; red, m. 133-4°. Even brief boiling of these in the form of HCl salts in H2O results in hydrolytic cleavage and formation of 2-methoxy-6-chloro-7-nitroacridine. Reduction of the 7-nitro
compds. with SnCl2 (loc. cit.) gave the following 9-substituted 2-methoxy-6-chloro-7-aminoacridines (group in 9 position shown): amino, yellow-brown, m. 250-2° (di-HCl salt, decompose 304-6°);
2-diethylaminoethylamino, yellow, m. 190-1° (di-HCl salt, decompose 240-2°); 3-diethylaminopropylamino, yellow-green, m. 145-6° (di-HCl salt, decompose 280-2°); 3-diethylamino-2-hydroxypropylamino, yellow-green, m. 136-7° (di-HCl salt (I), decompose 272-4°);
3-dimethylamino-1-methylpropylamino, yellow, m. 160-2° (di-HCl salt, decompose 272-4°); 4-diethylaminobutylamino, yellow, m. 118.5-19.5° (di-HCl salt (II), decompose 268-70°).
Condensation of 2-nitro-9-chloroacridine with 1-diethylamino-4-aminopentane in PhOH gave 2-nitro-9-(4-diethylamino-1-methylbutylamino)acridine, red, m. 80-1°, which reduced to the 2-amino analog; di-HCl salt, yellow, m. 165-8°. Reaction of 2,4-dichloro-5-nitrobenzoic acid with 4-aminoveratrole and 3',4'-dimethoxy-4-nitro-5-chlorodiphenylamine-2-carboxylic acid, yellow, m. 240-2°, which with POCl3 gave 2,3-dimethoxy-6-chloro-7-nitro-9-chloro-acridine, yellow-green, m. 240-1°, which with 1-diethylamino-4-aminopentane gave orange-red 2,3-dimethoxy-6-chloro-7-nitro-9-(1-diethylamino-4-methylbutyl)amino-acridine, m. 94-5° which reduced to the 7-amino analog, isolated as di-HCl salt, m. 130-2°. Hydrogenation of 500 g. 2-methoxy-6-chloro-7-nitro-9-(1-diethylamino-4-methylbutyl)aminoacridine in 2.5l. Me2CO over Raney Ni at 22-6° at 1 atmospheric 12-15 h. gave after filtration and acidification at
4-5° with concentrated HCl in Me2CO 84/% aminoacriquine-2HCl, decompose

L11 ANSWER 478 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
259-60°. I and II showed antimalarial activity substantially above that of acriquine.
IT 855952-71-5, Anthranilic acid, 4-chloro-N-(3,4-dimethoxyphenyl)-5-nitro-
(preparation of)
RN 855952-71-5 CAPLUS
CN Anthranilic acid, 4-chloro-N-(3,4-dimethoxyphenyl)-5-nitro- (5CI) (CA INDEX NAME)

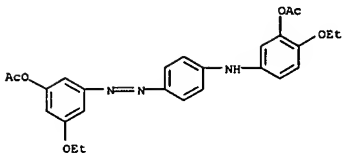


L11 ANSWER 479 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1955:69065 CAPLUS
DOCUMENT NUMBER: 49:69065
ORIGINAL REFERENCE NO.: 49:13226g-i,13227a
TITLE: Oxidations with phenyl iodosoacetate V. The oxidation of p-anisidine and p-phenetidine
AUTHOR(S): Mitchell, Joan; Pausacker, K. H.
CORPORATE SOURCE: Univ. Melbourne
SOURCE: Journal of the Chemical Society, Abstracts (1954) 4502-5
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB When p-MeOC6H4NH2 (I) or p-EtOC6H4NH2 (II) were treated with PhI(OAc)2 in C6H6 for 28 hrs., followed by chromatography on alumina, the following products were obtained: 4,4'-dialkoxyazobenzenes, m. 164° (5%) or m. 160° (6%), resp.; tetra-p-alkoxyazophenines [RN:C.CR:CH.C(:NR).CH:CR (R = p-alkoxyphenyl)], m. 234° or m. 258° (about 1% each), resp.; p-benzoquinone bis-p-alkoxyphenylimines, m. 199° (III) (16%) or m. 178° (12%), resp.; and 3-acetoxy-4'-(3-acetoxy-4-alkoxyanilino)-4-alkoxyazobenzenes [structure not definitely proved), m. 175-6° (11%) or m. 169° (5%) (IV), resp.; and from II N,N'-bis[p-ethoxyphenyl]phenylenediamine (5%). Quinol, I, CaCl2, and ZnCl2 were heated 13 hrs. in a sealed tube at 190-5°, worked up to yield N,N'-di-(4-methoxyphenyl)-p-phenylenediamine, m. 199.5°, which on oxidation either with chromic acid in AcOH or with PhI(OAc)2 gave III. Oxidation of II in HOAc with PhI(OAc)2 gave (p-EtOC6H4N:)2 and probably IV. The possible mechanism for the reactions is discussed.
IT 854733-65-6, Guaiacol, 4-(p-3-hydroxy-p-anisidinophenylazo)-, diacetate 855629-31-1, Phenol, 3-ethoxy-5-[p-(3-hydroxy-p-phenetidino)phenylazo]-, diacetate
(preparation of)
RN 854733-65-6 CAPLUS
CN Guaiacol, 4-(p-3-hydroxy-p-anisidinophenylazo)-, diacetate (5CI) (CA INDEX NAME)

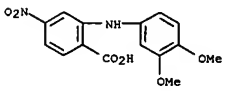


RN 855629-31-1 CAPLUS
CN Phenol, 3-ethoxy-5-[p-(3-hydroxy-p-phenetidino)phenylazo]-, diacetate (5CI) (CA INDEX NAME)

L11 ANSWER 479 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L11 ANSWER 480 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1951:24235 CAPLUS
DOCUMENT NUMBER: 45:24235
ORIGINAL REFERENCE NO.: 45:4247e-h
TITLE: 6-Nitro-9-(3-diethylamino-2-hydroxypropylamino)-2,3-dimethoxyacridine
AUTHOR(S): Miller, Charles S.; Wagner, Charlotte A.
SOURCE: Journal of Organic Chemistry (1948), 13, 891-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The compound named is synthesized by standard methods. 2,4,1-Cl(O2N)C6H3CO2H (I) is prepared by the series of reactions: o-H2NC6H4Me → 4,2,1-O2N(H2N)C6H3Me (77%) [or p-O2NC6H4Me] → 2,4,1-Cl(O2N)C6H3Me (64%) → I (42%). 1,3,4-H2NC6H3(OMe)2 (II) is prepared as follows: o-C6H4(OMe)2 → 1,3,4-O2NC6H3(OMe)2 (96%) → II (54%). AmOH with I and K2CO3 at the b.p., then cooling to 95°, adding II and Cu, and keeping successively at 95-100° (10 hrs.) and overnight gives 4-nitro-2-(3,4-dimethoxyanilino)-benzoic acid (III) (34.3%), m. 227.5-8°, and some p-O2NC6H4CO2H. III with POCl3 at the b.p. (2.5 hrs.) affords 9-chloro-6-nitro-2,3-dimethoxyacridine (C.A. numbering) (70.7%), m. 252-3° (decomposition, depends on rate of heating), converted by PhOH at 85-90° and then gradually adding NH2CH2CH(OH)CH2NEt2 at 85-90° (25 min.) and keeping at this temperature (1.5 hrs.) into 6-nitro-9-(3-diethylamino-2-hydroxypropylamino)-2,3-dimethoxyacridine (67%), m. 168-9° (+H2O, m. 109-15°)[di-HCl salt + 2H2O, m. 219-20° (decomposition)].
IT 7159-41-3, Anthranilic acid, N-(3,4-dimethoxyphenyl)-4-nitro-
(preparation of)
RN 7159-41-3 CAPLUS
CN Benzoic acid, 2-[(3,4-dimethoxyphenyl)amino]-4-nitro- (9CI) (CA INDEX NAME)



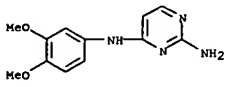
L11 ANSWER 481 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1944:33307 CAPLUS
DOCUMENT NUMBER: 38:33307
ORIGINAL REFERENCE NO.: 38:4952h-i,4953a-b
TITLE: Arylaminoheterocyclic compounds. II. Arylaminopyrimidines
AUTHOR(S): Banks, C. Kenneth
SOURCE: Journal of the American Chemical Society (1944), 66, 1131
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB PhNH2 and 2-amino-4-chloropyrimidine (0.1 mol each) and 1 mL. HCl in 100 mL. H2O, refluxed 30 min. and the product made strongly alkaline with 10 N NaOH, give 92% of 2-amino-4-anilinopyrimidine, m. 155-6° (m. ps. corrected); solution in glacial AcOH and precipitation with ether give the diacetate, m. 170°; heating, in vacuo, or solution of the base in dilute AcOH gives the monoacetate, m. 176-8°; alc. HCl with addition of 5 vols. AcOBu gives the HCl salt, m. 184-5°. The following 4 substituted 2-aminopyrimidines were similarly prepared: 2,6-dimethylanilino, m. 186-7°; 4-phenylanilino, m. 193-5°; 2-isomer, m. 130-2°; 1-naphthylamino, m. 133-4°; morpholino, m. 157-61°; 4-acetylanilino-HCl, m. 275-6°; 4-acetamidoanilino-HCl, m. 299-300°; 3,4-dimethoxyanilino-HCl, m. 270°; 4-methoxyanilino-HCl, m. 276-8°; 2,6-dihydroxyanilino-2HCl, m. 123-4°; 2-hydroxyanilino-2HCl, m. above 200°; 3-isomer-HCl, m. 178-80°; 4-isomer, m. 245-7° (decomposition) (HCl salt, m. 275-7°); 4-carboxyanilino, m. 295-7° (decomposition) (diethylaminoethanol ester-3HCl, m. above 250°); 2-carboxyanilino (Na salt), m. above 250°. 4-Amino-2-anilinopyrimidine-HCl, m. 149-50°; 2-amino-4-anilino-6-methylpyrimidine, m. 170-2°; 2,4-dianilinopyrimidine, m. 136-8° (HCl salt, m. 194-5°).

IT 861031-46-1, Pyrimidine, 2-amino-4-(3,4-dimethoxyanilino)-, -HCl (preparation of)

RN 861031-46-1 CAPLUS

CN Pyrimidine, 2-amino-4-(3,4-dimethoxyanilino)-, -HCl (4CI) (CA INDEX NAME)



● HCl

L11 ANSWER 482 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1939:29876 CAPLUS
DOCUMENT NUMBER: 33:29876
ORIGINAL REFERENCE NO.: 33:4252d-i,4253a-i,4254a-b
TITLE: Quinazolines. XLIV. The synthesis of some new quinazoline derivatives of veratrole akin to alkaloids
AUTHOR(S): Fetscher, Charles A.; Bogert, M. T.
SOURCE: Journal of Organic Chemistry (1939), 4, 71-87
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 33:29876

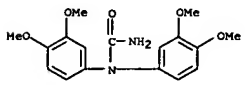
AB cf. C. A. 30, 7577.7. An attempt has been made to synthesize true papaverine analogs of the quinazoline series, but so far without success. The expts. have, however, led to interesting products which are reported. The application of the Pictet papaverine synthesis in the quinazoline series has failed. Since veratrole derivs. react quite differently from unmethoxylated benzene, Ac, phenylacetyl and bromoveratroyl derivs. of 3,4-dimethoxyphenylurea were prepared but they cannot be condensed to quinazolones. The Riedel quinazoline synthesis (Ger. pat. 174,941 (1905)) gives 6,7-dimethoxyquinazoline in good yield with 6-nitroveratraldehyde but does not work with ketones under the conditions used. o-Aminodesoxyveratroin (I) could not be prepared by direct nitration of desoxyveratroin and reduction, for the NO2 enters in the o-position to the CH2 group and not to the CO group. Also the attempt to prepare I from 6-nitroveratronitrile and veratryl-MgCl (cf. Pschorr and Decker, Ber. 37, 3404(1904)) failed. The preparation of veratryl chloride by the Blanc process gives tetramethoxydihydroanthracene. The possibility of preparing I from the Na compound of 6-nitroveratroylacetic ester and a 4-haloveratrole is hindered by the unreactivity of these halogen compds. Formylation of 4-aminoveratrole (II) and of Et 6-aminoveratrate (III) is unsuccessful. When III is heated with HCO2Et in a sealed tube it gives Et 6-aminoveratroylformate (IV) as shown by hydrolysis to 6-aminoveratric acid and 6-aminoveratraldehyde and its conversion into the corresponding dimethoxyisatin. With AcOEt III gives Et acetaminoveratrate. The latter is converted into the corresponding dimethoxyacetanthranil and 2-methyl-6,7-dimethoxy-4-quinazolone. In a similar way, anthranil and quinazolones are prepared from the analogous 6-phenylacetamino- and 6-bromoveratroylaminoveratric acids. Condensation of 6-nitroveratraldehyde with bromoveratric acid gives α-(3',4'-dimethoxyphenyl)-3,4-dimethoxy-6-nitrocinnamic acid (V). Addition of HBr to V gives only gums. Benzoyleneurea cannot be reduced by any means and the reduction of 2,4-dichloroquinazoline by red P and HI gives only minute yields of dihydroquinazoline. Quinazoline is reduced by 4% NaHg to 1,2,3,4-tetrahydroquinazoline, m. 191-2°, in 80% yield. Nitration of 4-chloroveratrole with concentrated HNO3 at room temperature yields 4-chloro-5-nitroveratrole (VI), m. 118°. Heating VI with a saturated solution of NH3 in absolute EtOH for 10 h. at 130° gives 4-amino-5-nitroveratrole, m. 171°. When 4-nitroveratrole is refluxed with 5 cc. SOCl2 for 30 min. and the mixture is decomposed with 50% EtOH, 4-nitro-6-chloroveratrole (VII), m. 95°, is obtained. When VII is reduced with Sn and HCl, 4-amino-6-chloroveratrole, m. 89°, is formed. By catalytic reduction of 4-nitroveratrole, II, m. 86°, is obtained in 92% yield. Its HCl salt, m. 240°; Ac deriv., m. 133°; Bz deriv., m. 178°. When it is heated with CO2KCO2H for 1 h. at 120°, the acid oxalyl deriv., m. 168°, is obtained. When 2 g. II.HCl, 2 g. urea and 20 cc. H2O are refluxed for 30 min. and the hot soln. is filtered after 45 min., 3,4-dimethoxyphenylurea (VIII), m. 210°, crystallizes. The residue of the hot filtrate is extd. with EtOH and the insol. portion, after recrystn. from PhMe, m. 313° and is sym-di-(3,4-dimethoxyphenyl)urea From the alc. ext. the asym. compd., m. 210°, is obtained. Acetylation of VIII with Ac2O and pyridine yields sym-acetal-3,4-dimethoxyphenylurea, m. 227°. Acylation of VIII with PhCH2COCl and pyridine gives sym-phenylacetyl-3,4-dimethoxyphenylurea, m. 249°. With homoveratroyl chloride and pyridine, VIII gives sym-homoveratroyl-3,4-dimethoxyphenylurea, m. 256°. When dry HCl is bubbled into a mixt. of 20 g. veratrole, 5 g. paraformaldehyde and 10 g. ZnCl2, there seps. a white product, m. 235°, which is believed to be 2,3,6,7-tetramethoxy-9,10-dihydroanthracene. 6-Nitroveratraldehyde (IX), m. 133°, is best prepd. by slowly adding 15 g. veratraldehyde to 100 cc. concd. HNO3 at 15-20° in the course of 30 min. with exclusion of light. On bubbling dry HCl into a mixt. of IX and formamide at 45-50°, it becomes solid. After washing it with EtOH and crystg. from H2O, 6-nitroveratrylidenediformamide (X), m. 195.5°, is isolated. Redn. of X with Zn dust and AcOH gives 6,7-dimethoxyquinazoline, m. 143°; HCl salt, m. 227°. Oxidn. of IX according to Pschorr and Sumuleanu, (Ber. 32, 3412(1899)) gives 6-nitroveratric acid (XI), m. 189-90°; Et ester (XII), m. 99.5°; chloride, prepd. with SOCl2, m. 88-9°; amide, m. 193°. The latter, when treated with P2O5, gives the nitrile, m. 168°, which could not be made to react with BuMgBr or PhMgBr. When the oxidn. of IX is carried out with an insufficient amt. of KMnO4, a mixt. of XI with 6-nitrosoveratric acid (XII), m. 189-90°, is obtained which is sepd. by fractional crystn. from H2O. A product, the anal. of which agrees with that of the Et ester of XII, is obtained on catalytic redn. of XII with Pd and m. 70°. When 5 g. XII in 10 cc. AcOEt is treated with 0.7 g. Na, Et 6-nitroveratroylacetate, m. 73°, is obtained. On mild hydrolysis, 6-nitroveratroylacetic acid (XIV), m. 219°, is obtained. When XIV is refluxed for 30 h. with a satd. soln. of Ba(OH)2, the soln. then acidified and steam distd., no volatile substance is obtained, but a compd. m. 165°, is isolated, the anal. and chem. properties of which agree with those of chloronitroacetovanillone or -isovanillone. Redn. of XI with (NH4)2SO4.FeSO4 gives 30% 6-aminoveratric acid (XV), m. 186°. Redn. of XI with the Adams Pt catalyst gives better yields of XV. Its Et ester (III), m. 88°, is best prepd. by catalytic redn. of XII. Formylation of XV with HCO2Et at 130° for 4 h. yields Et 6-aminoveratroylformate (IV), m. 70°. When IV is kept at 40° for 3 h. in 10% KOH soln., filtered, neutralized with HCl and then extd. with Et2O, 5,6-dimethoxyisatin, m. around 180-95°, is formed. When III is treated with AcOEt to effect a Claisen condensation there is obtained 70% Et 6-aminoveratroylacetate, m. 130°, which on careful sapon. gives 6-acetaminoveratric acid (XVI), m. 233°. When a soln. of XVI in Ac2O is concd., 6,7-dimethoxyacetanthranil seps. as fine needles which, when boiled for 20 min. with 10 N NH4OH contg. 1 drop KOH, yield 2-methyl-6,7-dimethoxy-4-quinazolone, m. 312°. 6-Phenylacetaminoveratric acid (XVII), m. 226°, is prepd. by gradually adding 1.5 g. PhCH2COCl to 1.4 g. XV in 6.5 cc. satd. AcONa soln. at 0°. With Ac2O, XVII gives benzyldimethoxyanthranil which, on treatment with NH4OH, is converted into 2-benzyl-6,7-dimethoxy-4-quinazolone, m. 253°. XV and bromoveratroyl chloride give

L11 ANSWER 482 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
6-homoveratroylaminoveratric acid, m. 241°, which gives with Ac2O veratryldimethoxyanthranil. The latter is converted with NH4OH into 2-veratryl-6,7-dimethoxy-4-quinazolone, m. 269°. α-(3',4' - Dimethoxyphenyl) - 3,4 - dimethoxy - 6 - nitrocinnamic acid (XVIII) is obtained when 1 g. Na homoveratrate, 0.75 g. IX and 10 cc. Ac2O are heated for 2.5 h. at 105°. The excess of Ac2O is destroyed by addn. of a few cc. hot H2O and the mixt. poured into 200 cc. 2 N HCl. The ppt. is filtered and the product purified. The yield is 60%. XVIII m. 187°.

IT 854643-66-6, Urea, 1,1-bis(3,4-dimethoxyphenyl)- (preparation of)

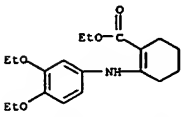
RN 854643-66-6 CAPLUS

CN Urea, 1,1-bis(3,4-dimethoxyphenyl)- (4CI) (CA INDEX NAME)

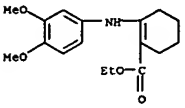


L11 ANSWER 483 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1938:53345 CAPLUS
DOCUMENT NUMBER: 32:53345
ORIGINAL REFERENCE NO.: 32:7460h-i,7461a-e
TITLE: Heterocyclic compounds derived from catechol ethers. I. Some derivatives of 6,7-dimethoxyquinoline
AUTHOR(S): Lions, Francis
SOURCE: Journal and Proceedings of the Royal Society of New South Wales (1938), 71, 242-50
CODEN: JPRSA5; ISSN: 0035-9173
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C. A. 32, 3351.8. 4-Aminoveratrole (I) (15.3 g.), dissolved in 35 cc. concd HCl, was condensed with 20 g. paraldehyde in the presence of 5 g. ZnCl2 to give 8 g. of 2-methyl-6,7-dimethoxyquinoline, m. 103° from petr. ether (cf. Rilliet, C. A. 16, 3885); the base readily forms a picrate, m. 217°, methiodide, m. 241°, and an ethiodide; reduction of the base with Na and EtOH gives the corresponding 1,2,3,4-tetrahydro derivative, the Ac derivative which showed the brucine reaction with HNO3. Condensation of 15.3 g. I with 13.0 g. AcCH2CO2Et in the cold with a trace of HCl gave practically a quant. yield of Et β-(3,4-dimethoxyanilino)crotonate, m. 61°; 10 g. of ester was readily cyclized by dropping into paraffin oil (60 g.) preheated to 270°, giving 70% of 2-methoxy-4-hydroxy-6,7-dimethoxyquinoline, m. 280°. In a similar way 9 g. of 3,4-diethoxyaniline (II) and 6.5 g. AcCH2CO2Et were condensed with HCl to Et β-(3',4'-diethoxyanilino)crotonate, an oil which could not be induced to crystallize but was readily cyclized in paraffin heated to 280° to 2-methyl-4-hydroxy-6,7-diethoxyquinoline, m. 211° from alc., in a 50% yield. I (5 g.) in 4 times its weight of AcCH2CO2Et (20 g.) previously heated to 160°, and maintained at this temperature for 5 min., gave 60% of 4-aceto-4-acetaminoveratrole, m. 59°, which with 4 times its weight of concentrated H2SO4 readily yields 2-hydroxy-4-methyl-6,7-dimethoxyquinoline, m. 235°. Condensation of 15.3 g. I with 17 g. of Et cyclohexanone-2-carboxylate in the presence of 1 drop of 5 N HCl yielded 90-5% of Et 2-(3',4'-dimethoxyanilino)-1-cyclohexene-1-carboxylate (III), m. 72°; the latter (16 g.), in paraffin previously heated to 270°, is immediately cyclized in 80% yield to 5-hydroxy-7,8-dimethoxy-1,2,3,4-tetrahydroacridine, m. above 300°. In a similar way, 9 g. II and 8.5 g. III in the presence of a trace of HCl give quant. Et 2-(3',4'-diethoxyanilino)-1-cyclohexene-1-carboxylate, m. 44°, which, in paraffin oil previously heated to 280°, is immediately cyclized in 70% yield to 5-hydroxy-7,8-diethoxy-1,2,3,4-tetrahydroacridine, m. 281°. I (5.1 g.) and 5.4 g. BzCH2Ac melted in a H2O bath were condensed with a trace of HC to give a practically quant. yield of a Schiff base which is almost certainly β-(3,4-dimethoxyanilino)propenyl Ph ketone, m. 100°; the latter (6 g.) in 20 cc. cold concentrated H2SO4 is cyclized in 80-90% yield to 2-methyl-4-phenyl-6,7-dimethoxyquinoline, m. 142°. Attempts to prepare 2-phenyl-4-methyl-6,7-dimethoxyquinoline from 6-aminoacetoveratrone and PhCOMe in aqueous alc. or alc. KOH were unsuccessful.
IT 854432-43-2, 1-Cyclohexene-1-carboxylic acid, 2-(3 4-diethoxyanilino)-, Et ester 854433-27-5, 1-Cyclohexene-1-carboxylic acid, 2-(3,4-dimethoxyanilino)-, Et ester
(preparation of)

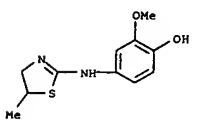
L11 ANSWER 483 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 854432-43-2 CAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 2-(3 4-diethoxyanilino)-, Et ester (4CI) (CA INDEX NAME)



RN 854433-27-5 CAPLUS
CN 1-Cyclohexene-1-carboxylic acid, 2-(3,4-dimethoxyanilino)-, Et ester (4CI)
(CA INDEX NAME)



L11 ANSWER 484 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1936:36773 CAPLUS
DOCUMENT NUMBER: 30:36773
ORIGINAL REFERENCE NO.: 30:4859a-c
TITLE: Thiazolinephenols. Their synthesis and structure proof
AUTHOR(S): Niederl, Joseph B.; Hart, Wm. F.; Scudi, John V.
SOURCE: Journal of the American Chemical Society (1936), 58, 707-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB CH2:CHCH2NCS (0.5 mol.) and 1 mol. PhOH, treated with 1 mol. concentrated H2SO4, at 0-5° and allowed to stand 24 hrs. at 0° and 3 days at room temperature, give 5-methyl-2-(4'-hydroxyphenyl)-thiazoline (I), m. 166-8° (HCl salt, m. 187°; picrate, m. 178°); oxidation with KClO4 gives p-HOC6H4CO2H and H2NCH2CHMeSO3H; intermediate products assumed are CH2:CHCH2N:C(HS)OPh and MeCH.S.C(OPh):N.CH2. 2-(2'-Methyl-4'-hydroxyphenyl) analog of I, m. 131° (HCl salt, m. 175°; picrate, m. 154°); 2-(4'-hydroxy-3'-methoxyphenyl) analog, m. 142° (HCl salt, m. 187°; picrate, m. 159-60°); 2-(2',4'-dihydroxyphenyl) analog, m. 184° (HCl salt, m. 251°; picrate, m. 190°).
IT 858008-81-8, Δ2-Thiazoline, 2-(4-hydroxy-3-methoxyanilino)-5-methyl-
(and salts)
RN 858008-81-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



L11 ANSWER 485 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1936:29036 CAPLUS
DOCUMENT NUMBER: 30:29036
ORIGINAL REFERENCE NO.: 30:3822d-i,3823a-i,3824a-c
TITLE: Acridine compounds and their antimalarial action. I
AUTHOR(S): Magidson, O. Yu.; Grigorovskii, A. M.
SOURCE: Ber. (1936), 69B, 396-412
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The study of quinoline compds. from the standpoint of their use as antimalarial agents (C. A. 29, 7013.2; 30, 1514.7; and earlier papers) has brought out numerous interesting relationships between chemical structure and therapeutic effect. In view of the close analogy between quinoline and acridine compds., and especially of the fact that an acridine derivative, atebrin (I) (Ger. pat. 571,449), has been found to be an excellent antimalarial, the study of the relationships found in the quinolines has been extended to the acridines. The compound II has no therapeutic effect; its chemotherapeutic index I (maximum tolerated dose (DMT)/min. curative dose (DMC)) is 0, but if the NO2 group is changed from the 6- to the 7-position, I becomes 1.5. If the NO2 group is replaced by Cl, there is obtained a series of extraordinarily active compds. of the type III, for which I = 8, 15, 20, 6, when n = 2, 3, 4, 5, resp. Where CH2CH(OH)CH2 is substituted for (CH2)n, I = 6; this marked diminution of therapeutic activity by increasing the hydrophilic properties of the compound occurs only when Cl (electropos. substitution) is present on the nucleus; with NO2 (electroneg. substitution) on the nucleus, introduction of HO in the side chain raises the value of I. Absence of substituents in positions 6 or 7 completely annuls therapeutic activity. Increase in mol. weight of the 2-alkoxy group results in a decrease of I. This 2-alkoxy group plays an important role (probably because the acridine is excreted as 2-hydroxyacridone); replacement of MeO by Me lowers the value of I and introduction of a 2nd MeO group in position 3 brings I down to 0. An α-Me group in the side chain also lowers I. The DMT (dilution of 1 cc. of solution injected into the blood of a bird infected with Plasmodium precox) is 200, 200, 300, 500, 600, and the DMC 1500, 3000, 6000, 3000, 3000, for n = 2, 3, 4, 5, 6, resp. For MeO and EtO in position 2, DMT is 200, 400 and DMC is 3000, 3000. This decrease in I with increase of the 2-alkoxy group and with increase (beyond 4) of n is probably related with the tendency to split off which increasing radicals exhibit in the organism under the influence of enzymes. The decomposition of these acridine compds. begins with a splitting off of the diamine chain and the formation of acridone. Thus I (III with CHMeCH2CH2CH2 instead of (CH2)n) on boiling deposits after some hrs. an appreciable amount of 2-methoxy-6-chloroacridone. With HCl under pressure the decomposition follows another course; after 4 hrs. with concentrated HCl at 120-5° there are obtained considerable 2-methoxy-6-chloro-9-aminoacridine and a base soluble in aqueous alkali which is presumably the 2-HO compound The hydrolysis takes place with special ease when the 9-substituent is a secondary amine residue; the HCl salt of the 9-N(CH2CH2CH2NEt2)2 compound hydrolyzes in cold aqueous solution

L11 ANSWER 485 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
and after standing some hrs. deposits 2-methoxy-6-chloroacridone. The ability of the HCl salts to crystallize decreases with increasing length of the side chain. In prepg. these compds., advantage was taken of the great lability of Cl in the 9-position of acridines to effect direct condensation with primary amines, which takes place smoothly in the presence of phenols (Ger. pats. 553,072, 571,449 (C. A. 27, 3036), etc.). Presumably there is first formed a 9-phenoxy deriv., for 9-phenoxyacridines also give good yields of the amine condensation products under the same conditions, while the 9-Cl compds. in the absence of phenols do not. 1,3-Diethylaminobutanone oxime, yellow, b15 141-2°, slowly poured in BuOH upon Na under xylene and heated until the Na dissolves completely, gives 1-diethylamino-3-aminobutane, b12 72-4°, d2020 0.8262, nD18 1.4428. β-Diethylaminoethylamine, prepd. by refluxing C6H4(CO)2NCH2CH2Br and Et2NH in xylene and hydrolyzing
the product with boiling HCl, b. 145-9°. γ-Chloropropylphthalimide, from C6H4(CO)2NH, K2CO3 and BrCH2CH2CH2Cl at 190°, m. 62-5° 2-diethylaminopropylamine, b12 55-8°, b. 162-5°. 5-Diethylaminoamylamine, from BzNH(CH2)5Cl and NHEt2 at 100-20°, with subsequent hydrolysis of the product with HCl at 125°, b. 205-8°, d2020 0.8432, nD20 1.4540. 1-Chloro-5-brom opentane, from BzNH(CH2)5Cl treated with PBr3 and then Br, distd. and decompd. with ice, b. 210-12°, d1515 1.488, nD18 1.4920, gives with NaCN in MeOH and then NHEt2 ε-diethylaminocapronitrile, b3.5 92-7°, which in alc. with Na under xylene gives 6-diethylaminohexylamine, b. 216-18° chloroplatinate, yellow, m. 120-2°. 2-Methoxy-9-chloroacridine, m. 152-3°, was prepd. by refluxing o-ClC6H4CO2H and anisidine with K2CO3 and a pinch of reduced Cu in AmOH and heating the resulting N-p-anisylanthranilic acid, m. 183-4°, with POCl3 and treating the product with NH4OH. 7-Nitro deriv., similarly prepd. from 2,5-Cl(O2N)C6H3CO2H, yellow-green, m. 220-1°. 2,3(?)-Dimethoxy-6,9-dichloroacridine, from 4,2-Cl[3,4-(MeO)2C6H3NH]C6H3CO2H (m. 190-1°), light yellow, m. 202-3°. 2-Ethoxy-9-(β-diethylaminoethylamino)acridine, isolated as the di-HCl salt, yellow crystals with 2 H2O, m. 242-4°. 6-Nitro deriv.; di-HCl salt (2 H2O), dark yellow, m. 246-52°, DMT 1:200, I = 0. 7-Nitro isomer, intensely red, m. 172-5° di-HCl salt, yellowish cream-colored, m. 243-6° (decompn.), DMT 1:200, DMC 1:300, I, 1.5. 2-Ethoxy-6-nitro-9-(γ-diethylaminopropylamino)acridine-2HCl, orange-red, m. 226-8°, DMT 1:300, I 0. 2-Methoxy-6-chloro-9-(γ-diethylaminopropylamino)acridine-2HCl, yellow crystals with 3 H2O, m. 249-50°, DMT 1:200, DMC 1:3000. 2-Methoxy-7-nitro-9-(γ-diethylamino-β-hydroxypropylamino)acridine, red, m. 124°; di-HCl salt, orange-yellow, m. 213-14°, DMT 1:150, DMC 1:600. 2-Methoxy-6-chloro-9-(γ-diethylamino-β-hydroxypropylamino)acridine (Ger. pat. 553,072), m. 105-7°; di-HCl salt, m. 237-9°, DMT 1:350, DMC 1:2000. 9-(δ-Diethylaminobutylamino) compd., yellow needles with 5 H2O, m. 76-8°; di-HCl salt, yellow cryst. powder with 2 H2O, m. 246-8°, DMT 1:250, DMC 1:5000. 9-(δ-Diethylamino-α-methylbutylamino) compd. (I), yellow, m. 86-8°; di-HCl salt, yellow, m. 246-8°, DMT 1:300, DMC 1:4500. 2-Methoxy-9-(γ-diethylaminopropylamino)acridine-2HCl, light yellow powder with 1 H2O, m. 240-1°, DMT 1:300. 7-Nitro deriv., red needles with 2 H2O, m. 122-3.5°; di-HCl salt, yellow, m. 229-30°, DMT 1:200, DMC 1:500. 2,3(?)-Dimethoxy-6-chloro-9-(γ-diethylaminopropylamino)acridine, yellow hydrated needles; di-HCl salt, light yellow microcryst. powder with 2 H2O, m. 227-8°, DMT 1:500. 2-Methoxy-6-chloro-9-[bis(γ-diethylaminopropyl)amino]acridine; the

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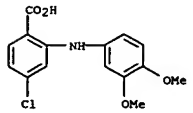
aq. soln. of the HCl salt is exceedingly unstable and soon deposits 2-methoxy-6-chloroacridone. 2,3(?)-Dimethoxy-6-chloro-9-(δ-diethylamino-α-methylbutylamino)acridine-2HCl, cryst. yellow powder with 1 H2O, m. 246-7°, DMT 1:400, DMC 1:3000. 2-Ethoxy-6-chloro-9-(δ-diethylaminobutylamino)acridine-2HCl, yellow crystals with 2 H2O, m. 254-5.5°, DMT 1:400, DMC 1:4500. 2-Methyl-6-chloro-9-(γ-diethylaminopropylamino)acridine-2HCl, light yellow powder with 2 H2O, m. 239-41°, DMT 1:500, DMC 1:3000. 2-Methoxy-6-chloro-9-(ε-diethylaminopentylamino)acridine-2HCl (1 H2O), m. 266-8° (Ger. pat. 553,072 gives 258-60°), DMT 1:500, DMC 1:3000. 9-(β-Diethylaminoethylamino) compd.: di-HCl salt, m. 258-90° (Ger. pat. 533,072 gives 251-2°), DMT 1:200, DMC 1:1500. 9-(γ-Diethylamino-α-methylpropyl) compd.: di-HCl salt, yellow crystals with 1 H2O, m. 253-4°, DMT 1:300, DMC 1:2000. 9-(ζ-Diethylaminohexylamino) compd.: di-HCl salt, light yellow, m. 232-5°, DMT 1:600, DMC 1:3000.

IT 860587-78-6, Anthranilic acid, 4-chloro-N-(3,4-dimethoxyphenyl)-
(preparation of)

RN 860587-78-6 CAPLUS

CN Anthranilic acid, 4-chloro-N-(3,4-dimethoxyphenyl)- (3CI) (CA INDEX NAME)




L11 ANSWER 486 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1936:11497 CAPLUS
DOCUMENT NUMBER: 30:11497
ORIGINAL REFERENCE NO.: 30:1519d-f
TITLE: Oxazoline compounds (local anesthetics)
INVENTOR(S): Engelmann, Max
PATENT ASSIGNEE(S): E. I. du Pont de Nemours & Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

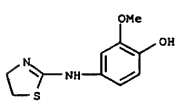
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2027031 | | 19360107 | US | |

AB Various examples are given of the reaction of substituted phenyl isocyanates with halo ethylamines and further treatment of the resulting product or substituted phenylalkylhalo ureas to produce substituted phenyl
dihydrooxazoles, and, as being new products, claim is made to compds. such
as 2-p-ethoxyphenyldi-hydrooxazole,2-p-butyloxyphenyldihydrooxazole and the like (general mention being made of various similar compds. and their salts).

IT 857998-22-2, Guaiacol, 4-(4,5-dihydro-2-thiazylamino)-, -HCl
857998-24-4, Guaiacol, 4-(4,5-dihydro-2-thiazylamino)-
(preparation of)

RN 857998-22-2 CAPLUS

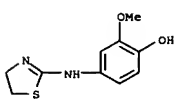
CN INDEX NAME NOT YET ASSIGNED




● HCl

RN 857998-24-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED




L11 ANSWER 487 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1936:11496 CAPLUS
DOCUMENT NUMBER: 30:11496
ORIGINAL REFERENCE NO.: 30:1519c-d
TITLE: Thiazoline compounds (local anesthetics)
INVENTOR(S): Engelmann, Max
PATENT ASSIGNEE(S): E. I. du Pont de Nemours & Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

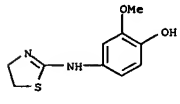
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2027030 | | 19360107 | US | |

AB By the reaction of substituted phenyl isothiocyanates such as p-tolyl isothiocyanate with halo ethylamines such as bromoethylamine-HBr, products
are obtained such as p-tolyliminodihydrothiazole, m. 131°, hydrochloride, m. 154°. p-Fluorophenyliminodihydrothiazole, m. 152-3°, hydrochloride, m. 134°. o-Butoxyphenyliminodihydrothiazole, m. 68°. p-Hydroxy-phenyliminodihydrothiazole, m. 154°, hydrochloride, m. 238-9°. p-Ethoxyphenyliminodihydrothiazole, m. 140°. p-Hydroxy-m-methoxyphenyliminodihydrothiazole, m. 168-9°, hydrochloride, m. 211°. General mention is made of some other similar derivs. and of their salts.

IT 857998-22-2, Guaiacol, 4-(4,5-dihydro-2-thiazylamino)-, -HCl
857998-24-4, Guaiacol, 4-(4,5-dihydro-2-thiazylamino)-
(preparation of)

RN 857998-22-2 CAPLUS

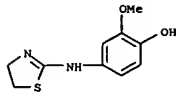
CN INDEX NAME NOT YET ASSIGNED




● HCl

RN 857998-24-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

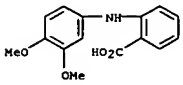


L11 ANSWER 487 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L11 ANSWER 488 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1933:63676 CAPLUS
DOCUMENT NUMBER: 27:63676
ORIGINAL REFERENCE NO.: 27:5744d-g
TITLE: 2-Methoxyacridine and 2,3(?)-dimethoxyacridine
AUTHOR(S): Borsche, W.; Runge, F.; Trautner, W.
SOURCE: Ber. (1933), 66B, 1315-18
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The use of PCl5 for the intramol. condensation of diphenylamine-o-carboxylic acids to acridones and 9-chloroacridines (cf. Dirscherl and Thron, C. A. 27, 4801) has long been known to the authors (Runge, Diss. Gottingen 1922). It was used to convert o-[3,4-(MeO)2C6H3NH]C6H4CO2H (I) into o-dimethoxyacridone (II) or o-dimethoxy-9-chloroacridine (III), which could not be satisfactorily accomplished by the Graebe and Lagodzinski method (Ber. 25, 1734 (1892)); the difficulties encountered were ascribed to the dealkylating action of the H2SO4 on the II, which Ullmann had observed in the attempted conversion of o-(p-EtOC6H4)NHC6H4CO2H into 2-ethoxyacridone (C. A. 2, 87). The authors find likewise that 4-methoxydiphenylamine-2'-carboxylic acid (obtained in 16-g. yield from 15.6 g. o-ClC6H4CO2H and 16 g. p-anisidine with K2CO3 and Cu bronze in boiling tetralin), m. 186°, gives 2-hydroxyacridone (instead of the MeO compound) when heated on the water bath with concentrated H2SO4; in 2 N KOH with Me2SO4 the HO compound yields 2-methoxyacridone (IV), yellow, m. 263-5°, which with Na in boiling alc. is reduced to the dihydroacridine and this with K2Cr2O7 in dilute H2SO4 yields, together with some regenerated acridone, 2-methoxyacridine, m. 103-4° (HCl salt; sulfate, gleaming brown needles). 3,4-Dimethoxydiphenylamine-2'-carboxylic acid (I) (8.2 g. from 5.1 g. 4-aminoveratrole and o-ClC6H4CO2H), m. 180-1°, gives with PCl5 in boiling CS2 II, brown crystals from alc., while with PCl5 in benzene is obtained III, m. 187° (HCl salt, egg-yellow, m. 226° (decomposition); picrate, bright yellow). II, reduced and then oxidized like IV, gave 2,3-dimethoxyacridine, yellowish white needles with 1 H2O, m. 107° (chromate, yellow), which with fuming HI,AcOH and a few drops of water gave a yellow dihydroxyacridine-HI, converted in alc. by shaking with freshly precipitated AgCl into the HCl salt, yellow needles with 1 H2O, m 235° (decomposition).

IT 86640-15-5, Anthranilic acid, N-(3,4-dimethoxyphenyl)-
(preparation of)
RN 86640-15-5 CAPLUS
CN Benzoic acid, 2-[(3,4-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)



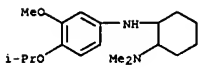

L11 ANSWER 489 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1930:31064 CAPLUS
DOCUMENT NUMBER: 24:31064
ORIGINAL REFERENCE NO.: 24:3327a-d
TITLE: Aminoalkylamino derivatives of aromatic aminohydroxy or polyamino compounds
INVENTOR(S): Schulemann, Werner; Kropp, Walter
PATENT ASSIGNEE(S): Winthrop Chemical Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 1757394 | | 19300506 | US | |

AB Compds. generally in the nature of viscous oils, forming readily soluble hydrochlorides and suitable for therapeutic purposes in combating blood parasites are obtained by heating aromatic aminohydroxy or polyamino compds. of the benzene or naphthalene series with a haloalkylaminodialkyl compound (suitably in the presence of an acid-binding agent and a solvent or diluent) or by causing aromatic aminohydroxy or polyamino compds. of the benzene or naphthalene series to be acted on by ethylene oxide or a halogenated alc. and converting the hydroxyalkylamino deriva. thus obtained into the dialkylaminoalkyl compds. Numerous details and examples are given, including the production of: 3-hydroxy-1-(diethylaminoethylamino)benzene, b1.5 171°; 3-hydroxy-1-[(diethylaminoethyl)ethylamino]benzene, m. 50° and b2 175°; 1-hydroxy-3-[(diethylaminoethyl)methylamino]benzene, b0.5 151°; 1-(diethylaminoethylamino)-3,4-diethoxybenzene, b2 185°; 1-amino-3-(diethylaminoethylamino) benzene b1 158°; 4-amino-[(diethylaminoethyl)methylamino]benzene, b3 161-3°; 1-amino-4-dimethylamino-2-methylthiophenol, b3 135°; 3-methoxy-4-isopropoxy -1-N-(α-piperidyl-β-hydroxy-γ-propyl)aminobenzene, prepared by heating 3-methoxy-4-isopropoxy-1-aminobenzene (m. 68-69°), with epichlorohydrin and piperidine, m. 92-4° and b5 225-30°; 3-methoxy-4-isopropoxy-1-(β-diethylaminoethylmercaptoethylamino)benzene, prepared by treating 3-methoxy-4-isopropoxy-1-aminobenzene with (C2H5)2NCH2CH2SCH2CH2Cl (hydrochloride), is a viscous oil, b5 225-7°; 3-methoxy-4-isopropoxy-1-(β-diethylaminoethoxyethylamino)benzene, b1.5 186-8°, is obtained by heating 3-methoxy-4-isopropoxy-1-aminobenzene with the hydrochloride of (C2H5)2NCH2CH2OCH2CH2Cl (b5 72-3°); 3-methoxy-4-isopropoxy-1-N-(β-dimethylamino-β'-ethoxyisopropylamino)benzene, b1 166-8°, obtained by treating 3-methoxy-4-isopropoxy-1-aminobenzene with the hydrochloride of (CH3)2NCH2CHClCH2OC2H6 (b15 69-70°); 3-methoxy-4-isopropoxy-1-(1'-dimethylamino-2'-cyclohexylamino)benzene, b2 173-5° formed by heating of 3-methoxy-4-isopropoxy-1-aminobenzene with 1-chloro-2-dimethylaminocyclohexane (b10 77-9°).

IT 859180-15-7, 1,2-Cyclohexanediamine, N2-(4-isopropoxy-m-anisyl)-N1,N1-dimethyl-
(preparation of)
RN 859180-15-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

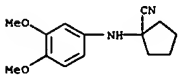
L11 ANSWER 489 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



ACCESSION NUMBER: 1927:13423 CAPLUS
DOCUMENT NUMBER: 21:13423
ORIGINAL REFERENCE NO.: 21:1635e-i
TITLE: Condensation of substituted anilines with cyclopentanone cyanohydrin. Derivatives of 1-anilinocyclopentane-1-carboxylic acid
AUTHOR(S): Oakeshott, S. H.; Plant, S. G. P.
SOURCE: Journal of the Chemical Society, Abstracts (1927) 484-93
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB o-MeC6H4NH2 and (CH2)4CO in AcOH, treated with aqueous KCN, give 1-o-toluidino-1-cyanocyclopentane, m. 68°; in concentrated H2SO4 for 2 days this gives the corresponding carboxyamide, m. 122°, with excess HCl this gives 1-o-toluidino-cyclopentane-1-carboxylic acid m. 128°; this is unchanged by heating with KOH at 300°, but a mixture of KOH and EtONa gives 1-methylcarbazole, m. 117°. The latter was also synthesized from o-MeC6H4NHNH2 and (CH2)3CO, the cyclohexanone a-tolylhydrazone giving with dilute HSO4 8-methyltetrahydrocarbazole, m. 98° which was boiled with S and quinoline for 20 min. 1-m.Toluidino-1-cyanocyclopentane, m. 53°; the carboxyamide, m. 145° and the carboxylic acid, m. 123-1°. 1-o-Anisidino-1-cyanocyclopentane: is a brown sirup; in concentrated H2SO4, after 2 days, it gives 1-o.anisidino- cyclopentane-1-carboxyamide-5'-sulfonic acid, isolated as the Na salt. 1-m-Anisidino-1-cyanocyclopentane, m. 132°; no definite product was isolated from the H2SO4 reaction product. 1-p-Anisidinocyclopentane-1-carboxyamide, m. 81-2°; the corresponding acid, m. 160°. 1-Veralrylamino-1-cyanocyclopentane, m. 98°; H2SO4 gives no definite reaction product. 3,5-Dimethoxyaniline, b20 178°; Ac derivative, m. 157°. 1-m,m'-Di-methoxyanilino-1-cyanacyclopcnlane, m. 150°. 1-o-Chloroanilino-1-cyanocyclopentane, yellow oil; m-Cl derivative, m. 47°; p-Cl derivative, m. 73°. 1-o-Chloroanilinocyclopentane-1-carboxyamide, m. 113°; m-derivative, m. 118°; p-derivative, m. 132°; the corresponding acids, m. 145°, 112° and 144°, resp. 1-o-Bromoanilinocyanocyclopcntane, yellowish brown oil; m-derivative, oily; p-derivative, m. 69°; the corresponding carboxyamides, m. 128°, 126° and 145°, resp., and the acids, m. 140°. 130° and 130°. 1-m-Nitroanilino-1-cyanocyclo- pentane, yellow, in 95°; p-derivative, yellow, m. 165°; the corresponding carboxyamides, orange and yellow, m. 144° and 231°; the acids, yellow, m. 137° and 187°. 1-o-Carboxyanilino-1-cyanocyclopenlane m. 122°; m-derivative, m. 153°; p-derivative, m. 189-90°; the corresponding carboxyamides, m. 225°, 215° and 272°, resp.; the acids, m. 161°, 215° and 225°, resp.

IT 859817-19-9, Cyclopentanenitrile, 1-[3,4-dimethoxyanilino]- (preparation of)
RN 859817-19-9 CAPLUS
CN Cyclopentanenitrile, 1-[3,4-dimethoxyanilino]- (3CI) (CA INDEX NAME)




L11 ANSWER 490 OF 490 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

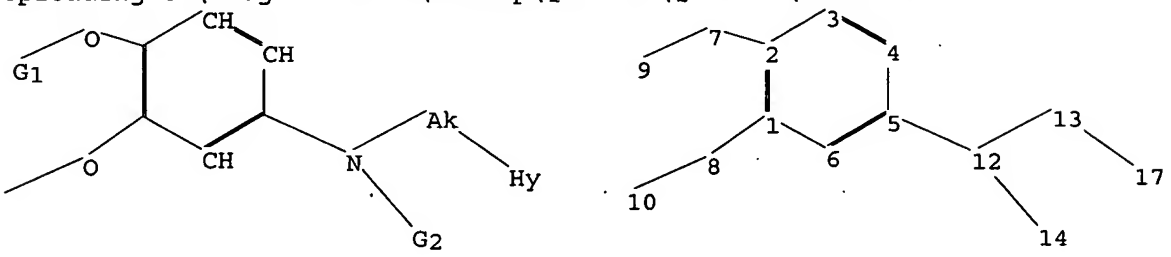
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
**********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:
```

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\106228333.str




chain nodes :
7 8 9 12 13 14 17
ring nodes :
1 2 3 4 5 6
ring/chain nodes :
10
chain bonds :
1-8 2-7 5-12 7-9 8-10 12-13 12-14 13-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-8 2-7 5-12 7-9 8-10 12-13 12-14 13-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,H

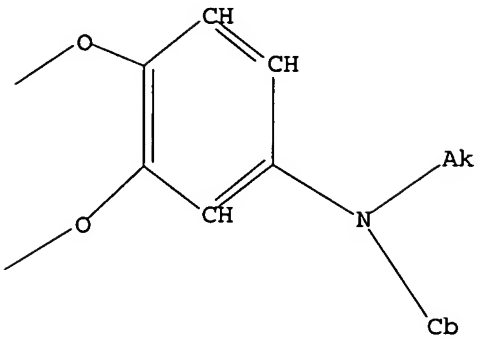
G2:H,Cb

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
12:CLASS 13:CLASS 14:Atom 17:Atom
Generic attributes :
17:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System : Monocyclic

Element Count :
Node 17: Limited
C,C5
N,N1
O,O0
S,S0

L12 STRUCTURE UPLOADED

```
=> d
L12 HAS NO ANSWERS
L12             STR
```

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Structure attributes must be viewed using STN Express query preparation.


=> d his

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     FILE 'REGISTRY' ENTERED AT 07:53:12 ON 23 NOV 2005
L1              STRUCTURE UPLOADED
L2                50 S L1
L3             14295 S L1 FULL
L4              STRUCTURE UPLOADED
L5              7035 S L4 FULL SUB=L3
L6              STRUCTURE UPLOADED
L7              1903 S L6 FULL SUB=L3
L8              3588 S L5 AND CAPLUS/LC
L9              1564 S L7 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 07:57:40 ON 23 NOV 2005
L10             1666 S L8
L11              490 S L9

     FILE 'STNGUIDE' ENTERED AT 07:59:23 ON 23 NOV 2005

     FILE 'REGISTRY' ENTERED AT 08:07:31 ON 23 NOV 2005
L12             STRUCTURE UPLOADED

=> s l12 subset=l3 full
FULL SUBSET SEARCH INITIATED 08:11:04 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    12759 TO ITERATE

100.0% PROCESSED    12759 ITERATIONS                          118 ANSWERS
SEARCH TIME: 00.00.01

L13          118 SEA SUB=L3 SSS FUL L12

=> s l13 and caplus/lc
      48988102 CAPLUS/LC
L14         116 L13 AND CAPLUS/LC

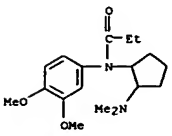
=> s l13 not l15
```

```
L15 NOT FOUND
The L-number entered could not be found.  To see the definition
of L-numbers, enter DISPLAY HISTORY at an arrow prompt (=>).

=> s l13 not l14
L15           2 L13 NOT L14

=> d l15 1-2
```

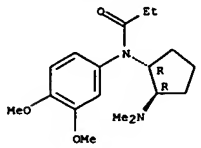
L15 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN
RN 78866-58-7 REGISTRY
ED Entered STN: 16 Nov 1984
CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[2-(dimethylamino)cyclopentyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H28 N2 O3
CI COM
LC STN Files: BEILSTEIN*
(*File contains numerically searchable property data)




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L15 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN
RN 67450-48-0 REGISTRY
ED Entered STN: 16 Nov 1984
CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[2-(dimethylamino)cyclopentyl]-, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H28 N2 O3
CI COM
LC STN Files: BEILSTEIN*
(*File contains numerically searchable property data)

Relative stereochemistry.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

```
=> fil caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        49.34     508.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                         0.00     -30.66

FILE 'CAPLUS' ENTERED AT 08:12:00 ON 23 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
```



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FILE COVERS 1907 - 23 Nov 2005  VOL 143 ISS 22
FILE LAST UPDATED: 22 Nov 2005  (20051122/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d his

     (FILE 'HOME' ENTERED AT 07:53:03 ON 23 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 07:53:12 ON 23 NOV 2005
L1             STRUCTURE UPLOADED
L2              50 S L1
L3           14295 S L1 FULL
L4             STRUCTURE UPLOADED
L5            7035 S L4 FULL SUB=L3
L6             STRUCTURE UPLOADED
L7            1903 S L6 FULL SUB=L3
L8            3588 S L5 AND CAPLUS/LC
L9            1564 S L7 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 07:57:40 ON 23 NOV 2005
L10           1666 S L8
L11            490 S L9

     FILE 'STNGUIDE' ENTERED AT 07:59:23 ON 23 NOV 2005

     FILE 'REGISTRY' ENTERED AT 08:07:31 ON 23 NOV 2005
L12            STRUCTURE UPLOADED
L13            118 S L12 FULL SUB=L3
L14            116 S L13 AND CAPLUS/LC
L15              2 S L13 NOT L14
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FILE 'CAPLUS' ENTERED AT 08:12:00 ON 23 NOV 2005

=> s l14
L16 24 L14

=> d ibib abs hitstr 1-24

L16 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:453188 CAPLUS
DOCUMENT NUMBER: 141:23427
TITLE: Preparation of N-oxides of heteroarylmethyl phenyl amines as phosphodiesterase 4 inhibitors
INVENTOR(S): Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004046113 | A2 | 20040603 | WO 2003-US36986 | 20031119 |
| WO 2004046113 | A3 | 20050324 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
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| CA 2506297 | AA | 20040603 | CA 2003-2506297 | 20031119 |
| US 2004152902 | A1 | 20040805 | US 2003-715819 | 20031119 |
| BR 2003015705 | A | 20050906 | BR 2003-15705 | 20031119 |
| EP 1569908 | A2 | 20050907 | EP 2003-786857 | 20031119 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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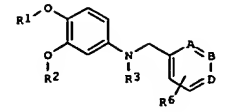
|---|---|---|---|
| PRIORITY APPLN. INFO.: | US 2002-427221P | P | 20021119 |
| | WO 2003-US36986 | W | 20031119 |

OTHER SOURCE(S): MARPAT 141:23427
GI

L16 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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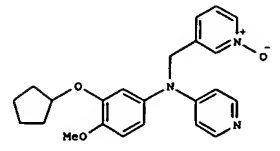


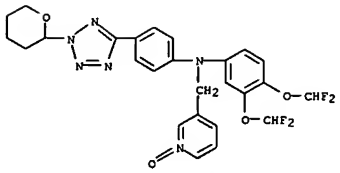
II

AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

IT 699004-00-7P, N-[3,4-Bis(difluoromethoxy)phenyl]-N-[(1-oxo-3-pyridyl)methyl]-4-[2-(tetrahydropyran-2-yl)-2H-tetrazol-5-yl]aniline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)

RN 699004-00-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3,4-bis(difluoromethoxy)phenyl]-N-[4-[2-(tetrahydro-2H-pyran-2-yl)-2H-tetrazol-5-yl]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

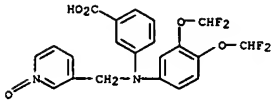
L16 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



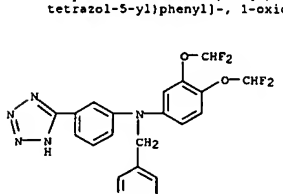
IT 699003-98-0P 699003-99-1P 699004-05-2P, 3,4-Bis(difluoromethoxy)-N-[(1-oxo-3-pyridyl)methyl]diphenylamine 699004-13-2P, 4-[N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-14-3P, 3-[N-(3-Cyclopropylmethoxy-4-difluoromethoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-15-4P, 3-[N-[3-[3-(4-Chlorophenyl)propoxy]-4-methoxyphenyl]-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-16-5P, 3-[N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-18-7P, 3-[N-[3-(2-Methoxyethoxy)-4-methoxyphenyl]-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-19-8P, 3-Cyclopropylmethyloxy-4-difluoromethoxy-N-[(1-oxo-3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine 699004-23-4P, 3-Cyclopropylmethyloxy-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine 699004-26-7P, 3-Cyclopropylmethyloxy-4-difluoromethoxy-N-[(1-oxo-3-pyridyl)methyl]-3'-(2H-tetrazol-5-yl)diphenylamine 699004-27-8P, 3,4-Bis(difluoromethoxy)-N-[(1-oxo-3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine 699004-36-9P, 3-Cyclopropylmethoxy-3'-[(ethanesulfonyl)amino]-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]diphenylamine 699004-38-1P, 4-Methoxy-3-[2-(2-pyridyl)ethoxy]-N-[(1-oxo-3-pyridyl)methyl]diphenylamine 699004-40-5P, 3'-Chloro-4-methoxy-3-[2-(2-pyridyl)ethoxy]-N-[(1-oxo-3-pyridyl)methyl]diphenylamine 699004-43-8P, 3,4-Bis(difluoromethoxy)-N-(3-carboxy-4-chlorophenyl)-N-[(1-oxo-3-pyridyl)methyl]aniline 699004-44-9P, 3,4-Bis(difluoromethoxy)-N-[4-(pyrrol-1-yl)phenyl]-N-[(1-oxo-3-pyridyl)methyl]aniline 699004-71-2P, 3-[N-[3,4-Bis(difluoromethoxy)phenyl]-N-[(1-oxo-3-pyridyl)methyl]amino]-5-fluorobenzoic acid 699004-76-7P, 4-[N-(3-Ethoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-81-4P, 4-[N-(3-Isopropoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-88-1P, N-[3,4-Bis(difluoromethoxy)phenyl]-4-[[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]-N-[(1-oxo-3-pyridyl)methyl]aniline 699004-95-0P, 3-[N-(3,4-Dimethoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-96-1P, 3-[N-(3-Ethoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-97-2P, 3-[N-(3-Isopropoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699004-98-3P, 4-[[[(3,4-Difluorophenyl)sulfonyl]amino]carbonyl]-N-(3-ethoxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]aniline 699004-99-4P, 3-[N-(4-Difluoromethoxy-3-ethoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699005-00-0P,

L16 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-[N-(4-Difluoromethoxy-3-ethoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid 699005-01-1P, 3-[N-(4-Difluoromethoxy-3-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)

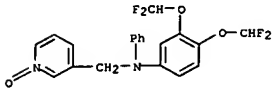
RN 699003-98-0 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699003-99-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3,4-bis(difluoromethoxy)phenyl]-N-[3-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)



RN 699004-05-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3,4-bis(difluoromethoxy)phenyl]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)



RN 699004-13-2 CAPLUS
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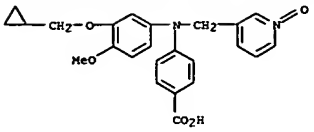
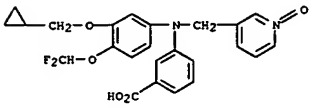
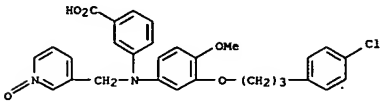


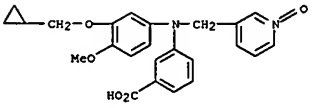
RN 699004-14-3 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699004-15-4 CAPLUS
CN Benzoic acid, 3-[[3-[3-(4-chlorophenyl)propoxy]-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

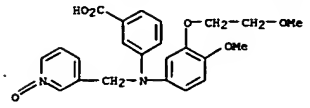


RN 699004-16-5 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopropylmethoxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

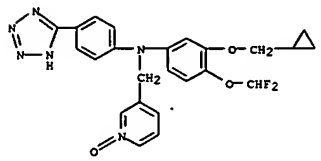


RN 699004-18-7 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-(2-methoxyethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

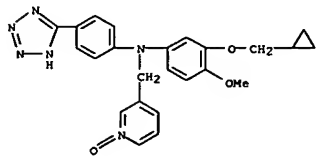




RN 699004-19-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

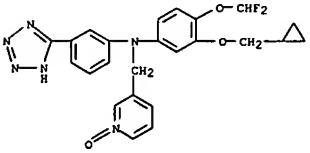


RN 699004-23-4 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-methoxyphenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

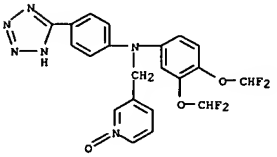


RN 699004-26-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[3-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

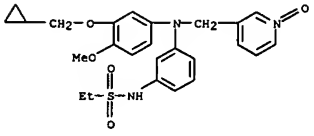




RN 699004-27-8 CAPLUS
CN 3-Pyridinemethanamine, N-(3,4-bis(difluoromethoxy)phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

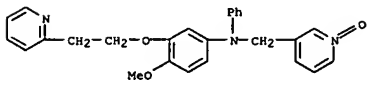


RN 699004-36-9 CAPLUS
CN Ethanesulfonamide, N-[3-[[3-(cyclopropylmethoxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)

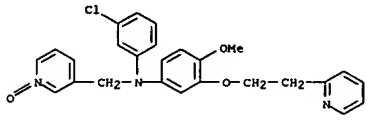


RN 699004-38-1 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[2-(2-pyridinyl)ethoxy]phenyl]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)

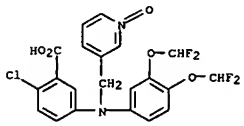




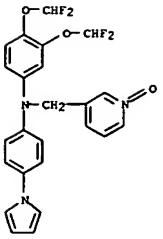
RN 699004-40-5 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[2-(2-pyridinyl)ethoxy]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)



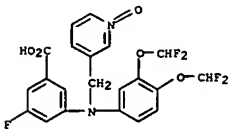
RN 699004-43-8 CAPLUS
CN Benzoic acid, 5-[[3,4-bis(difluoromethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]-2-chloro- (9CI) (CA INDEX NAME)



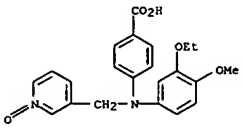
RN 699004-44-9 CAPLUS
CN 3-Pyridinemethanamine, N-[3,4-bis(difluoromethoxy)phenyl]-N-[4-(1H-pyrrol-1-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)



RN 699004-71-2 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]-5-fluoro- (9CI) (CA INDEX NAME)

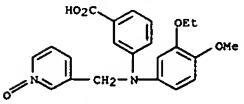


RN 699004-76-7 CAPLUS
CN Benzoic acid, 4-[(3-ethoxy-4-methoxyphenyl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

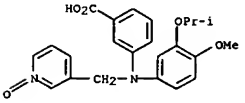


RN 699004-81-4 CAPLUS
CN Benzoic acid, 4-[[4-methoxy-3-(1-methylethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

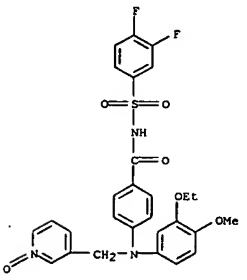




RN 699004-97-2 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-(1-methylethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

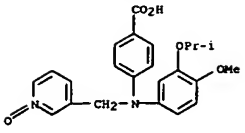


RN 699004-98-3 CAPLUS
CN Benzamide, N-[(3,4-difluorophenyl)sulfonyl]-4-[(3-ethoxy-4-methoxyphenyl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

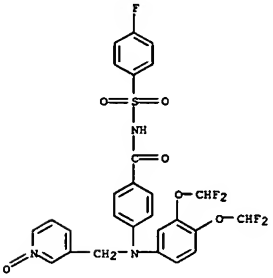


RN 699004-99-4 CAPLUS
CN Benzoic acid, 3-[[4-(difluoromethoxy)-3-ethoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

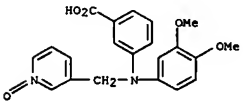




RN 699004-88-1 CAPLUS
CN Benzamide, 4-[[3,4-bis(difluoromethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

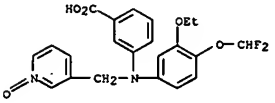


RN 699004-95-0 CAPLUS
CN Benzoic acid, 3-[(3,4-dimethoxyphenyl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

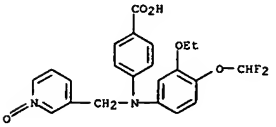


RN 699004-96-1 CAPLUS
CN Benzoic acid, 3-[(3-ethoxy-4-methoxyphenyl)[(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

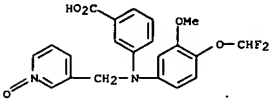




RN 699005-00-0 CAPLUS
CN Benzoic acid, 4-[[4-(difluoromethoxy)-3-ethoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699005-01-1 CAPLUS
CN Benzoic acid, 3-[[4-(difluoromethoxy)-3-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



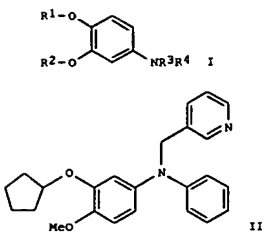
L16 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:80654 CAPLUS
DOCUMENT NUMBER: 140:128150
TITLE: Preparation of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses
INVENTOR(S): Schumacher, Richard A.; Hopper, Allen T.; Tehim, Ashok; Hess, Hans-Jurgen Ernst; Unterbeck, Axel; Kuester, Erik; Brubaker, William Frederick, Jr.; Dunn, Robert F.
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004009552 | A1 | 20040129 | WO 2003-US22543 | 20030721 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2492907 | AA | 20040129 | CA 2003-2492907 | 20030721 |
| US 2005119225 | A1 | 20050602 | US 2003-622833 | 20030721 |
| BR 2003012999 | A | 20050607 | BR 2003-12999 | 20030721 |
| EP 1539697 | A1 | 20050615 | EP 2003-765748 | 20030721 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: US 2002-396725P P 20020719
WO 2003-US22543 W 20030721

OTHER SOURCE(S): MARPAT 140:128150
GI



I



II

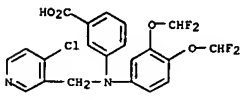
L16 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB PDE4 inhibition (no data) is achieved by novel compds., e.g., ether-functionalized N-substituted aniline and diphenylamine analogs (shown as I; variables defined below; e.g. II). Although the methods of preparation are not claimed, >40 example prepns. are included. For example, II was prepared by arylation of N-[(3-pyridyl)methyl]-3-cyclopentyloxy-4-methoxyaniline by iodobenzene using NaOtBu, Pd2dba3, and PtBu3 in toluene. In a 'passive avoidance in rats' test, an in vivo test for learning and memory, the amnesic effect of MK-801 is reversed in a statistically significant manner by actual test compds. in a dose-dependent fashion [e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED range = 0.5 to 2.5 mg/kg, i.p.; and N-(3-cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid, ED range = 0.1 to 2.5 mg/kg, i.p.]. In a 'radial arm maze task in rats' test, an in vivo test for learning and memory, the amnesic effect of MK-801 on working memory is reversed in a statistically significant manner by the administration of actual test compds. in a dose-dependent fashion [e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED = 2.5 mg/kg, i.p.; p<0.01]. For I: R1 is H, alkyl having 1-4 C atoms (un)substituted by ≥1 halo; R2 is C1-12 alkyl, C3-10 cycloalkyl, C4-16 cycloalkylalkyl, C6-14 aryl, C6-14-aryl-C1-5-alkyl, a partially unsatd. carbocyclic group having 5-14 C atoms, a C5-10 heterocyclic group, or a heterocycle-alkyl group; R3 is H, C1-8 alkyl, a partially unsatd. carbocycle-alkyl group, C7-19-aryl-C1-5-alkyl, or heteroarylalkyl; R4 is H, C3-10 cycloalkyl, C6-14 aryl, or heteroaryl having 5-10 ring atoms; addnl. details are given in the claims.

IT **651022-92-3P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxyphenyl)-N-[(4-chloropyridin-3-yl)methyl]aniline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of selective phosphodiesterase 4 inhibitors,

L16 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)

RN 651022-92-3 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(4-chloro-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

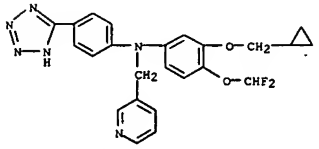


IT **460080-77-7P**, 3-Cyclopropylmethoxy-4-difluoromethoxy-N-{(3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine **460082-01-3P**, 4-[(3-Cyclopropylmethoxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651022-33-2P**, 3,4-Bis(difluoromethoxy)-N-[4-(pyrrol-1-yl)phenyl]-N-[(3-pyridyl)methyl]aniline **651022-61-6P**, 4-[[3,4-Bis(difluoromethoxy)phenyl][(3-pyridyl)methyl]amino]benzoic Acid **651022-82-1P**, 3-[[3,4-Bis(difluoromethoxy)phenyl][(3-pyridyl)methyl]amino]-5-fluorobenzoic acid **651022-85-4P**, 4-[[4-Methoxy-3-[2-(pyrid-2-yl)ethoxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid **651022-86-5P**, 4-[(3,4-Dimethoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651022-87-6P**, 4-[(3-Ethoxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651022-88-7P**, 4-[(3-Isopropoxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651022-89-8P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxyphenyl)-N-[(2-chloropyridin-5-yl)methyl]aniline **651022-90-1P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxyphenyl)-N-[(2-chloropyridin-3-yl)methyl]aniline **651022-91-2P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxyphenyl)-N-[(3,5-dimethylisoxazol-4-yl)methyl]aniline **651022-93-4P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxy-4-chlorophenyl)-N-[(3-pyridyl)methyl]aniline **651022-94-5P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxyphenyl)-N-[(4-methoxypyridin-3-yl)methyl]aniline **651022-96-7P**, 3,4-Bis(difluoromethoxy)-N-(3-carboxyphenyl)-N-[(3,5-dichloropyridin-4-yl)methyl]aniline **651023-21-1P**, 3-[(3,4-Dimethoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651023-23-3P**, 3-[(3-Ethoxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651023-24-4P**, 3-[(4-Methoxy-3-propoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651023-25-5P**, 3-[[4-Methoxy-3-[(propan-2-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid **651023-26-6P**, 3-[[3-(2-Cyclopropylethoxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid **651023-27-7P**

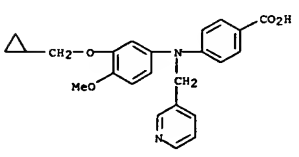
3-[(3-Cyclobutylmethoxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid **651023-80-2P**, N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3-chlorophenyl)sulfonyl]amino]carbonyl]aniline **651023-82-4P**, N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline **651023-83-5P**, N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3-fluorophenyl)sulfonyl]amino]carbonyl]aniline **651023-90-4P**, N-(3-Ethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(2,4-difluorophenyl)sulfonyl]amino]carbonyl]aniline **651023-91-5P**, N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[(ethylsulfonyl)amino]carbonyl]aniline **651023-92-6P**, N-(3-Ethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline **651023-93-7P**, N-(3-Ethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3-chlorophenyl)sulfonyl]amino]carbonyl]aniline **651023-94-8P**, N-(3-Ethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3,4-difluorophenyl)sulfonyl]amino]carbonyl]aniline **651023-95-9P**, N-(3-Ethoxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(2-thienyl)sulfonyl]amino]carbonyl]aniline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)

L16 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

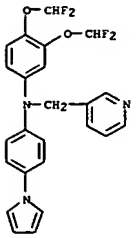
RN 460080-77-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



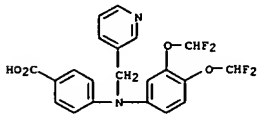
RN 460082-01-3 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



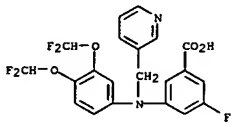
RN 651022-33-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3,4-bis(difluoromethoxy)phenyl]-N-[4-(1H-pyrrol-1-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 651022-61-6 CAPLUS
CN Benzoic acid,
4-[[3,4-bis(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)

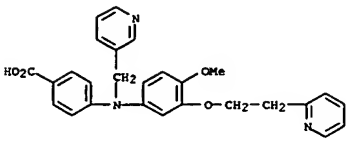


RN 651022-82-1 CAPLUS
CN Benzoic acid,
3-[[3,4-bis(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-
5-fluoro- (9CI) (CA INDEX NAME)

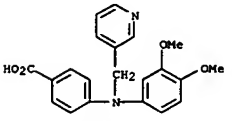


RN 651022-85-4 CAPLUS
CN Benzoic acid, 4-[[4-methoxy-3-[2-(2-pyridinyl)ethoxy]phenyl](3-
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

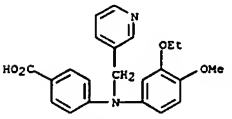




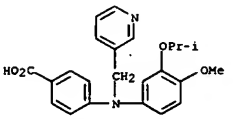
RN 651022-86-5 CAPLUS
CN Benzoic acid, 4-[(3,4-dimethoxyphenyl)(3-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)



RN 651022-87-6 CAPLUS
CN Benzoic acid, 4-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)

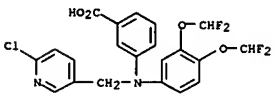


RN 651022-88-7 CAPLUS
CN Benzoic acid, 4-[[4-methoxy-3-(1-methylethoxy)phenyl](3-
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

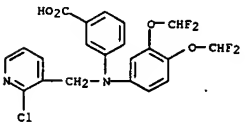


RN 651022-89-8 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(6-chloro-3-

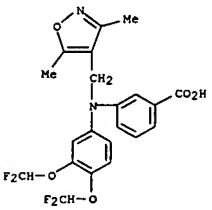

pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 651022-90-1 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(2-chloro-3-
pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

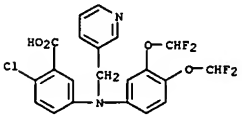


RN 651022-91-2 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(3,5-dimethyl-4-
isoxazolyl)methyl]amino]- (9CI) (CA INDEX NAME)

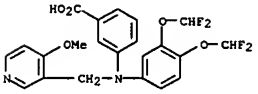


RN 651022-93-4 CAPLUS
CN Benzoic acid,
5-[[3,4-bis(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-
2-chloro- (9CI) (CA INDEX NAME)

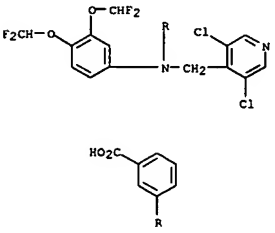




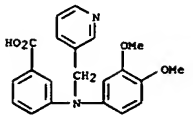
RN 651022-94-5 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(4-methoxy-3-
pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



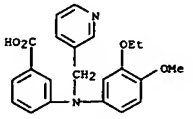
RN 651022-96-7 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl][(3,5-dichloro-4-
pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



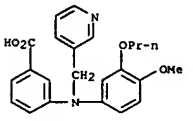
RN 651023-21-1 CAPLUS
CN Benzoic acid, 3-[(3,4-dimethoxyphenyl)(3-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)



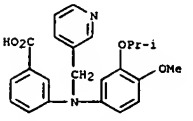
RN 651023-23-3 CAPLUS
CN Benzoic acid, 3-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 651023-24-4 CAPLUS
CN Benzoic acid, 3-[(4-methoxy-3-propoxyphenyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

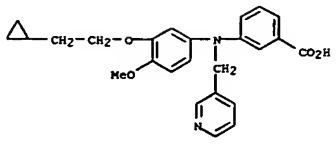


RN 651023-25-5 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-(1-methylethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

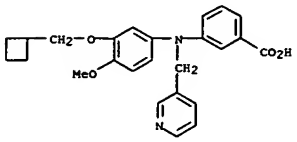


RN 651023-26-6 CAPLUS
CN Benzoic acid, 3-[[3-(2-cyclopropylethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

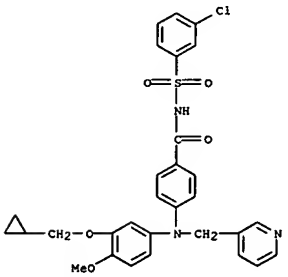




RN 651023-27-7 CAPLUS
CN Benzoic acid, 3-[[3-(cyclobutylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

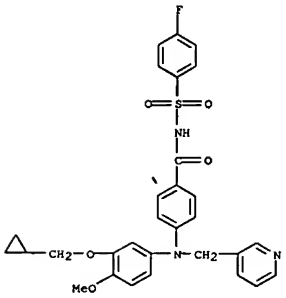


RN 651023-80-2 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)sulfonyl]-4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

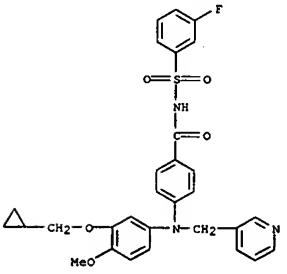


RN 651023-82-4 CAPLUS
CN Benzamide, 4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



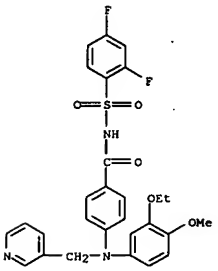


RN 651023-83-5 CAPLUS
CN Benzamide, 4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-[(3-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

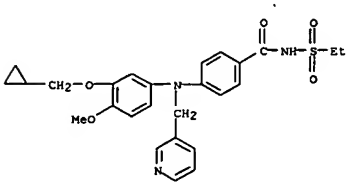


RN 651023-90-4 CAPLUS
CN Benzamide, N-[(2,4-difluorophenyl)sulfonyl]-4-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

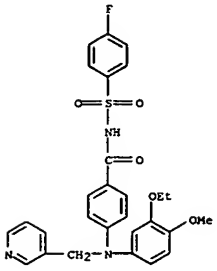




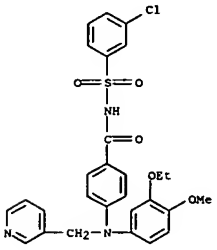
RN 651023-91-5 CAPLUS
CN Benzamide, 4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-(ethylsulfonyl)- (9CI) (CA INDEX NAME)



RN 651023-92-6 CAPLUS
CN Benzamide, 4-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

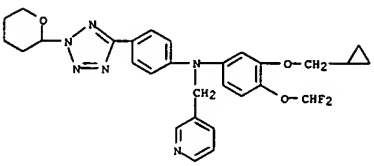


RN 651023-93-7 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)sulfonyl]-4-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

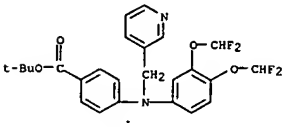


RN 651023-94-8 CAPLUS
CN Benzamide, N-[(3,4-difluorophenyl)sulfonyl]-4-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





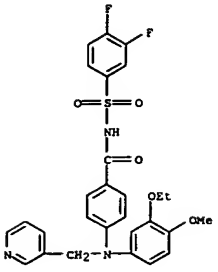
RN 651022-62-7 CAPLUS
CN Benzoic acid, 4-[[3,4-bis(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



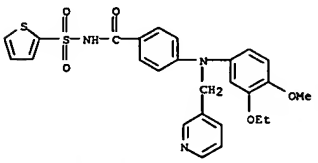
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT





RN 651023-95-9 CAPLUS
CN Benzamide, 4-[(3-ethoxy-4-methoxyphenyl)(3-pyridinylmethyl)amino]-N-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



IT 460080-78-8, 3-Cyclopropylmethoxy-4-difluoromethoxy-N-[(3-pyridyl)methyl]-4'-[2-(tetrahydropyran-2-yl)-2H-tetrazol-5-yl]diphenylamine 651022-62-7, tert-Butyl 4-[[3,4-bis(difluoromethoxy)phenyl][(3-pyridyl)methyl]amino]benzoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)
RN 460080-78-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[4-[2-(tetrahydro-2H-pyran-2-yl)-2H-tetrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2002:866785 CAPLUS
DOCUMENT NUMBER: 137:363070
TITLE: Pharmaceuticals containing quinolinecarboxamides as ileal bile acid transporter inhibitors and their uses
INVENTOR(S): Kurata, Hitoshi; Furuhama, Takafumi; Kono, Keita; Kitayama, Takeshi; Hasegawa, Toru
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 119 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

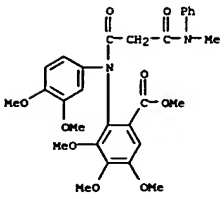
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2002326935 | A2 | 20021115 | JP 2001-136158 | 20010507 |
| PRIORITY APPLN. INFO.: | | | JP 2001-136158 | 20010507 |

OTHER SOURCE(S): MARPAT 137:363070
GI

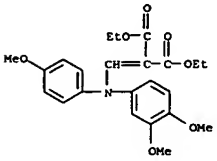
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are pharmaceuticals containing the compds. I [R1-R4 = H, OH, lower alkoxy; R5 = aryl which may be substituted with 1-5 OH or lower alkoxy; R6 = C1-10 alkyl, C2-10 alkenyl; R8 = (hetero)aryl which may be substituted with 1-5 halo, OH, lower (halo)alkyl, lower alkoxy, aroyloxy, amino, etc.; if R2 = H and R3 = lower alkoxy, then R5 = aryl substituted with 1-5 OH or lower alkoxy], their pharmacol. acceptable salts, their esters, or the other derivs. Also claimed are ileal bile acid transporter inhibitors containing I, quinolinol derivs. II (R1-R6 = any group given for those in I), their pharmacol. acceptable salts, their esters, or the other derivs. The inhibitors are useful for prevention and treatment of hyperlipemia and atherosclerosis. 6,7-Dimethoxy-1-(4-methoxyphenyl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid N-methyl-N-(3,5-difluorophenyl)amide (III; preparation given) inhibited incorporation of taurocholic acid into bladder bile of a golden hamster. Tablets containing III were also formulated.

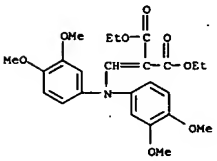
IT 339304-69-7P 339304-71-1P 339304-97-1P 339305-03-2P 339305-12-3P 474897-20-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolinecarboxamides as ileal bile acid transporter inhibitors for treatment of hypolipemia and atherosclerosis)
RN 339304-69-7 CAPLUS
CN Benzoic acid, 2-[(3,4-dimethoxyphenyl)(3-(methylphenylamino)-1,3-dioxopropyl]amino]-3,4,5-trimethoxy-, methyl ester (9CI) (CA INDEX NAME)



RN 339304-71-1 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)(4-methoxyphenyl)amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

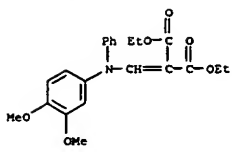


RN 339304-97-1 CAPLUS
CN Propanedioic acid, [(bis(3,4-dimethoxyphenyl)amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

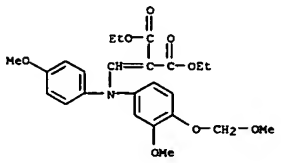


RN 339305-03-2 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)phenylamino]methylene]-, diethyl
ester (9CI) (CA INDEX NAME)

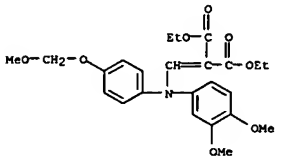




RN 339305-12-3 CAPLUS
CN Propanedioic acid, [[(3-methoxy-4-(methoxymethoxy)phenyl](4-methoxyphenyl)amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)



RN 474897-20-6 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)(4-(methoxymethoxy)phenyl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)





ACCESSION NUMBER: 2002:736215 CAPLUS
DOCUMENT NUMBER: 137:247488
TITLE: Preparation of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition
INVENTOR(S): Hopper, Allen; Schumacher, Richard A.; Tehim, Ashok; De Vivo, Michael; Brubaker, William Frederick, Jr.; Liu, Ruiping; Hess, Hans-Juergen Ernst; Unterbeck, Axel
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002074726 | A2 | 20020926 | WO 2002-US1508 | 20020122 |
| WO 2002074726 | A3 | 20030313 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2435847 | AA | 20020926 | CA 2002-2435847 | 20020122 |
| US 2002151566 | A1 | 20021017 | US 2002-51309 | 20020122 |
| US 6699890 | B2 | 20040302 | | |
| EP 1353907 | A2 | 20031022 | EP 2002-731078 | 20020122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300347 | A | 20031215 | EE 2003-347 | 20020122 |
| CN 1498211 | A | 20040519 | CN 2002-807010 | 20020122 |
| JP 2005507365 | T2 | 20050317 | JP 2002-573735 | 20020122 |
| US 2003149052 | A1 | 20030807 | US 2003-361634 | 20030211 |
| BG 108003 | A | 20040930 | BG 2003-108003 | 20030718 |
| NO 2003003288 | A | 20030922 | NO 2003-3288 | 20030721 |
| ZA 2003005623 | A | 20041117 | ZA 2003-5623 | 20030721 |
| US 2004230072 | A1 | 20041118 | US 2004-754600 | 20040112 |

PRIORITY APPLN. INFO.: US 2001-262651P P 20010122
US 2001-267196P P 20010208
US 2001-306140P P 20010719
US 2000-257196P P 20001222
US 2002-51309 A3 20020122
US 2002-51390 A3 20020122
WO 2002-US1508 W 20020122

OTHER SOURCE(S): MARPAT 137:247488

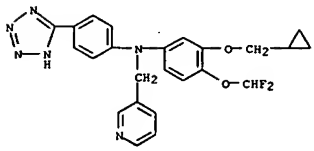


AB Phosphodiesterase 4 (PDE4) inhibition is achieved by novel compds., 4-R1O-3-R2OC6H3NR3R4 (1, e.g., N-substituted aniline and diphenylamine analogs; e.g. 3-cyclopentyloxy-4'-ethyl-4-methoxy-N-(3-pyridylmethyl)diphenylamine). In 1, R1 is C1-4 alkyl unsubstituted or substituted one or more times by halogen. R2 is C1-12 alkyl, wherein optionally one or more -CH2CH2- groups is replaced in each case by -CH:CH- or -C.tplbond.C-, C3-10 cycloalkyl, C4-16 cycloalkylalkyl, C6-14 aryl , arylalkyl with C6-14 aryl and C1-5 alkyl, a partially unsatd. C5-14 carbocyclic group, a C5-10 heterocyclic group, which is saturated, partially saturated or unsatd., in which at least 1 ring atom is a N, O or S atom, or a heterocycloalkyl group with a C5-10 heterocyclic portion that is saturated, partially saturated or unsatd., in which at least 1 ring atom is a N, O or S atom, and a C1-5 alkyl portion. R3 is H, C1-8 (preferably C1-4) alkyl, a partially unsatd. carbocycle-alkyl group with a C5-14 carbocyclic portion and a C1-5 alkyl portion, C7-19 arylalkyl with C6-14 aryl and C1-5 alkyl, or heteroarylalkyl with C5-10 heteroaryl having at least 1 ring atom N, O or S atom and with C1-5 alkyl. R4 is H, C6-14 aryl or heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom. Addnl. restrictions on the values of R1-R4 are given in the claims. The amnesic effect of MK-801 on working memory in rats (radial arm maze task) is reversed in a statistically significant manner by the administration of actual test compds. in a dose-dependent fashion [e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED = 2.5 mg/kg, i.p.; pc0.01]. The amnesic effect of MK-801 on rats in a passive avoidance experiment is reversed in a statistically significant manner by actual test compds. in a dose-dependent fashion [e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED range = 0.5 to 2.5 mg/kg, i.p.; and N-(3-cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid, ED range = 0.1 to 2.5 mg/kg, i.p.]. Although the methods of preparation are not claimed, .apprx.20 example prepns. are included and hundreds of compds. are listed in the claims.

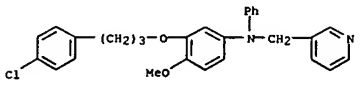
IT 460080-77-7P, 3-Cyclopropylmethyloxy-4-difluoromethoxy-N-(3-pyridylmethyl)-4'-(2H-tetrazol-5-yl)diphenylamine 460081-14-5P, 3-[3-(4-Chlorophenyl)prop-1-yloxy]-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-15-6P, 4-Methoxy-3-[3-(4-methoxyphenyl)prop-1-yl]oxy-N-(3-pyridylmethyl)diphenylamine 460081-16-7P, 4-Methoxy-3-[3-(2-pyridyl)prop-1-yl]oxy-N-(3-pyridylmethyl)diphenylamine 460081-36-1P, 3-[2-(4-Chlorophenoxy)ethoxy]-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-38-3P, 3-[2-(4-Chlorophenylamino)ethoxy]-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-53-2P, N-[4-Methoxy-3-[2-(2-pyridyl)ethyl]oxyphenyl]-N-(3-pyridylmethyl)-3-aminobenzoic acid 460081-64-5P, 4-Methoxy-3-[2-(4-pyridyl)ethoxy]-N-(3-pyridylmethyl)diphenylamine 460081-65-6P, 4-Methoxy-3-(2-methoxyethoxy)-N-(3-pyridylmethyl)diphenylamine 460081-67-8P, 3-Cyclopropylmethoxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-69-0P, 3'-Chloro-4-methoxy-3-(2-(4-pyridyl)ethoxy]-N-(3-pyridylmethyl)diphenylamine 460081-70-3P, 3-[2-(4-Chlorophenyl)ethenyloxy]-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-77-0P, 4-Methoxy-3-(2-phenoxyethoxy)-N-(3-pyridylmethyl)diphenylamine 460081-80-5P, 3-Cyclopropylmethoxy-4-difluoromethoxy-N-(3-pyridylmethyl)diphenylamine 460081-83-8P, 4-Methoxy-3-[3-(4-pyridyl)prop-1-yl]oxy-N-(3-pyridylmethyl)diphenylamine

L16 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
460081-84-9P, 3'-Chloro-4-methoxy-3-(2-methoxyethoxy)-N-(3-pyridylmethyl)diphenylamine 460081-85-0P, 3-Cyclopropylmethoxy-4'-hydroxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-91-8P, 3,4-Bis(difluoromethoxy)-N-(3-pyridylmethyl)diphenylamine 460081-99-6P, N-[3,4-Bis(difluoromethoxy)phenyl]-N-(3-pyridylmethyl)-3-aminobenzoic acid 460082-01-3P, N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-4-aminobenzoic acid 460082-02-4P, N-(3-Cyclopropylmethoxy-4-difluoromethoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid 460082-04-6P 460082-05-7P, N-(3-Cyclopropylmethoxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid 460082-07-9P, N-[3-(2-Methoxyethoxy)-4-methoxyphenyl]-N-(3-pyridylmethyl)-3-aminobenzoic acid 460082-10-4P, 3-Cyclopropylmethyloxy-4-methoxy-N-(3-pyridylmethyl)-4'-(2H-tetrazol-5-yl)diphenylamine 460082-13-7P, 3-Cyclopropylmethyloxy-4-difluoromethoxy-N-(3-pyridylmethyl)-3'-(2H-tetrazol-5-yl)diphenylamine 460082-22-8P, 3-Cyclopropylmethoxy-3'-ethanesulfonylamino-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460082-24-0P, 4-Methoxy-3-[2-(2-pyridyl)ethoxy]-N-(3-pyridylmethyl)diphenylamine 460082-26-2P, 3'-Chloro-4-methoxy-3-[2-(2-pyridyl)ethoxy]-N-(3-pyridylmethyl)diphenylamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition)

RN 460080-77-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

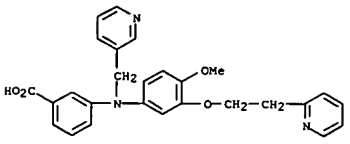


RN 460081-14-5 CAPLUS
CN 3-Pyridinemethanamine, N-[3-[3-(4-chlorophenyl)propoxy]-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)

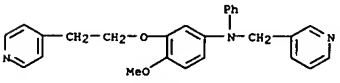


RN 460081-15-6 CAPLUS

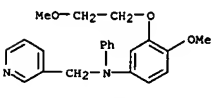
L16 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



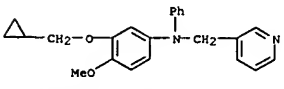
RN 460081-64-5 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[2-(4-pyridinyl)ethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 460081-65-6 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-(2-methoxyethoxy)phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

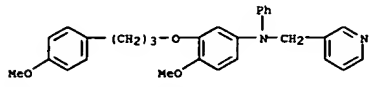


RN 460081-67-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)

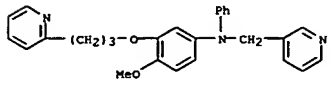


RN 460081-69-0 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[2-(4-pyridinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

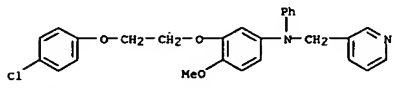
L16 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[3-(4-methoxyphenyl)propoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



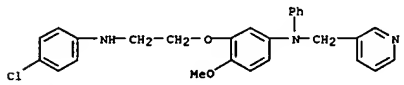
RN 460081-16-7 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[3-(2-pyridinyl)propoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 460081-36-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-[2-(4-chlorophenoxy)ethoxy]-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)

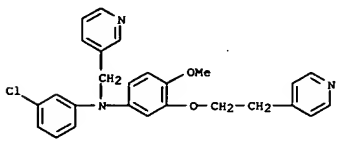


RN 460081-38-3 CAPLUS
CN 3-Pyridinemethanamine, N-[3-[2-[(4-chlorophenyl)amino]ethoxy]-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)

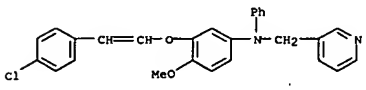


RN 460081-53-2 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[2-(2-pyridinyl)ethoxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

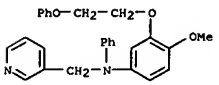
L16 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



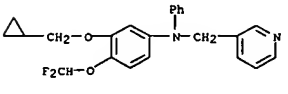
RN 460081-70-3 CAPLUS
CN 3-Pyridinemethanamine, N-[3-[[2-(4-chlorophenyl)ethenyl]oxy]-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)



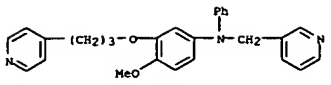
RN 460081-77-0 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-(2-phenoxyethoxy)phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



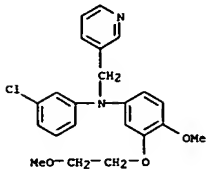
RN 460081-80-5 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



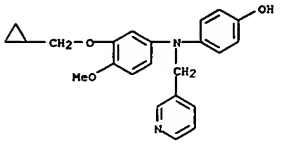
RN 460081-83-8 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[3-(4-pyridinyl)propoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



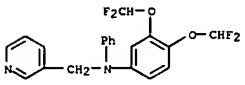
RN 460081-84-9 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-(2-methoxyethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 460081-85-0 CAPLUS
CN Phenol, 4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

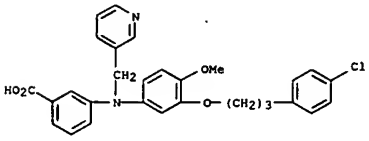


RN 460081-91-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3,4-bis(difluoromethoxy)phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

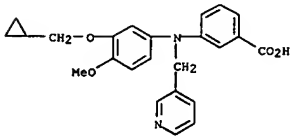


RN 460081-99-6 CAPLUS
CN Benzoic acid, 3-[[3,4-bis(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-

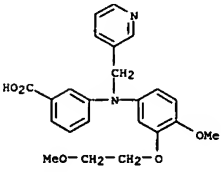




RN 460082-05-7 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

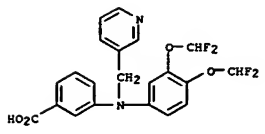


RN 460082-07-9 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-(2-methoxyethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

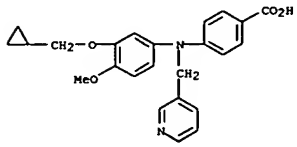


RN 460082-10-4 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-methoxyphenyl]-N-(4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

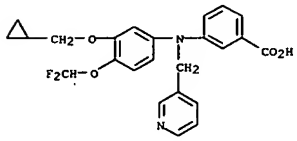




RN 460082-01-3 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

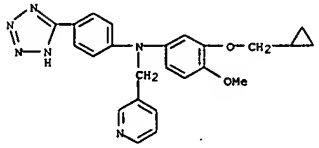


RN 460082-02-4 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

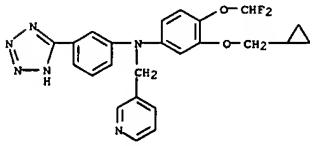


RN 460082-04-6 CAPLUS
CN Benzoic acid, 3-[[3-[3-(4-chlorophenyl)propoxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

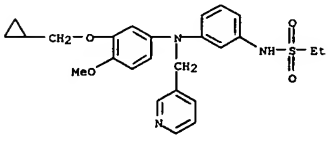




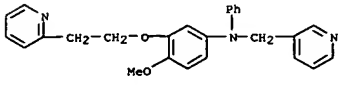
RN 460082-13-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[3-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 460082-22-8 CAPLUS
CN Ethanesulfonamide, N-[3-[[3-(cyclopropylmethoxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

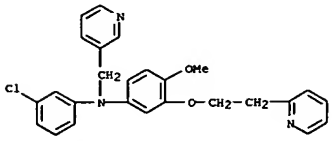


RN 460082-24-0 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[2-(2-pyridinyl)ethoxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

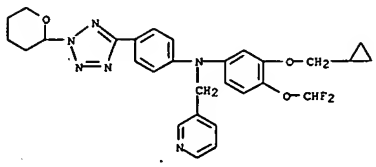


L16 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 460082-26-2 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[2-(2-pyridinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



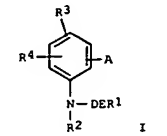
IT 460080-78-8, 3-Cyclopropylmethoxy-4-difluoromethoxy-N-(3-pyridylmethyl)-4'-[2-(2-tetrahydropyranyl)-2H-tetrazol-5-yl]diphenylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition)
RN 460080-78-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl]-N-[4-[2-(tetrahydro-2H-pyran-2-yl)-2H-tetrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



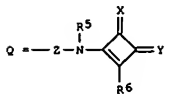
L16 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:566256 CAPLUS
DOCUMENT NUMBER: 137:124978
TITLE: Preparation of phenylamines as ileal bile acid transporter inhibitors
INVENTOR(S): Kurata, Hitoshi; Hasegawa, Toru; Kono, Keita; Kitayama, Takeshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 78 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2002212152 | A2 | 20020731 | JP 2001-11412 | 20010119 |
| PRIORITY APPLN. INFO.: | | | JP 2001-11412 | 20010119 |

OTHER SOURCE(S): MARPAT 137:124978
GI

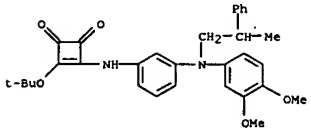


I

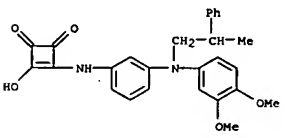


AB The compds. I [R1, R2 = (un)substituted cycloalkyl, aryl, heterocyclyl; R3, R3 = H, halo, OH, SH, lower alkyl, etc.; A = Q; R5 = H, lower alkyl; R6 = OH, lower alkoxy, lower alkylthio, amine residue; X, Y = O, S; Z = single bond, C1-6 alkylene; D = C1-6 alkylene; E = single bond, CR7R8; R7 = H; R8 = OH, lower alkyl, lower alkoxy; R7R8 = methylene, oxo group], their pharmaceutically acceptable salts, esters, or other derivs., useful as hypolipemic agents, are prepared 3-Tert-butoxy-4-[3-[(4-methoxyphenyl)-(2-phenylpropyl)amino]phenylamino]-3-cyclobutene-1,2-dione (596 mg) was treated with F3CCO2H in CH2Cl2 at room temperature for 2 h to give 448 mg 3-hydroxy-4-[3-[(4-methoxyphenyl)-(2-phenylpropyl)amino]phenylamino]-3-cyclobutene-1,2-dione showing 66% control of ileal bile acid transporter activity in a hamster.
IT 444170-47-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

L16 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phenylamines as ileal bile acid transporter inhibitors)
RN 444170-47-2 CAPLUS
CN 3-Cyclobutene-1,2-dione, 3-[[3-[(3,4-dimethoxyphenyl)(2-phenylpropyl)amino]phenyl]amino]-4-(1,1-dimethylethoxy)- (9CI) (CA INDEX NAME)



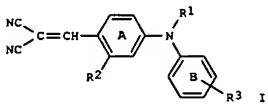
IT 444170-49-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylamines as ileal bile acid transporter inhibitors)
RN 444170-49-4 CAPLUS
CN 3-Cyclobutene-1,2-dione, 3-[[3-[(3,4-dimethoxyphenyl)(2-phenylpropyl)amino]phenyl]amino]-4-hydroxy- (9CI) (CA INDEX NAME)



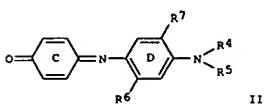
L16 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:566148 CAPLUS
DOCUMENT NUMBER: 137:126578
TITLE: Thermal-transfer recorded images, their manufacture, and thermal-transfer sheets with good light resistance
INVENTOR(S): Murata, Yukichi; Ishida, Yoshinori; Nakamura, Shinichiro; Dominick, Gyomon
PATENT ASSIGNEE(S): Mitsubishi Chemical Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2002211143 | A2 | 20020731 | JP 2001-8658 | 20010117 |
| PRIORITY APPLN. INFO.: | | | JP 2001-8658 | 20010117 |

OTHER SOURCE(S): MARPAT 137:126578
GI



I



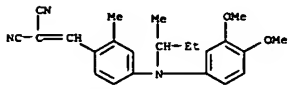
II

AB The images are prepared from mixts. of (a) yellow dyes of styryl compds. I
[R1 = (substituted) alkyl, alkenyl, cycloalkyl, aryl; if R1 = alkyl or alkenyl, benzene ring A and B may form condensed polyheterocyclic compound;
R2 = H, (substituted) alk(ox)yl; R3 = OH, (substituted) alkoxyl; benzene ring A and B may have further substituents] and (b) cyan dyes of indoaniline compds. Thus, a PET film was coated with a composition containing BR
80 (acrylic polymer) and KF 393 (amino-modified silicone oil) on one side,
dried, coated with an ink composition containing BX 1 (polyvinyl butyral) and I [R1
= CH(CH3)C2H5; R2 = Me, R3 = 4'-OMe, prepared from N-sec-butyl-m-toluidine,
p-methoxyphenyl iodide, and malononitrile] with λmax 439 nm and mol. extinction coefficient 57,000, further coated with an ink composition containing BX

L16 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1 and II (R4, R5 = Et; R6 = Me; R7 = H; ring C substituted with 3'-NHCOCH3, 6'-Me) on the other side, and dried to give a thermal-transfer sheet giving clear green images.

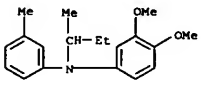
IT 444121-86-2P
RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(preparation of thermal-transfer dyes with good light resistance)

RN 444121-86-2 CAPLUS
CN Propanedinitrile, [[4-[(3,4-dimethoxyphenyl)(1-methylpropyl)amino]-2-methylphenyl]methylene]- (9CI) (CA INDEX NAME)



IT 444121-87-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of thermal-transfer dyes with good light resistance)

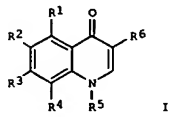
RN 444121-87-3 CAPLUS
CN Benzenamine, 3,4-dimethoxy-N-(3-methylphenyl)-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)



L16 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:359965 CAPLUS
DOCUMENT NUMBER: 134:353262
TITLE: Preparation of dihydroquinoline derivatives as inhibitors of ileal bile acid transporter
INVENTOR(S): Kurata, Hitoshi; Kohama, Takafumi; Kono, Keita; Kitayama, Ken; Hasegawa, Tohru
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001034570 | A1 | 20010517 | WO 2000-JP7852 | 20001108 |
| W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| JP 2001199965 | A2 | 20010724 | JP 2000-338720 | 20001107 |
| PRIORITY APPLN. INFO.: | | | JP 1999-316621 A | 19991108 |

OTHER SOURCE(S): MARPAT 134:353262
GI



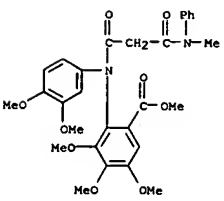
I

AB The title compds. I [R1, R2, R3 and R4 are each hydrogen, hydroxyl, or lower alkoxy; R5 is aryl; and R6 is CONR7R8 (wherein R7 is C1-10 alkyl or C2-10 alkenyl; and R8 is aryl or an aromatic heterocyclic group), with the proviso that when R2 is hydrogen and R3 is lower alkoxy, R5 is aryl which is mono- to penta-substituted with hydroxyl and/or lower alkoxy groups] are prepared 6,7-Dimethoxy-1-(4-methoxyphenyl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid N-methyl-N-(3,5-difluorophenyl)amide in vitro at 30 μg/mL gave 55.3% inhibition of the ileal type bile acid transporter. A formulation is given.

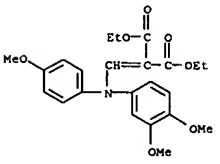
IT **339304-69-7P 339304-71-1P 339304-97-1P**
**339305-03-2P 339305-12-3P 339305-24-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dihydroquinoline derivs. as inhibitors of ileal bile acid transporter)

RN 339304-69-7 CAPLUS
CN Benzoic acid, 2-[(3,4-dimethoxyphenyl)[3-(methylphenylamino)-1,3-dioxopropyl]amino]-3,4,5-trimethoxy-, methyl ester (9CI) (CA INDEX NAME)

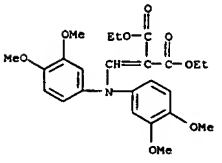
L16 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 339304-71-1 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)(4-methoxyphenyl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

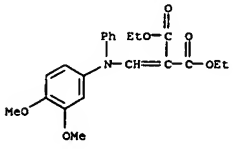


RN 339304-97-1 CAPLUS
CN Propanedioic acid, [[bis(3,4-dimethoxyphenyl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

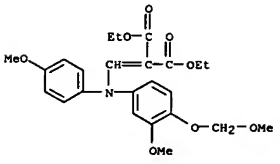


RN 339305-03-2 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)phenylamino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)

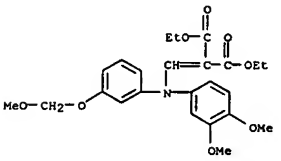
L16 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 339305-12-3 CAPLUS
CN Propanedioic acid, [[[3-methoxy-4-(methoxymethoxy)phenyl](4-methoxyphenyl)amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)



RN 339305-24-7 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)[3-(methoxymethoxy)phenyl]amino]methylene]-, diethyl ester (9CI) (CA INDEX NAME)



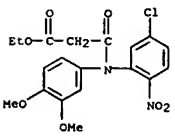
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:640847 CAPLUS
DOCUMENT NUMBER: 119:240847
TITLE: Metabolic profiling of clobazam, a 1,5-benzodiazepine, in rats
AUTHOR(S): Borel, Anthony G.; Abbott, Frank S.
CORPORATE SOURCE: Fac. Pharm., Sci. Univ. British Columbia, Vancouver, BC, V6T 1Z3, Can.
SOURCE: Drug Metabolism and Disposition (1993), 21(3), 415-27
CODEN: DMDSAI; ISSN: 0090-9556
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The metabolism of the 1,5-benzodiazepine clobazam (CLBZ) was investigated in rats and in vitro by GC/MS using stable isotope techniques. Co-administration of CLBZ and pentadeuteriophenyl-CLBZ to rats facilitated the identification of 4'-hydroxy-CLBZ 7,4'-hydroxy N-desmethylclobazam (4'-hydroxy-DMC) 5,3',4'-dihydroxy-CLBZ, 4'-hydroxy-3'-methoxy-DMC in bile as both glucuronide and sulfate conjugates. Some of the metabolites were present in the urine as sulfate conjugates. 4'-Hydroxy-CLBZ and 4'-hydroxy-3'-methoxy-CLBZ were the major conjugated metabolites in bile and urine, resp. An unusual in vivo disposition of CLBZ to the O-methyl catechols was discovered. In bile, the p-O-Me catechol metabolite constituted 2% of the O-Me catechols as a glucuronide conjugate, in contrast to constituting 30% (of the O-Me catechols) as a sulfate. This marks an unprecedented observation of a different catechol O-Me isomer ratio within the same biol. fluid for different conjugate pools. The isotope effect associated with the microsomal N-demethylation of trideuteriomethyl CLBZ was determined The values of kH/kD were calculated at 5.07 and 3.88 for control and induced microsomes, resp.

IT 151093-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and nitro group reduction and cyclization of, in clobazam metabolism study)

RN 151093-49-1 CAPLUS
CN Propanoic acid, 3-[(5-chloro-2-nitrophenyl)(3,4-dimethoxyphenyl)amino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:118481 CAPLUS
DOCUMENT NUMBER: 112:118481
TITLE: Preparation of 1,4-dihydroxynaphthalene derivatives for wound healing and for treatment of delayed allergies
INVENTOR(S): Imuda, Junichi; Ishitoku, Takeshi; Isayama, Shigeru; Furuya, Yoshiro; Takahashi, Katsuya; Ori, Aiichiro; Nakamura, Hideo; Motoyoshi, Satoru
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Dainippon Pharmaceutical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 01203351 | A2 | 19890816 | JP 1988-25330 | 19880205 |
| PRIORITY APPLN. INFO.: | | | JP 1988-25330 | 19880205 |

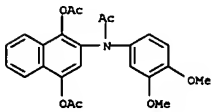
OTHER SOURCE(S): MARPAT 112:118481

GI For diagram(s), see printed CA Issue.

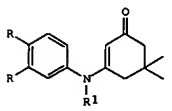
AB The title compds. [I; R1, R4 = H, acyl, alkoxycarbonyl, alkylsulfonyl, dialkylcarbamoyl, alkoxyalkyl, alkyl; R2 = cyano, CHO, N-acyloxyiminomethyl, substituted CONH2, acylalkyl, (CH2CH:CMeCH2)nH (n = 2-4), CH2CH:CMe2, acyloxyalkyl, alkoxycarbonylalkyl, (un)substituted alkylsulfonyl, SO3H, substituted OH or NH2, N-substituted CH2NH2, CO2H, R; R3 = H, alkyl, acyloxyalkyl, etc. ], useful for wound healing and for treatment of delayed allergies, are prepared Thus, treatment of 1,4-naphthalenediol ditetrahydropyranyl ether (preparation given) with BuLi in Et2O followed by DMF gave, after deprotection, 2-formyl-1,4-dihydroxynaphthalene which was acetylated with Ac2O in pyridine to give 2-formyl-1,4-diacetoxynaphthalene. I inhibited 24.2-96.6% auricle edema in mice sensitized with oxazolone.

IT 125499-55-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as allergy inhibitor and for wound healing)

RN 125499-55-0 CAPLUS
CN Acetamide, N-[1,4-bis(acetyloxy)-2-naphthalenyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



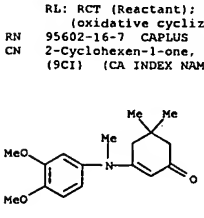
L16 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:447156 CAPLUS
DOCUMENT NUMBER: 111:47156
TITLE: Electroorganic synthesis. 40. Oxidative cyclization of 3-anilino-cyclohex-2-enones to tetrahydrocarbazoles
AUTHOR(S): Schaefer, Hans J.; Eilenberg, Wolf
CORPORATE SOURCE: Org. Chem. Inst., Univ. Muenster, Muenster, 4400, Fed. Rep. Ger.
SOURCE: Heterocycles (1989), 28(2), 979-85
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB I (R = MeO, R' = H; R = R' = H; R = MeO, R' = Me) were prepared from anilines and 5,5-dimethyl-1,3-cyclohexanedione. Anodic oxidation of I (R = MeO, R' = H) affords the p-benzoquinone monoimine di-Me acetal, that is cyclized with CF3CO2H to the tetrahydrocarbazole. Lead tetraacetate oxidation of I (R = Meo, R = Me) lead to the tetrahydrocarbazole.

IT 95602-16-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidative cyclization of, tetrahydrocarbazoles from)

RN 95602-16-7 CAPLUS
CN 2-Cyclohexen-1-one, 3-[(3,4-dimethoxyphenyl)methylamino]-5,5-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:39017 CAPLUS
DOCUMENT NUMBER: 110:39017
TITLE: N-substituted 3,4-dihydropyrimidine derivatives as calcium antagonists
INVENTOR(S): Cho, Hidetsura; Ueda, Masaru
PATENT ASSIGNEE(S): Suntory, Ltd., Japan
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 280227 | A1 | 19880831 | EP 1988-102557 | 19880222 |
| EP 280227 | B1 | 19920115 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 63208580 | A2 | 19880830 | JP 1987-38345 | 19870221 |
| US 4920124 | A | 19900424 | US 1988-157777 | 19880219 |
| AT 71620 | E | 19920215 | AT 1988-102557 | 19880222 |
| ES 2039485 | T3 | 19931001 | ES 1988-102557 | 19880222 |
| PRIORITY APPLN. INFO.: | | | JP 1987-38345 A | 19870221 |
| | | | EP 1988-102557 A | 19880222 |

OTHER SOURCE(S): CASREACT 110:39017; MARPAT 110:39017

GI

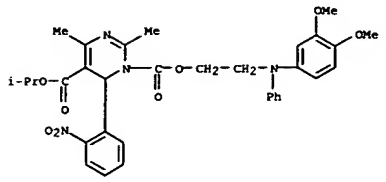
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (R = C1-4 alkyl; X1 - X3 = H, halo, C1-4 alkyl, alkoxy, NO2, CF3, OH, tert-BuSiMe2O, with the proviso that X1 - X3 are not all H) were prepared as calcium antagonists. A mixture of 5-isopropoxycarbonyl-2,6-dimethyl-4-(2-nitrophenyl)-1,4-(3,4)-dihydropyrimidine and phosgene dimer in THF containing Et3N was stirred for 1 h. A solution of 2-[N-benzyl-N-(3,4-dichlorobenzyl)amino]ethanol in THF was then added, and the resulting mixture stirred at room temperature for 20 h to give 50% dihydropyrimidine II. II exhibited an ED30 of 2.1 μg/kg i.v. with respect to vascular resistance of the vertebral artery in anesthetized dogs.

IT 118261-52-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as calcium antagonist)

RN 118261-52-2 CAPLUS
CN 1,5(6H)-Pyrimidinedicarboxylic acid, 2,4-dimethyl-6-(2-nitrophenyl)-, 1-[2-[(3,4-dimethoxyphenyl)phenylamino]ethyl] 5-(1-methylethyl) ester (9CI) (CA INDEX NAME)

L16 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

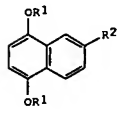


L16 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:610744 CAPLUS
DOCUMENT NUMBER: 109:210744
TITLE: 1,4-Naphthalendiol derivatives for treatment of wound and delayed allergy.
INVENTOR(S): Ishitoku, Takeshi; Imuda, Junichi; Furuya, Yoshiro; Isayama, Shigeru; Nakamura, Hideo
PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Dainippon Pharmaceutical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 63122638 | A2 | 19880526 | JP 1986-268655 | 19861113 |
| JP 08025933 | B4 | 19960313 | | |
| PRIORITY APPLN. INFO.: | | | JP 1986-268655 | 19861113 |

OTHER SOURCE(S): MARPAT 109:210744
GI

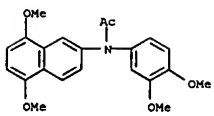


I

AB The title compds. (I; R1 = H, alkyl, alkylsulfonyl, acyl; R2 = carbonyl, carboxyl, formyl, acyl, alkoxy, morpholino, etc.), useful as agents for treatment of wounds and delayed allergy, are prepared To an adduct of 1:1 (mol ratio) benzoquinone-butadiene in PhNO2 were successively added at .apprx.0° C3H7COCl and AlCl3 and the mixture was kept at .apprx.0° for 1 h and then at room temperature for 3 h to give 37% 1,4-dibutyryloxy-5,8-dihydro-6-(1-oxobutyl)naphthalene which was hydrolyzed and then acylated with Ac2O at 130° for 2 h to give 92% 1,4-diacetoxy-5,8-dihydro-6-(1-oxobutyl)naphthalene. The latter compound was dehydrogenated in a mixture of PhMe-methylstyrene at 230° for 5 h to give 68% I (R1 = COMe, R2 = COCH2CH2Me) (II) which (at 2 mg soaked in 2 felt balls) implanted in rats caused 38.9% of the granuloma caused by the felt balls alone. II at 1 mg on rat ears reduced delayed allergy induced by oxazolone solution by 65.2%.

IT 117255-75-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as delayed allergy inhibitor and wound treating agent)
RN 117255-75-1 CAPLUS
CN Acetamide, N-(5,8-dimethoxy-2-naphthalenyl)-N-(3,4-dimethoxyphenyl)- (9CI)
(CA INDEX NAME)

L16 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L16 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

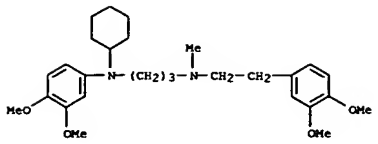
ACCESSION NUMBER: 1988:111951 CAPLUS
DOCUMENT NUMBER: 108:111951
TITLE: Aromatic diamines for the treatment of angina, and a process for their preparation
INVENTOR(S): Maschler, Harald
PATENT ASSIGNEE(S): Beecham-Wuelfing G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 115 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 233762 | A2 | 19870826 | EP 1987-301246 | 19870213 |
| EP 233762 | A3 | 19890510 | | |
| EP 233762 | B1 | 19920819 | | |
| R: BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| DK 8700755 | A | 19870816 | DK 1987-755 | 19870213 |
| AU 8768779 | A1 | 19870820 | AU 1987-68779 | 19870213 |
| JP 62240653 | A2 | 19871021 | JP 1987-31330 | 19870213 |
| JP 2543690 | B2 | 19961016 | | |
| ZA 8701062 | A | 19881026 | ZA 1987-1062 | 19870213 |
| US 5494933 | A | 19960227 | US 1995-456608 | 19950601 |
| US 5602174 | A | 19970211 | US 1995-458046 | 19950601 |
| JP 08268983 | A2 | 19961015 | JP 1996-78140 | 19960307 |
| PRIORITY APPLN. INFO.: | | | GB 1986-3765 | A 19860215 |
| | | | US 1987-14474 | B1 19870213 |
| | | | US 1990-514675 | B1 19900425 |
| | | | US 1992-845522 | B1 19920304 |

AB The title compds. R1R2NANR3BR4 [I; R1, R4 = (substituted) Ph; R2 = (CH2)zCN (z = 0-4), alkyl, cycloalkyl or cycloalkylalkyl (1-2 optional ring alkyl groups), phenylalkyl, pyridyl or pyridylalkyl (may be substituted as for R1), COR7, COCH2COR7, SO2R7, CO2R7, CONHR7, CSNHR7 (R7 = alkyl, cycloalkyl, cycloalkylalkyl, Ph, phenylalkyl, all with optional substitution of alkyl by OH or alkanoyloxy); R3 = H, alkyl; A = C2-5 alkylene; B = C1-4 alkylene] are prepared as agents for the treatment of angina. Alkylation of 3,4-(MeO)2C6H3NH(CH2)3NMe(CH2)2C6H3(OMe)2-3,4 (preparation given) with 2-O2NC6H4CH2Cl and Et3N in refluxing CHCl3 gave I (R1 = R4 = 3,4-(MeO)2C6H3, R2 = 2-O2NC6H4, R3 = Me, A = (CH2)3, B = (CH2)2] (II) in 22% yield after chromatog. on silica. II was active against vasopressin-induced coronary spasms in anesthetized rats at 0.6 g/kg i.d.

IT 113241-20-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antianginal agent)
RN 113241-20-6 CAPLUS
CN 1,3-Propanediamine, N-cyclohexyl-N-(3,4-dimethoxyphenyl)-N'-(2-(3,4-dimethoxyphenyl)ethyl]-N'-methyl- (9CI) (CA INDEX NAME)

L16 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L16 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:402684 CAPLUS
DOCUMENT NUMBER: 107:2684
TITLE: Preparation of acrylamides as fungicides
INVENTOR(S): Curtze, Juergen; Albert, Guido; Drandarevski, Christo; Pieper, Helmut; Nickl, Josef
PATENT ASSIGNEE(S): Celamerck G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

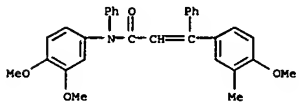
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 3525623 | A1 | 19870122 | DE 1985-3525623 | 19850718 |
| EP 208999 | A1 | 19870121 | EP 1986-109031 | 19860702 |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| PRIORITY APPLN. INFO.: | | | DE 1985-3525623 | A 19850718 |

GI For diagram(s), see printed CA Issue.

AB The acrylamides ABC:CR1COQ [R1 = H, halo, CN, (un)substituted alkyl or alkoxyalkyl; A = R2-substituted Ph; B = I, II, III, IV; k = 0, 1, 2; m = 0-3; X = CH2, O, S, NH, aminoalkylene; R2 = halo, NO2, OH, CN, CO2H, alkoxycarbonyl, etc.; Q = V, VI; R3 = H, (un)substituted alkyl or Ph; R4 = substituted alkyl, cycloalkyl, Ph, etc.; R5 = H, alkyl] and their salts are prepared as fungicides (no data) by reacting ABC:CR1CO2H with HQ, or by reacting ABCO with (R6O)2PCHR1COQ (R6 = alkyl). A solution of 3-(3,4-dimethoxyphenyl)-3-phenylacrylic acid and Et3N in THF was treated at 5° with ClCO2Et in THF, followed by the addition of 1-methylamino-1-methylprop-2-yne and refluxing for 1 h, to give 3-(3,4-dimethoxyphenyl)-3-phenylacrylic acid N-(but-1-yn-3-yl)-N-methylamide (VII). A formulation contained VII 20, kaolin 20, Na2SO4 5, whiting 2, Ca ligninsulfonate 9, Na diisobutylnaphthalenesulfonate 1, and silica chalk 43% by weight

IT **107110-83-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as fungicide)

RN 107110-83-8 CAPLUS
CN 2-Propenamide, N-(3,4-dimethoxyphenyl)-3-(4-methoxy-3-methylphenyl)-N,3-diphenyl- (9CI) (CA INDEX NAME)



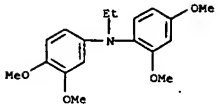
L16 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:49452 CAPLUS
DOCUMENT NUMBER: 104:49452
TITLE: Projection of an endocoid involved with schizophrenic reaction
AUTHOR(S): Proctor, Charles D.; Cho, James B.; Nicolls, Arthur A.
CORPORATE SOURCE: Med. Sch., Mercer Univ., Macon, GA, 31207, USA
SOURCE: Progress in Clinical and Biological Research (1985), 192(Endocoids), 387-93
CODEN: PCBRD2; ISSN: 0361-7742
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The present and previous studies showed that 3,4-dimethoxyphenylethylamine (DMPEA), incubated with blood plasma from unmedicated, acute schizophrenics, administered to aggregated mice pretreated with the monoamine oxidase inhibitor, phenylisobutylhydrazine, produced an amphetamine-like excitatory, lethal response in such mice. The use of blood plasma from 92 unmedicated, acute schizophrenics in the test system gave 82 pos. responses (89%) and 10 neg. responses (11%). Substitution of the blood plasmas from 94 non-schizophrenics analogously into this test system produced 2 pos. responses (2%) and 92 neg. responses (98%). When plasma from schizophrenics medicated with antipsychotic tranquilizers were tested in the system, none gave pos. responses, and 58 gave neg. responses. If the compound bis-N,N-dimethoxyphenylethylamine (bis-DMPEA) was either added to DMPEA or substituted for it and incubated with inactive blood plasma taken from non-schizophrenics in the incubation step of the test system a marked pos. response was elicited. The results obtained are compatible with the hypothesis which postulates that a DMPEA metabolite functions as a pathol. endocoid in schizophrenic reaction.

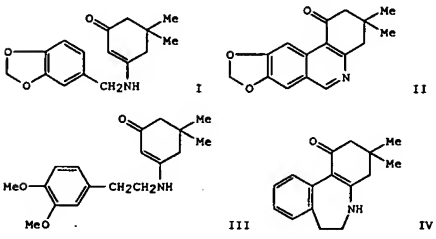
IT **99874-41-6**
RL: BIOL (Biological study)
(schizophrenia behavioral reaction in relation to)

RN 99874-41-6 CAPLUS
CN Benzenamine, N-(3,4-dimethoxyphenyl)-N-ethyl-2,4-dimethoxy- (9CI) (CA INDEX NAME)



L16 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

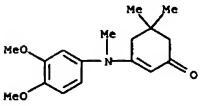
ACCESSION NUMBER: 1985:149093 CAPLUS
DOCUMENT NUMBER: 102:149093
TITLE: Anodic intramolecular arylation of enaminones
AUTHOR(S): Eilenberg, W.; Schaefer, H. J.
CORPORATE SOURCE: Org.-Chem. Inst., Univ. Muenster, Muenster, D-4400, Fed. Rep. Ger.
SOURCE: Tetrahedron Letters (1984), 25(44), 5023-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:149093
GI



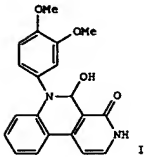
AB N-Benzyl- and β-phenylethyl-enaminones are cyclized at the anode to isoquinolines and benzazepines. Thus, I gave 45% II, and III gave 43% IV.

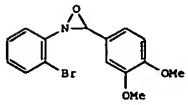
IT **95602-16-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrochem. cyclization of)

RN 95602-16-7 CAPLUS
CN 2-Cyclohexen-1-one, 3-[(3,4-dimethoxyphenyl)methylamino]-5,5-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:612779 CAPLUS
DOCUMENT NUMBER: 99:212779
TITLE: A classical approach to the synthesis of perloline
AUTHOR(S): Kasum, Bruno; Prager, Rolf H.
CORPORATE SOURCE: Org. Chem. Dep., Univ. Adelaide, Adelaide, 5001, Australia
SOURCE: Australian Journal of Chemistry (1983), 36(7), 1455-67
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

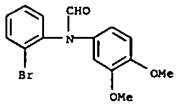

I


II

AB A synthesis of perloline (I) by reaction of (2-bromophenyl)(3,4-dimethoxyphenyl)amine with a C-4 substituted 2-oxo-1,2-dihydropyridine-3-carboxylic acid was unsuccessful due to the inability to form the amide bond. The diphenylamine was prepared from the nitrone of dimethoxybenzylidene-2-bromoaniline via the oxaziridine II, the thermal rearrangement of which was investigated. Conjugate addns. of a diphenylamine dianion to unsatd. esters are reported.

IT **87853-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

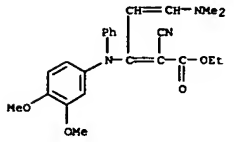
RN 87853-81-4 CAPLUS
CN Formamide, N-(2-bromophenyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



IT **87853-87-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 87853-87-0 CAPLUS
CN 2,4-Pentadienoic acid, 2-cyano-3-[(3,4-dimethoxyphenyl)phenylamino]-5-

L16 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(dimethylamino)-, ethyl ester (9CI) (CA INDEX NAME)

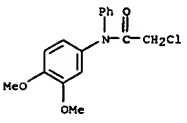


L16 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:138894 CAPLUS
DOCUMENT NUMBER: 98:138894
TITLE: N-Arylalkyl-2-chloroacetanilides as plant-growth regulating agents
AUTHOR(S): Venkov, A.; Nikolova, M.; Mollov, N.
CORPORATE SOURCE: Dep. Chem., Univ. Plovdiv, Plovdiv, 4000, Bulg.
SOURCE: Chemistry & Industry (London, United Kingdom) (1982), (20), 808-9
CODEN: CHINAG; ISSN: 0009-3068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:138894

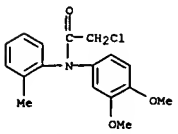
AB Plant growth regulating activity of several N-arylalkyl-2-chloro-acetanilides was tested on seedlings of wheat as representative of monocotyledons and on a cucumber from dicotyledons using alachlor and metoalachlor as stds. The compds. showed selective activity against monocotyledons. The herbicidal activity of the compds. was proved against such weeds as Amaranthus, Atriplex, and Veronica.

IT **85271-22-3P 85271-23-4P 85271-24-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and plant-growth regulating activity of)

RN 85271-22-3 CAPLUS
CN Acetamide, 2-chloro-N-(3,4-dimethoxyphenyl)-N-phenyl- (9CI) (CA INDEX NAME)

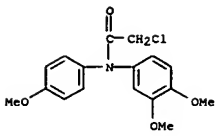


RN 85271-23-4 CAPLUS
CN Acetamide, 2-chloro-N-(3,4-dimethoxyphenyl)-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

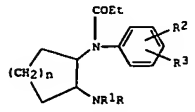


RN 85271-24-5 CAPLUS
CN Acetamide, 2-chloro-N-(3,4-dimethoxyphenyl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L16 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L16 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:525875 CAPLUS
DOCUMENT NUMBER: 95:125875
TITLE: A new nontricyclic antidepressant agent. Synthesis and activity of N-[trans-2-dimethylaminocyclopentyl]-N-(3,4-dichlorophenyl)propanamide and related compounds
AUTHOR(S): Szmuszkovicz, J.; VonVoigtlander, P. F.; Kane, M. P.
CORPORATE SOURCE: Res. Lab., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Journal of Medicinal Chemistry (1981), 24(10), 1230-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:125875
GI

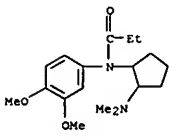


I

AB Sixty-seven compds. I (R and R' = H, Me, Et, etc.; R2 and R3 = H, Me Cl, etc.; R4 = H, Me, Et, cyclopropyl, etc.; n = 1 or 2) were synthesized and tested for antidepressant activity in mice. The most active I contained a 5-membered ring (n = 1), had trans stereochem., contained an ethyl- or cyclopropylamide moiety, and had m-halo or trifluoromethyl aromatic substitution. A variety of amine substituents were effective.
IT 78866-59-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of, structure in relation to)
RN 78866-59-8 CAPLUS
CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[2-(dimethylamino)cyclopentyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 78866-58-7
CMF C18 H28 N2 O3

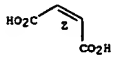


L16 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 110-16-7
CMF C4 H4 O4

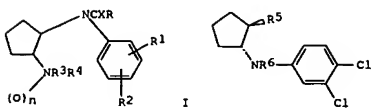
Double bond geometry as shown.



L16 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:532266 CAPLUS
DOCUMENT NUMBER: 93:132266
TITLE: N-(2-Aminocyclopentyl)-N-alkanoylanilides as CNS anti-depressants
INVENTOR(S): Szmuszkovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 777,599, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4204003 | A | 19800520 | US 1978-876349 | 19780209 |
| NL 7803442 | A | 19790813 | NL 1978-3442 | 19780331 |
| DE 2817112 | A1 | 19790816 | DE 1978-2817112 | 19780419 |
| DE 2817112 | C2 | 19880107 | | |
| JP 54106451 | A2 | 19790821 | JP 1978-53631 | 19780504 |
| US 4159340 | A | 19790626 | US 1978-906429 | 19780515 |
| BE 867554 | A4 | 19781127 | BE 1978-188099 | 19780526 |
| FR 2416882 | A2 | 19790907 | FR 1978-15867 | 19780526 |
| FR 2416882 | B2 | 19801107 | | |
| GB 1581914 | A | 19801231 | GB 1978-23590 | 19780526 |
| CH 636342 | A | 19830531 | CH 1978-5851 | 19780529 |
| PRIORITY APPLN. INFO.: | | | US 1976-756191 | A2 19761130 |
| | | | US 1977-777599 | A2 19770315 |
| | | | US 1976-746191 | A2 19761130 |
| | | | US 1978-876349 | A 19780209 |

GI



I II

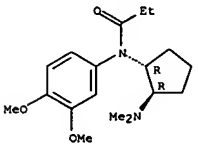
AB N-Cyclopentyl-N-alkanoylanilides I (R = alkyl; R1, R2 = H, halogen, F3C, alkyl, alkoxy; R3, R4 = H, alkyl; X = O, S; n = 0, 1) were prepared Thus, refluxing 3,4-Cl2C6H3NH2 with cyclopentene oxide for 7 days and treating the resulting II (R5 = OH, R6 = H) with ClSO3H gave II (R5 = OSO3H) which reacted with MeNH2 to give II (R5 = NHMe)(III). Treating III with Cl3CCH2O2CCl gave II (R5 = NMeCO2CH2CCl3)(IV). Heating IV with (EtCO)2O gave II (R6 = COEt)(V). V had an ED50 < 1 mg/kg, i.p., in the standard yohimbine toxicity potentiation and oxotremorine hypothermia antagonism tests.
IT 67450-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

L16 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of)
RN 67450-49-1 CAPLUS
CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[(1R,2R)-2-(dimethylamino)cyclopentyl]-, rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 67450-48-0
CMF C18 H28 N2 O3

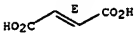
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

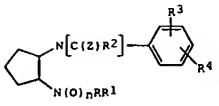
Double bond geometry as shown.



L16 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:557342 CAPLUS
DOCUMENT NUMBER: 91:157342
TITLE: N-Acyl-N-phenyl-1,2-cyclopentanediamines as CNS anti-depressants
INVENTOR(S): Szmuszkovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4159340 | A | 19790626 | US 1978-906429 | 19780515 |
| BE 861351 | A1 | 19780530 | BE 1977-183052 | 19771130 |
| BE 861355 | A1 | 19780530 | BE 1977-183056 | 19771130 |
| US 4204003 | A | 19800520 | US 1978-876349 | 19780209 |
| AU 517939 | B2 | 19810903 | AU 1978-36081 | 19780512 |
| AU 7836081 | A1 | 19791115 | | |
| NL 7902683 | A | 19791012 | NL 1979-2683 | 19790405 |
| CH 652595 | A | 19851129 | CH 1979-3241 | 19790406 |
| FR 2422401 | A2 | 19791109 | FR 1979-8931 | 19790409 |
| FR 2422401 | B2 | 19830401 | | |
| BE 875461 | A4 | 19791010 | BE 1979-194510 | 19790410 |
| JP 54151949 | A2 | 19791129 | JP 1979-43403 | 19790410 |
| PRIORITY APPLN. INFO.: | | | US 1976-746191 A2 | 19761130 |
| | | | US 1977-777599 A2 | 19770315 |
| | | | US 1978-876349 A2 | 19780209 |
| | | | US 1976-756191 A2 | 19761130 |
| | | | BE 1977-861351 A | 19771130 |
| | | | US 1978-895209 A | 19780410 |
| | | | US 1978-895210 A | 19780410 |
| | | | US 1978-906429 A | 19780515 |

GI



I

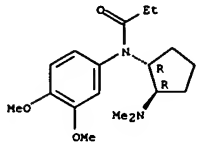
AB Title compds. I [n = 0, 1; R = H, alkyl; R1 = PhCH2, PhCH2CH2, alkenyl; Z = O, S; R2 = alkyl, vinyl, cycloalkyl, OEt, CH2OMe; each of R3 and R4 is selected from H, halogen (atomic number 9-35), CF3, alkyl, alkoxy] are useful as

L16 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
antidepressants (no data) and were prepd. by N-acylation. N,N-Dimethyl-N'-(3,4-dichlorophenyl)-1,2-cyclopentanediamine was heated with (EtCO)2O, water added, and the mixt. heated and worked up to yield I (n = 0, R = R1 = Me, Z = O, R2 = Et, R3 = 3-Cl, R4 = 4-Cl).
IT 67450-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 67450-49-1 CAPLUS
CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[(1R,2R)-2-(dimethylamino)cyclopentyl]-, rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 67450-48-0
CMF C18 H28 N2 O3

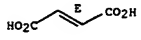
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

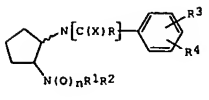
Double bond geometry as shown.



L16 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:439158 CAPLUS
DOCUMENT NUMBER: 91:39158
TITLE: N-(2-Aminocyclopentyl)-N-alkanoylanilides or their 2-N-oxides useful in the treatment of depressive states
INVENTOR(S): Szmuszkovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Ger. Offen., 92 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2749214 | A1 | 19780601 | DE 1977-2749214 | 19771103 |
| DE 2749214 | C2 | 19871105 | | |
| AU 7730489 | A1 | 19790517 | AU 1977-30489 | 19771109 |
| AU 511200 | B2 | 19800731 | | |
| GB 1560218 | A | 19791219 | GB 1977-46750 | 19771110 |
| GB 1560219 | A | 19791219 | GB 1978-46747 | 19771110 |
| NL 7712899 | A | 19780601 | NL 1977-12899 | 19771123 |
| SE 7713439 | A | 19780531 | SE 1977-13439 | 19771128 |
| SE 441444 | B | 19851007 | | |
| SE 441444 | C | 19860123 | | |
| JP 53068748 | A2 | 19780619 | JP 1977-142580 | 19771128 |
| FR 2384495 | A1 | 19781020 | FR 1977-35909 | 19771129 |
| FR 2384495 | B1 | 19800725 | | |
| CH 636340 | A | 19830531 | CH 1977-14612 | 19771129 |
| BE 861351 | A1 | 19780530 | BE 1977-183052 | 19771130 |
| BE 861355 | A1 | 19780530 | BE 1977-183056 | 19771130 |
| US 4156733 | A | 19790529 | US 1978-879378 | 19780221 |
| US 4157398 | A | 19790605 | US 1978-879379 | 19780221 |
| AU 517939 | B2 | 19810903 | AU 1978-36081 | 19780512 |
| AU 7836081 | A1 | 19791115 | | |
| PRIORITY APPLN. INFO.: | | | US 1976-746191 A | 19761130 |
| | | | US 1977-777599 A | 19770315 |

GI



I

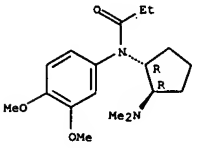
AB Forty-seven title anilides I [R = alkyl, vinyl, cycloalkyl, CO2Et, CH2OMe; R1 = alkyl, R2 = alkyl, Me2NCH2CH2, Me2N(CH2)3, benzyl, phenethyl, alkenyl, or R1R2 = (CH2)4, (CH2)5; R3, R4 = H, halo, CF3, alkyl, etc.; X = O or S; n = 0 or 1], useful as antidepressants (no data), were prepared Thus, cyclopentene oxide added to secondary amines to give 2-aminocyclopentanols, which were treated with NaH, MeSO2Cl and anilines

L16 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
to give N-(2-aminocyclopentyl)anilines, and these were acylated with (RCO)2O or RCOCl to give I.
IT 67450-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of antidepressant)
RN 67450-49-1 CAPLUS
CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[(1R,2R)-2-(dimethylamino)cyclopentyl]-, rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 67450-48-0
CMF C18 H28 N2 O3

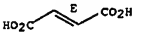
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L16 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

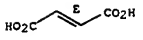
ACCESSION NUMBER: 1979:438946 CAPLUS
DOCUMENT NUMBER: 91:38946
TITLE: N-(2-Aminocyclopentyl)alkanoylanilides
INVENTOR(S): Szmuskovicz, Jacob
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4148913 | A | 19790410 | US 1978-895210 | 19780410 |
| AU 7730489 | A1 | 19790517 | AU 1977-30489 | 19771109 |
| AU 511200 | B2 | 19800731 | | |
| GB 1560218 | A | 19791219 | GB 1977-46750 | 19771110 |
| GB 1560219 | A | 19791219 | GB 1978-46747 | 19771110 |
| NL 7712899 | A | 19780601 | NL 1977-12899 | 19771123 |
| SE 7713439 | A | 19780531 | SE 1977-13439 | 19771128 |
| SE 441444 | B | 19851007 | | |
| SE 441444 | C | 19860123 | | |
| JP 53068748 | A2 | 19780619 | JP 1977-142580 | 19771128 |
| FR 2384495 | A1 | 19781020 | FR 1977-35909 | 19771129 |
| FR 2384495 | B1 | 19800725 | | |
| CH 636340 | A | 19830531 | CH 1977-14612 | 19771129 |
| BE 861351 | A1 | 19780530 | BE 1977-183052 | 19771130 |
| BE 861355 | A1 | 19780530 | BE 1977-183056 | 19771130 |
| US 4156733 | A | 19790529 | US 1978-879378 | 19780221 |
| US 4157398 | A | 19790605 | US 1978-879379 | 19780221 |
| AU 517939 | B2 | 19810903 | AU 1978-36081 | 19780512 |
| AU 7836081 | A1 | 19791115 | | |
| NL 7902683 | A | 19791012 | NL 1979-2683 | 19790405 |
| CH 652595 | A | 19851129 | CH 1979-3241 | 19790406 |
| FR 2422401 | A2 | 19791109 | FR 1979-8931 | 19790409 |
| FR 2422401 | B2 | 19830401 | | |
| BE 875461 | A4 | 19791010 | BE 1979-194510 | 19790410 |
| JP 54151949 | A2 | 19791129 | JP 1979-43403 | 19790410 |

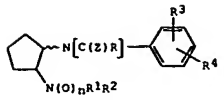
PRIORITY APPLN. INFO.: US 1976-746191 A2 19761130
US 1977-777599 A2 19770315
BE 1977-861351 A 19771130
US 1978-895209 A 19780410
US 1978-895210 A 19780410
US 1978-906429 A 19780515

GI

L16 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L16 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

AB Alkanoic anhydrides and alkanoyl chlorides were amidated by N-phenyl-1,2-cyclopentanediamines to give amides I [Z = O, S; n = 0, 1; R = C1-3 alkyl, CH2:CH, C3-6 cycloalkyl, OEt, CH2OMe; R1 = H, C1-3 alkyl; R2 = CH2CH2NMe2, (CH2)3NMe2; each of R3 and R4 is selected from H, halo (atomic number 9-35), CF3, C1-2 alkyl, C1-2 alkoxy], useful as antidepressants (no data). A solution of trans-1-(dimethylamino)-2-(3,4-dichloroanilino)cyclopentane in (EtCO)2O was heated overnight on a steam bath and worked up to yield trans-I (n = 0, Z = O, R = Et, R1 = R2 = Me, R3 = 3-Cl, R4 = 4-Cl).

IT 67450-49-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

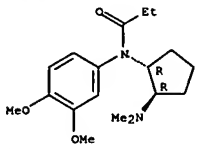
RN 67450-49-1 CAPLUS

CN Propanamide, N-(3,4-dimethoxyphenyl)-N-[(1R,2R)-2-(dimethylamino)cyclopentyl]-, rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 67450-48-0
CMF C18 H28 N2 O3

Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L16 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1939:29876 CAPLUS
DOCUMENT NUMBER: 33:29876
ORIGINAL REFERENCE NO.: 33:4252d-i,4253a-i,4254a-b
TITLE: Quinazolines. XLIV. The synthesis of some new quinazoline derivatives of veratrole akin to alkaloids
AUTHOR(S): Fetscher, Charles A.; Bogert, M. T.
SOURCE: Journal of Organic Chemistry (1939), 4, 71-87
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 33:29876

AB cf. C. A. 30, 7577.7. An attempt has been made to synthesize true papaverine analogs of the quinazoline series, but so far without success. The expts. have, however, led to interesting products which are reported. The application of the Pictet papaverine synthesis in the quinazoline series has failed. Since veratrole derivs. react quite differently from unmethoxylated benzene, Ac, phenylacetyl and bromoveratroyl derivs. of 3,4-dimethoxyphenylurea were prepared but they cannot be condensed to quinazolones. The Riedel quinazoline synthesis (Ger. pat. 174,941 (1905)) gives 6,7-dimethoxyquinazoline in good yield with 6-nitroveratraldehyde but does not work with ketones under the conditions used. o-Aminodesoxyveratroin (I) could not be prepared by direct nitration of desoxyveratroin and reduction, for the NO2 enters in the o-position to the CH2 group and not to the CO group. Also the attempt to prepare I from 6-nitroveratronitrile and veratryl-MgCl (cf. Pschorr and Decker, Ber. 37, 3404(1904)) failed. The preparation of veratryl chloride by the Blanc process gives tetramethoxydihydroanthracene. The possibility of preparing I from the Na compound of 6-nitroveratroylacetic ester and a 4-haloveratrole is hindered by the unreactivity of these halogen compds. Formylation of 4-aminoveratrole (II) and of Et 6-aminoveratrate (III) is unsuccessful. When III is heated with HCO2Et in a sealed tube it gives Et 6-aminoveratroylformate (IV) as shown by hydrolysis to 6-aminoveratric acid and 6-aminoveratraldehyde and its conversion into the corresponding dimethoxyisatin. With AcOEt III gives Et acetaminoveratrate. The latter is converted into the corresponding dimethoxyacetanthranil and 2-methyl-6,7-dimethoxy-4-quinazolone. In a similar way, anthranil and quinazolones are prepared from the analogous 6-phenylacetamino- and 6-bromoveratroylaminoveratric acids. Condensation of 6-nitroveratraldehyde with bromoveratric acid gives α-(3',4'-dimethoxyphenyl)-3,4-dimethoxy-6-nitrocinnamic acid (V). Addition of HBr to V gives only gums. Benzoyleneurea cannot be reduced by any means and the reduction of 2,4-dichloroquinazoline by red P and HI gives only minute yields of dihydroquinazoline. Quinazoline is reduced by 4% NaHg to 1,2,3,4-tetrahydroquinazoline, m. 191-2°, in 80% yield. Nitration of 4-chloroveratrole with concentrated HNO3 at room temperature yields 4-chloro-5-nitroveratrole (VI), m. 118°. Heating VI with a saturated solution of NH3 in absolute EtOH for 10 h. at 130° gives 4-amino-5-nitroveratrole, m. 171°. When 4-nitroveratrole is refluxed with 5 cc. SOCl2 for 30 min. and the mixture is decomposed with 50% EtOH, 4-nitro-6-chloroveratrole (VII), m. 95°, is obtained. When VII is reduced with Sn and HCl, 4-amino-6-chloroveratrole, m. 89°, is formed. By catalytic reduction of 4-nitroveratrole, II, m. 86°, is

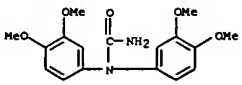
L16 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
obtained in 92% yield. Its HCl salt, m. 240°; Ac deriv., m. 133°; Bz deriv., m. 178°. When it is heated with CO2KCO2H for 1 h. at 120°, the acid oxalyl deriv., m. 168°, is obtained. When 2 g. II.HCl, 2 g. urea and 20 cc. H2O are refluxed for 30 min. and the hot soln. is filtered after 45 min., 3,4-dimethoxyphenylurea (VIII), m. 210°, crystallizes. The residue of the hot filtrate is extd. with EtOH and the insol. portion, after recrystn. from PhMe, m. 313° and is sym-di-(3,4-dimethoxyphenyl)urea From the alc. ext. the asym. compd., m. 210°, is obtained. Acetylation of VIII with Ac2O and pyridine yields sym-acetal-3,4-dimethoxyphenylurea, m. 227°. Acylation of VIII with PhCH2COCl and pyridine gives sym-phenylacetyl-3,4-dimethoxyphenylurea, m. 249°. With homoveratroyl chloride and pyridine, VIII gives sym-homoveratroyl-3,4-dimethoxyphenylurea, m. 256°. When dry HCl is bubbled into a mixt. of 20 g. veratrole, 5 g. paraformaldehyde and 10 g. ZnCl2, there seps. a white product, m. 235°, which is believed to be 2,3,6,7-tetramethoxy-9,10-dihydroanthracene. 6-Nitroveratraldehyde (IX), m. 133°, is best prepd. by slowly adding 15 g. veratraldehyde to 100 cc. concd. HNO3 at 15-20° in the course of 30 min. with exclusion of light. On bubbling dry HCl into a mixt. of IX and formamide at 45-50°, it becomes solid. After washing it with EtOH and crystg. from H2O, 6-nitroveratrylidenediformamide (X), m. 195.5°, is isolated. Redn. of X with Zn dust and AcOH gives 6,7-dimethoxyquinazoline, m. 143°; HCl salt, m. 227°. Oxidn. of IX according to Pschorr and Sumuleanu, (Ber. 32, 3412(1899)) gives 6-nitroveratric acid (XI), m. 189-90°; Et ester (XII), m. 99.5°; chloride, prepd. with SOCl2, m. 88-9°; amide, m. 193°. The latter, when treated with P2O5, gives the nitrile, m. 168°, which could not be made to react with BuMgBr or PhMgBr. When the oxidn. of IX is carried out with an insufficient amt. of KMnO4, a mixt. of XI with 6-nitrosoveratric acid (XII), m. 189-90°, is obtained which is sepd. by fractional crystn. from H2O. A product, the anal. of which agrees with that of the Et ester of XII, is obtained on catalytic redn. of XII with Pd and m. 70°. When 5 g. XII in 10 cc. AcOEt is treated with 0.7 g. Na, Et 6-nitroveratroylacetate, m. 73°, is obtained. On mild hydrolysis, 6-nitroveratroylacetic acid (XIV), m. 219°, is obtained. When XIV is refluxed for 30 h. with a satd. soln. of Ba(OH)2, the soln. then acidified and steam distd., no volatile substance is obtained, but a compd. m. 165°, is isolated, the anal. and chem. properties of which agree with those of chloronitroacetovanillone or -isovanillone. Redn. of XI with (NH4)2SO4.FeSO4 gives 30% 6-aminoveratric acid (XV), m. 186°. Redn. of XI with the Adams Pt catalyst gives better yields of XV. Its Et ester (III), m. 88°, is best prepd. by catalytic redn. of XII. Formylation of XV with HCO2Et at 130° for 4 h. yields Et 6-aminoveratroylformate (IV), m. 70°. When IV is kept at 40° for 3 h. in 10% KOH soln., filtered, neutralized with HCl and then extd. with Et2O, 5,6-dimethoxyisatin, m. around 180-95°, is formed. When III is treated with AcOEt to effect a Claisen condensation there is obtained 70% Et 6-aminoveratroylacetate, m. 130°, which on careful sapon. gives 6-acetaminoveratric acid (XVI), m. 233°. When a soln. of XVI in Ac2O is concd., 6,7-dimethoxyacetanthranil seps. as fine needles which, when boiled for 20 min. with 10 N NH4OH contg. 1 drop KOH, yield 2-methyl-6,7-dimethoxy-4-quinazolone, m. 312°. 6-Phenylacetaminoveratric acid (XVII), m. 226°, is prepd. by gradually adding 1.5 g. PhCH2COCl to 1.4 g. XV in 6.5 cc. satd. AcONa soln. at 0°. With Ac2O, XVII gives benzyldimethoxyanthranil which, on treatment with NH4OH, is converted into 2-benzyl-6,7-dimethoxy-4-quinazolone, m. 253°. XV and bromoveratroyl chloride give

L16 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
6-homoveratroylaminoveratric acid, m. 241°, which gives with Ac2O veratryldimethoxyanthranil. The latter is converted with NH4OH into 2-veratryl-6,7-dimethoxy-4-quinazolone, m. 269°. α-(3',4'-Dimethoxyphenyl)-3,4-dimethoxy-6-nitrocinnamic acid (XVIII) is obtained when 1 g. Na homoveratrate, 0.75 g. IX and 10 cc. Ac2O are heated for 2.5 h. at 105°. The excess of Ac2O is destroyed by addn. of a few cc. hot H2O and the mixt. poured into 200 cc. 2 N HCl. The ppt. is filtered and the product purified. The yield is 60%. XVIII m. 187°.

IT **854643-66-6**, Urea, 1,1-bis(3,4-dimethoxyphenyl)-
(preparation of)

RN 854643-66-6 CAPLUS

CN Urea, 1,1-bis(3,4-dimethoxyphenyl)- (4CI) (CA INDEX NAME)



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DICTIONARY FILE UPDATES:  22 NOV 2005  HIGHEST RN 868656-94-4

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* available and contains the CA role and document type information.  *
*                                                                    *
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http://www.cas.org/ONLINE/UG/regprops.html

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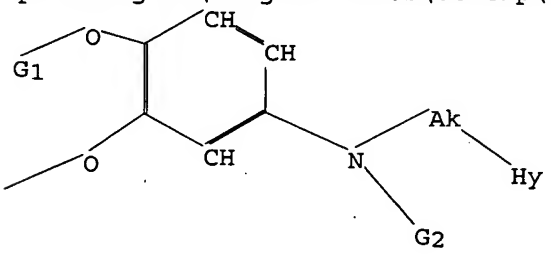
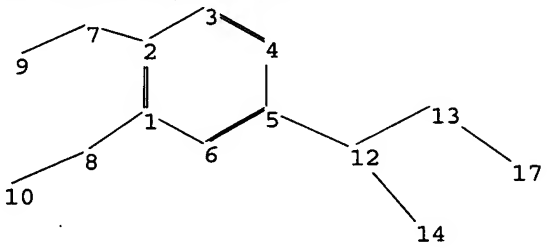



```
chain nodes :
```

```
7  8  9  12  13  14  17
ring nodes :
1  2  3  4  5  6
ring/chain nodes :
10
chain bonds :
1-8  2-7  5-12  7-9  8-10  12-13  12-14  13-17
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-8  2-7  5-12  7-9  8-10  12-13  12-14  13-17
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:C,H

G2:H,Cb

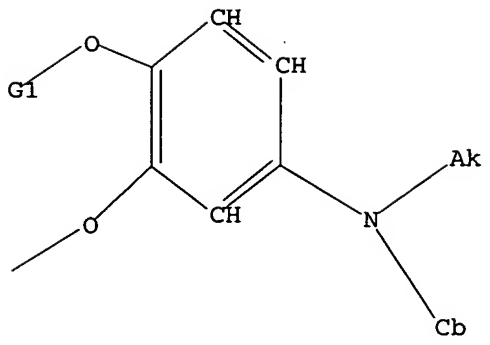
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 12:CLASS  13:CLASS  14:Atom  17:Atom
Generic attributes :
17:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System    : Monocyclic

Element Count :
Node 17: Limited
    C,C5
    N,N1
    O,O0
    S,S0



L17     STRUCTURE UPLOADED

=> d
L17 HAS NO ANSWERS
L17             STR
```




G1 C,H

Structure attributes must be viewed using STN Express query preparation.

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=> s l17 subset=l3 full
FULL SUBSET SEARCH INITIATED 08:13:43 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    13116 TO ITERATE

100.0% PROCESSED    13116 ITERATIONS                          444 ANSWERS
SEARCH TIME: 00.00.01

L18          444 SEA SUB=L3 SSS FUL L17

=> d his

     (FILE 'HOME' ENTERED AT 07:53:03 ON 23 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 07:53:12 ON 23 NOV 2005
L1               STRUCTURE UPLOADED
L2            50 S L1
L3         14295 S L1 FULL
L4               STRUCTURE UPLOADED
L5          7035 S L4 FULL SUB=L3
L6               STRUCTURE UPLOADED
L7          1903 S L6 FULL SUB=L3
L8          3588 S L5 AND CAPLUS/LC
L9          1564 S L7 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 07:57:40 ON 23 NOV 2005
L10         1666 S L8
L11          490 S L9

     FILE 'STNGUIDE' ENTERED AT 07:59:23 ON 23 NOV 2005

     FILE 'REGISTRY' ENTERED AT 08:07:31 ON 23 NOV 2005
L12              STRUCTURE UPLOADED
L13           118 S L12 FULL SUB=L3
L14           116 S L13 AND CAPLUS/LC
L15             2 S L13 NOT L14

     FILE 'CAPLUS' ENTERED AT 08:12:00 ON 23 NOV 2005
L16            24 S L14

     FILE 'REGISTRY' ENTERED AT 08:13:15 ON 23 NOV 2005
```

```
L17             STRUCTURE UPLOADED
L18         444 S L17 FULL SUB=L3

=> s l18 not l13
L19         326 L18 NOT L13

=> s l19 and caplus/lc
      48988102 CAPLUS/LC
L20         326 L19 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    43.08     670.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00     -48.18

FILE 'CAPLUS' ENTERED AT 08:14:03 ON 23 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 23 Nov 2005  VOL 143 ISS 22
FILE LAST UPDATED: 22 Nov 2005  (20051122/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l20
L21           8 L20

=> s l21 not l16
L22           5 L21 NOT L16

=> d ibib abs hitstr l21 1-8
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L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

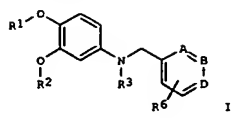
ACCESSION NUMBER: 2004:453188 CAPLUS
DOCUMENT NUMBER: 141:23427
TITLE: Preparation of N-oxides of heteroarylmethyl phenyl amines as phosphodiesterase 4 inhibitors
INVENTOR(S): Schumacher, Richard A.; Graham, Elizabeth Doorly; Hopper, Allen T.; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004046113 | A2 | 20040603 | WO 2003-US36986 | 20031119 |
| WO 2004046113 | A3 | 20050324 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2506297 | AA | 20040603 | CA 2003-2506297 | 20031119 |
| US 2004152902 | A1 | 20040805 | US 2003-715819 | 20031119 |
| BR 2003015705 | A | 20050906 | BR 2003-15705 | 20031119 |
| EP 1569908 | A2 | 20050907 | EP 2003-786857 | 20031119 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: US 2002-427221P P 20021119
WO 2003-US36986 W 20031119

OTHER SOURCE(S): MARPAT 141:23427
GI

L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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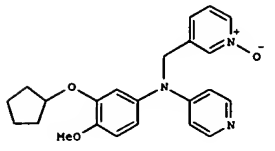


II

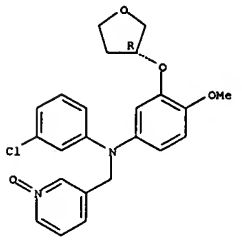
AB Nitrogen oxides of I [one of A, B, D = NO and the others are CR6; R1-2 = alkyl; R3 = H, cycloalkyl, etc.; R6 = H, halo, alkyl, alkoxy, CN, OH] and related derivs. are prepared For instance, 4-[(3-cyclopentyloxy-4-methoxyphenyl)amino]pyridine is alkylated with 3-chloromethylpyridine N-oxide (preparation given) (DMF, NaH) to give II. I are inhibitors of PDE4 and useful for the treatment of depression, Alzheimer's disease, etc.

IT **699003-94-6P 699003-95-7P**, 4-[N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid **699003-97-9P**, 3-[N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-01-8P**, 3'-Chloro-3-cyclopentyloxy-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]diphenylamine **699004-02-9P**, 3'-Chloro-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]-3-[(tetrahydrofuran-3-yl)oxy]diphenylamine **699004-03-0P 699004-04-1P**, 4-Difluoromethoxy-N-[(1-oxo-3-pyridyl)methyl]-3-[(tetrahydrofuran-3-yl)oxy]diphenylamine **699004-06-3P 699004-07-4P 699004-08-5P 699004-09-6P**, 4'-tert-Butyldimethylsilyloxy-3-cyclopentyloxy-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]diphenylamine **699004-10-9P**, 3-[N-(3-Cyclopentyloxy-4-difluoromethoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-11-0P**, 3-[N-[4-Methoxy-3-[(tetrahydrofuran-3-yl)oxy]phenyl]-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-12-1P 699004-17-6P**, 3-[N-[3-(2-Indanyloxy)-4-methoxyphenyl]-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-20-1P**, 3-Cyclopentyloxy-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine **699004-21-2P**, 3-Cyclopentyloxy-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]-3'-(2H-tetrazol-5-yl)diphenylamine **699004-22-3P**, (R)-4-Methoxy-N-[(1-oxo-3-pyridyl)methyl]-3-[(tetrahydrofuran-3-yl)oxy)-4'-(2H-tetrazol-5-yl)diphenylamine **699004-24-5P**, (R)-4-Difluoromethoxy-N-[(1-oxo-3-pyridyl)methyl]-3-[(tetrahydrofuran-3-yl)oxy)-4'-(2H-tetrazol-5-yl)diphenylamine **699004-25-6P**, 3-Cyclopentyloxy-4-difluoromethoxy-N-[(1-oxo-3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine **699004-32-5P**, 3-Cyclopentyloxy-3'-[(ethanesulfonyl)amino]-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]diphenylamine **699004-33-6P**, 3-Cyclopentyloxy-4-methoxy-3'-[(propanesulfonyl)amino]-N-[(1-oxo-3-pyridyl)methyl]diphenylamine **699004-34-7P**, 3-Cyclopentyloxy-4'-[(ethanesulfonyl)amino]-4-methoxy-N-[(1-oxo-3-pyridyl)methyl]diphenylamine **699004-35-8P**, 3-Cyclopentyloxy-4-methoxy-4'-[(propanesulfonyl)amino]-N-((1-oxo-3-pyridyl)methyl)diphenylamine **699004-37-0P 699004-39-2P 699004-41-6P**, 3-Cyclopentyloxy-4-methoxy-4'-[(5-oxopyrrolidinyl)methoxy]-N-[(1-oxo-3-pyridyl)methyl]diphenylamine **699004-42-7P**, 3-Cyclopentyloxy-4-methoxy-N-[3-(aminocarbonyl)phenyl]-N-[(1-oxo-3-pyridyl)methyl]aniline **699004-45-0P 699004-46-1P 699004-48-3P**, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-chlorophenyl)-N-[(1-oxo-3-pyridyl)methyl]aniline **699004-54-1P 699004-55-2P 699004-56-3P 699004-57-4P**, 3-[N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(1-oxo-2-pyridyl)methyl]amino]benzoic acid **699004-58-5P 699004-59-6P 699004-60-9P 699004-61-0P 699004-62-1P 699004-63-2P 699004-64-3P 699004-65-4P 699004-66-5P**, 3-[N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(5-fluoro-1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-67-6P**, 4-[N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(5-fluoro-1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-68-7P 699004-69-8P**, 3-[N-(3-Cyclobutyloxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoic acid **699004-70-1P**, 3-[N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]-5-fluorobenzoic acid **699004-72-3P**, 4-[N-(3-Cyclobutyloxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino}benzoic acid **699004-85-6P 699004-91-6P 699004-93-8P 699004-94-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)

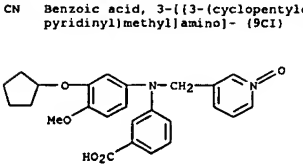
RN 699003-94-6 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

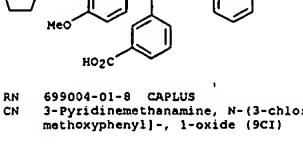
L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



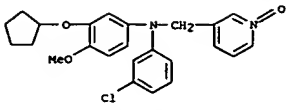
RN 699003-95-7 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



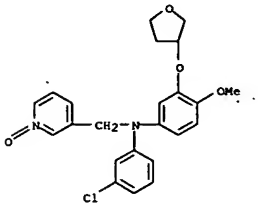
RN 699003-97-9 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699004-01-8 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[3-(cyclopentyloxy)-4-methoxyphenyl]-, 1-oxide (9CI) (CA INDEX NAME)

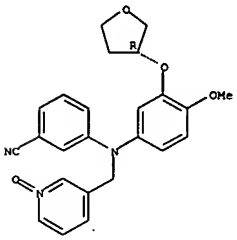


RN 699004-02-9 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)



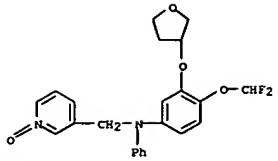
RN 699004-03-0 CAPLUS
CN Benzonitrile, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



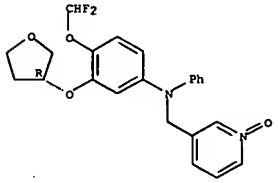
RN 699004-04-1 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(difluoromethoxy)-3-[(tetrahydro-3-furanyl)oxy]phenyl]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)





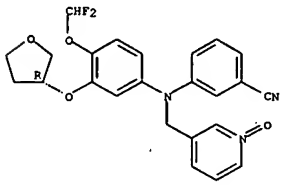
RN 699004-06-3 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 699004-07-4 CAPLUS
CN Benzonitrile, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

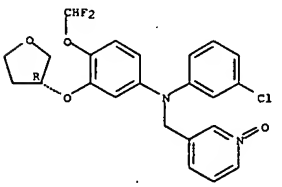
Absolute stereochemistry.



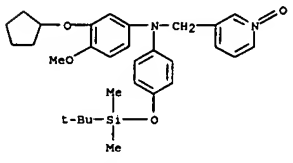
RN 699004-08-5 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-(difluoromethoxy)-3-[[(3R)-


tetrahydro-3-furanyl]oxy]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

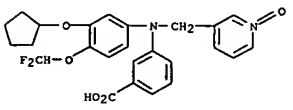
Absolute stereochemistry.



RN 699004-09-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

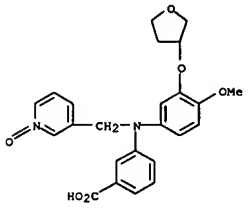


RN 699004-10-9 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



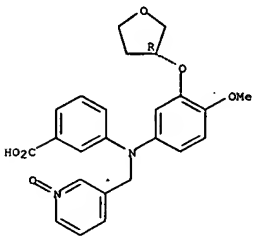
RN 699004-11-0 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



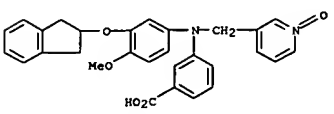


RN 699004-12-1 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

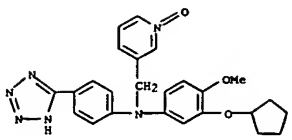
Absolute stereochemistry.



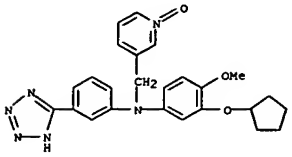
RN 699004-17-6 CAPLUS
CN Benzoic acid, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699004-20-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

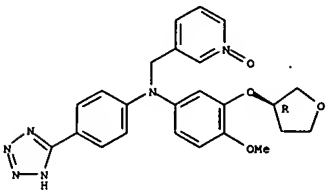


RN 699004-21-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[3-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)



RN 699004-22-3 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

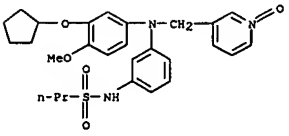
Absolute stereochemistry.



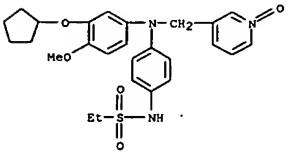
RN 699004-24-5 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

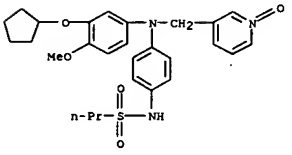




RN 699004-34-7 CAPLUS
CN Ethanesulfonamide, N-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



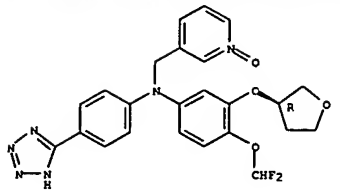
RN 699004-35-8 CAPLUS
CN 1-Propanesulfonamide, N-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



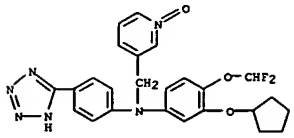
RN 699004-37-0 CAPLUS
CN Ethanesulfonamide, N-[3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

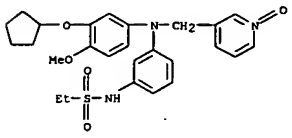




RN 699004-25-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

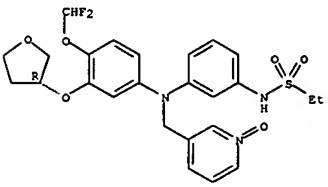


RN 699004-32-5 CAPLUS
CN Ethanesulfonamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



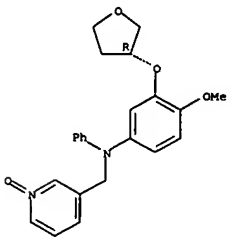
RN 699004-33-6 CAPLUS
CN 1-Propanesulfonamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]phenyl]- (9CI) (CA INDEX NAME)



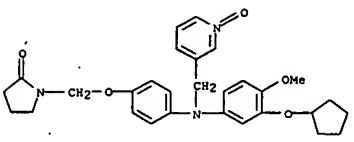


RN 699004-39-2 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)

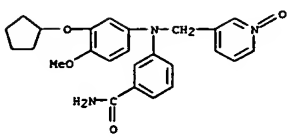
Absolute stereochemistry.



RN 699004-41-6 CAPLUS
CN 2-Pyrrolidinone, 1-[[4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]phenoxy]methyl]- (9CI) (CA INDEX NAME)

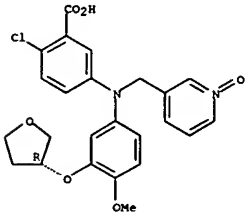


RN 699004-42-7 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699004-45-0 CAPLUS
CN Benzoic acid, 2-chloro-5-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

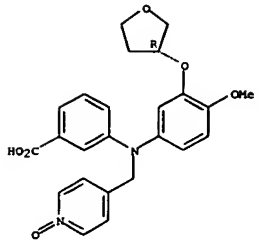
Absolute stereochemistry.



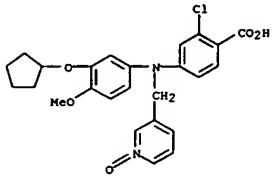
RN 699004-46-1 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





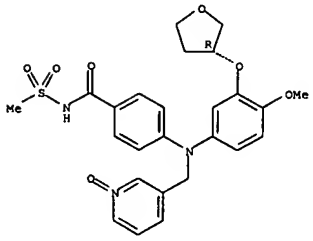
RN 699004-48-3 CAPLUS
CN Benzoic acid, 2-chloro-4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 699004-54-1 CAPLUS
CN Benzamide, 4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

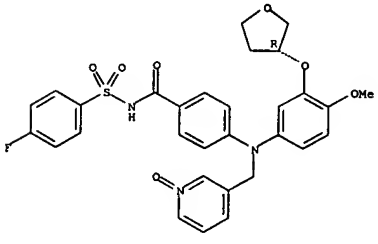
Absolute stereochemistry.





RN 699004-55-2 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

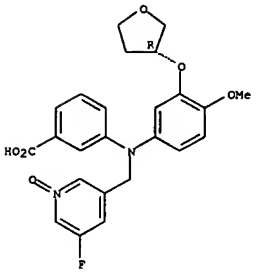
Absolute stereochemistry.



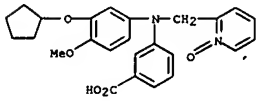
RN 699004-56-3 CAPLUS
CN Benzoic acid, 3-[[(5-fluoro-1-oxido-3-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



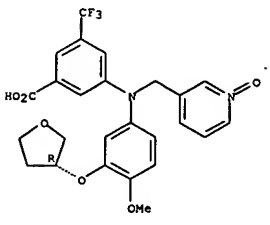


RN 699004-57-4 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-2-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



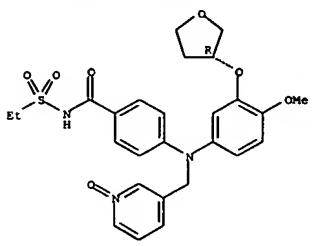
RN 699004-58-5 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



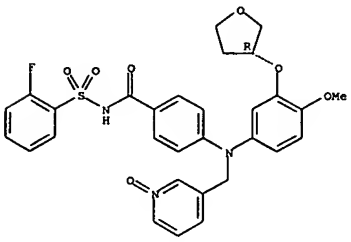
L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 699004-59-6 CAPLUS
CN Benzamide, N-(ethylsulfonyl)-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



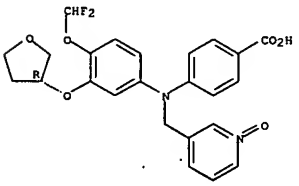
RN 699004-60-9 CAPLUS
CN Benzamide, N-[(2-fluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



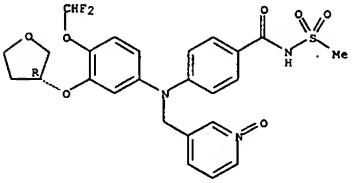
RN 699004-61-0 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 699004-64-3 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

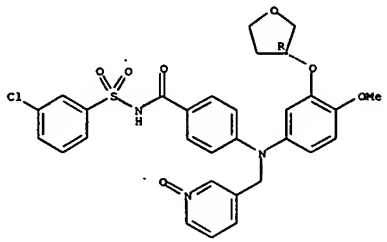


RN 699004-65-4 CAPLUS
CN Benzamide, 4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

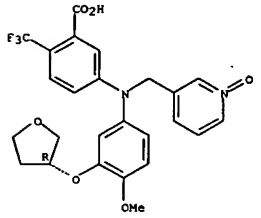
L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 699004-62-1 CAPLUS
CN Benzoic acid, 5-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

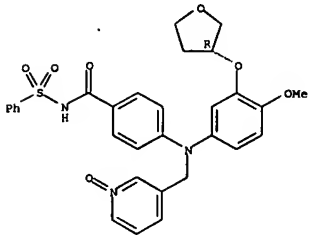
Absolute stereochemistry.



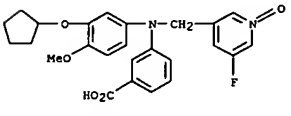
RN 699004-63-2 CAPLUS
CN Benzoic acid, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

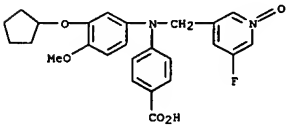
L21 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 699004-66-5 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(5-fluoro-1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

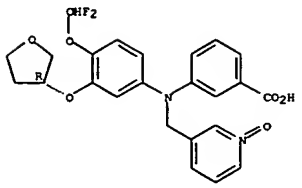


RN 699004-67-6 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(5-fluoro-1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

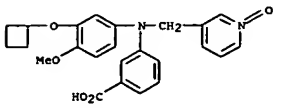


RN 699004-68-7 CAPLUS
CN Benzoic acid, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

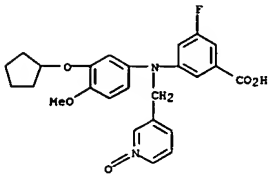
Absolute stereochemistry.



RN 699004-69-8 CAPLUS
CN Benzoic acid, 3-[[3-(cyclobutyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

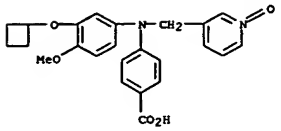


RN 699004-70-1 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]-5-fluoro- (9CI) (CA INDEX NAME)



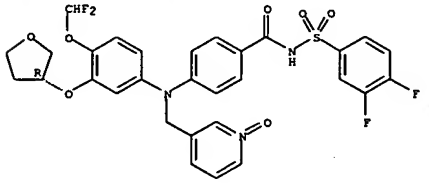
RN 699004-72-3 CAPLUS
CN Benzoic acid, 4-[[3-(cyclobutyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)





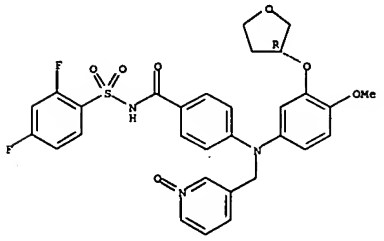
RN 699004-85-8 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-N-[(3,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 699004-91-6 CAPLUS
CN Benzamide, N-[(2,4-difluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI)
(CA INDEX NAME)

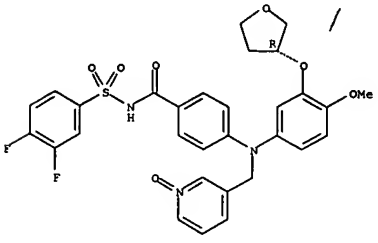
Absolute stereochemistry.





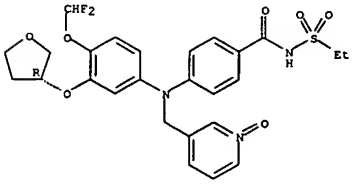
RN 699004-93-8 CAPLUS
CN Benzamide, N-[(3,4-difluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



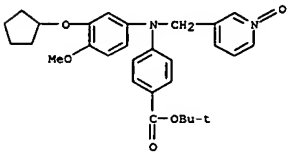
RN 699004-94-9 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl][(1-oxido-3-pyridinyl)methyl]amino]-N-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 699003-96-8, tert-Butyl 4-[N-(3-cyclopentyloxy-4-methoxyphenyl)-N-[(1-oxo-3-pyridyl)methyl]amino]benzoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-oxides of heteroarylmethyl Ph amines as phosphodiesterase 4 inhibitors)
RN 699003-96-8 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(1-oxido-3-pyridinyl)methyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





L21 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:80654 CAPLUS
DOCUMENT NUMBER: 140:128150
TITLE: Preparation of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses
INVENTOR(S): Schumacher, Richard A.; Hopper, Allen T.; Tehim, Ashok; Hess, Hans-Jurgen Ernst; Unterbeck, Axel; Kuester, Erik; Brubaker, William Frederick, Jr.; Dunn, Robert F.
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
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| CA 2492907 | AA | 20040129 | CA 2003-2492907 | 20030721 |
| US 2005119225 | A1 | 20050602 | US 2003-622833 | 20030721 |
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| EP 1539697 | A1 | 20050615 | EP 2003-765748 | 20030721 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

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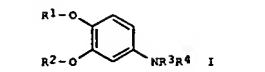

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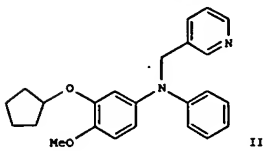

II

AB PDE4 inhibition (no data) is achieved by novel compds., e.g., ether-functionalized N-substituted aniline and diphenylamine analogs (shown as I; variables defined below; e.g. II). Although the methods of preparation are not claimed, >40 example prepns. are included. For example, II was prepared by arylation of N-[(3-pyridyl)methyl]-3-cyclopentyloxy-4-methoxyaniline by iodobenzene using NaOtBu, Pd2dba3, and PtBu3 in toluene. In a 'passive avoidance in rats' test, an in vivo test for learning and memory, the amnesic effect of MK-801 is reversed in a statistically significant manner by actual test compds. in a dose-dependent fashion [e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED range = 0.5 to 2.5 mg/kg, i.p.; and N-(3-cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid, ED range = 0.1 to 2.5 mg/kg, i.p.]. In a 'radial arm maze task in rats' test, an in vivo test for learning and memory, the amnesic effect of MK-801 on working memory is reversed in a statistically significant manner by the administration of actual test compds. in a dose-dependent fashion [e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED = 2.5 mg/kg, i.p.; p<0.01]. For I: R1 is H, alkyl having 1-4 C atoms (un)substituted by ≥1 halo; R2 is C1-12 alkyl, C3-10 cycloalkyl, C4-16 cycloalkylalkyl, C6-14 aryl, C6-14-aryl-C1-5-alkyl, a partially unsatd. carbocyclic group having 5-14 C atoms, a C5-10 heterocyclic group, or a heterocycle-alkyl group; R3 is H, C1-8 alkyl, a partially unsatd. carbocycle-alkyl group, C7-19-aryl-C1-5-alkyl, or heteroarylalkyl; R4 is H, C3-10 cycloalkyl, C6-14 aryl, or heteroaryl having 5-10 ring atoms; addnl. details are given in the claims.

IT 460080-73-3P, 3-[(3-Cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-27-4P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-bromoaniline 651022-32-1P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-methylthioaniline 651022-51-4P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-

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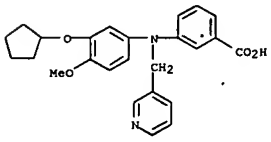
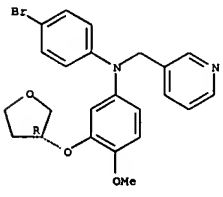
pyridyl)methyl]-4-[(2,5-dimethylpyrrol-1-yl)sulfonyl]aniline 651022-59-2P, Methyl 3-[[3-(3-hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoate 651022-64-9P, 4-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651023-16-4P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-nitrophenyl)-N-[(3-pyridyl)methyl]aniline 651023-96-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-(aminosulfonyl)aniline
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)

RN 460080-73-3 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 651022-27-4 CAPLUS
CN 3-Pyridinemethanamine, N-(4-bromophenyl)-N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

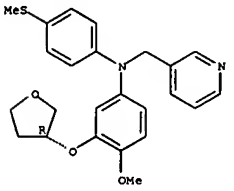
Absolute stereochemistry.



RN 651022-32-1 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

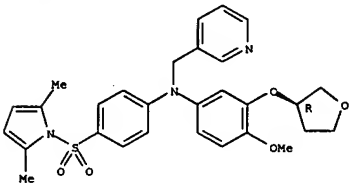
Absolute stereochemistry.

L21 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

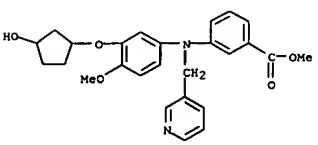


RN 651022-51-4 CAPLUS
CN 1H-Pyrrole, 1-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

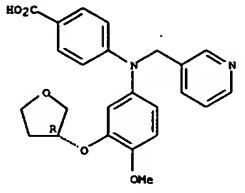


RN 651022-59-2 CAPLUS
CN Benzoic acid, 3-[[3-[(3-hydroxycyclopentyl)oxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

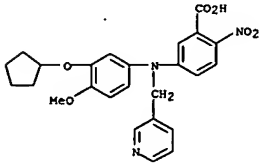


RN 651022-64-9 CAPLUS
CN Benzoic acid, 4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

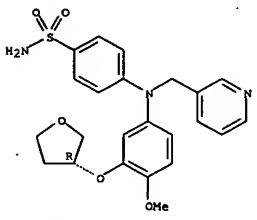


RN 651023-16-4 CAPLUS
CN Benzoic acid, 5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-nitro- (9CI) (CA INDEX NAME)



RN 651023-96-0 CAPLUS
CN Benzenesulfonamide, 4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 460080-72-2P, 3-Cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 460080-75-5P, 2-[(3-Cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 460080-81-3P, 3-Cyclopentyloxy-4-methoxy-N-methyldiphenylamine 460080-85-7P, 3-[(3-Cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]-N-(4-pyridyl)benzamide 460080-86-8P, 3-Cyclopentyloxy-4'-methanesulfonylamino-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 460080-88-0P, 3-Cyclopentyloxy-4-methoxy-3'-hydroxymethyl-N-[(3-pyridyl)methyl]diphenylamine 460080-89-1P, 3-Cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine 460080-91-5P, 3-Cyclopentyloxy-4-methoxy-4'-[(4-methyl-1-piperazinyl)methyl]-N-[(3-pyridyl)methyl]diphenylamine 460080-93-7P, 3'-Aminomethyl-3-cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 460080-96-0P, 3-Cyclopentyloxy-4-methoxy-3'-[2-(1-piperidinyl)ethoxy]-N-[(3-pyridyl)methyl]diphenylamine 460080-98-2P, 4'-(2-Aminoethoxy)-3-cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 651022-28-5P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(N-piperidinyl)methyl]aniline 651022-29-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(morpholino)methyl]aniline 651022-30-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(N,N-diethylamino)methyl]aniline 651022-31-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-methylthioaniline 651022-34-3P, 3-Cyclopentyloxy-4-methoxy-N-[3-[(1,1-dimethylethoxy)carbonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651022-37-6P, 3-Cyclopentyloxy-4-methoxy-N-[4-[[bis(2,4-dimethoxybenzyl)amino]sulfonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651022-38-7P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-39-8P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[(4-morpholinyl)sulfonyl]aniline 651022-40-1P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-41-2P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[(4-morpholinyl)sulfonyl]aniline 651022-42-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-43-4P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-44-5P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-morpholinyl)sulfonyl]aniline 651022-45-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-[(4-morpholinyl)sulfonyl]aniline 651022-46-7P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-ethylpiperazin-1-yl)sulfonyl]aniline 651022-47-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-cyclohexylpiperazin-1-yl)sulfonyl]aniline 651022-48-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(3,5-dimethylpiperazin-1-yl)sulfonyl]aniline 651022-49-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[4-(2-pyridyl)piperazin-1-yl]sulfonyl]aniline 651022-50-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[4-(4-fluorophenyl)piperazin-1-yl]sulfonyl]aniline 651022-52-5P, 3-[[3-(2-Hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-57-0P, Methyl 3-[[3-(2-Hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoate 651022-58-1P, 3-[[3-(3-Hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic



acid 651022-60-5P, 4-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-2-chlorobenzoic acid 651022-63-8P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-6-methylbenzoic acid 651022-65-0P, 4-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(5-fluoro-3-pyridyl)methyl]amino]benzoic acid 651022-66-1P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(1,3-dimethylpyrazol-5-yl)methyl]amino]benzoic acid 651022-67-2P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-5-trifluoromethylbenzoic acid 651022-68-3P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-6-trifluoromethylbenzoic acid 651022-69-4P, 4-[[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-70-7P, 3-[(3-Cyclopentoxy-4-methoxyphenyl)[(5-fluoro-3-pyridyl)methyl]amino]benzoic acid 651022-71-8P, 4-[(3-Cyclopentoxy-4-methoxyphenyl)[(5-fluoro-3-pyridyl)methyl]amino]benzoic acid 651022-72-9P, 3-[[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-73-0P, 3-[(3-Cyclobutyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-74-1P, 3-[(3-Cyclohexyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-75-2P, 3-[(3-Cycloheptyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-76-3P, 3-[[4-Methoxy-3-[(tetrahydropyran-4-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-77-4P, 3-[[3-[(Bicyclo[2.2.2]octanyl)oxy]-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-78-5P 651022-80-9P, 3-[[3-Cyclopentyloxy-4-methoxyphenyl][(3-pyridyl)methyl]amino]-5-fluorobenzoic acid 651022-81-0P, 3-[[3-Cyclopentyloxy-4-difluoromethoxyphenyl][(3-pyridyl)methyl]amino]-5-fluorobenzoic acid 651022-83-2P, 4-[[3-Cyclobutyloxy-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-84-3P, 4-[[3-Cyclohexyloxy-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-95-6P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(4-chloropyridin-3-yl)methyl]aniline 651022-97-8P, 3-Cyclopentyloxy-4-hydroxy-N-(3-carboxyphenyl)-N-[(3-pyridyl)methyl]aniline 651022-98-9P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(3-carboxy-4-chlorophenyl)-N-[(3-pyridyl)methyl]aniline 651022-99-0P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxyphenyl)-N-[(3-chloropyridin-4-yl)methyl]aniline 651023-00-6P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(3-carboxyphenyl)-N-[(4-pyridyl)methyl]aniline 651023-01-7P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(4-pyridyl)methyl]aniline 651023-02-8P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-chlorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-03-9P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-methylphenyl)-N-[(3-pyridyl)methyl]aniline 651023-04-0P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-fluorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-05-1P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-chlorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-06-2P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-fluorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-07-3P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxyphenyl)-N-[(3,5-dichloropyridin-4-yl)methyl]aniline 651023-08-4P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(3,5-dichloropyridin-4-yl)methyl]aniline 651023-09-5P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(3-chloropyridin-4-yl)methyl]aniline 651023-10-8P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(4-carboxyphenyl)-N-[(3,5-



4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 460080-81-3P, 3-Cyclopentyloxy-4-methoxy-N-methyldiphenylamine 460080-85-7P, 3-[(3-Cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]-N-(4-pyridyl)benzamide 460080-86-8P, 3-Cyclopentyloxy-4'-methanesulfonylamino-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 460080-88-0P, 3-Cyclopentyloxy-4-methoxy-3'-hydroxymethyl-N-[(3-pyridyl)methyl]diphenylamine 460080-89-1P, 3-Cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]-4'-(2H-tetrazol-5-yl)diphenylamine 460080-91-5P, 3-Cyclopentyloxy-4-methoxy-4'-[(4-methyl-1-piperazinyl)methyl]-N-[(3-pyridyl)methyl]diphenylamine 460080-93-7P, 3'-Aminomethyl-3-cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 460080-96-0P, 3-Cyclopentyloxy-4-methoxy-3'-[2-(1-piperidinyl)ethoxy]-N-[(3-pyridyl)methyl]diphenylamine 460080-98-2P, 4'-(2-Aminoethoxy)-3-cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine 651022-28-5P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(N-piperidinyl)methyl]aniline 651022-29-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(morpholino)methyl]aniline 651022-30-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(N,N-diethylamino)methyl]aniline 651022-31-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-methylthioaniline 651022-34-3P, 3-Cyclopentyloxy-4-methoxy-N-[3-[(1,1-dimethylethoxy)carbonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651022-37-6P, 3-Cyclopentyloxy-4-methoxy-N-[4-[[bis(2,4-dimethoxybenzyl)amino]sulfonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651022-38-7P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-39-8P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[(4-morpholinyl)sulfonyl]aniline 651022-40-1P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-41-2P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[(4-morpholinyl)sulfonyl]aniline 651022-42-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-43-4P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-methylpiperazin-1-yl)sulfonyl]aniline 651022-44-5P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-morpholinyl)sulfonyl]aniline 651022-45-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-[(4-morpholinyl)sulfonyl]aniline 651022-46-7P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-ethylpiperazin-1-yl)sulfonyl]aniline 651022-47-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-cyclohexylpiperazin-1-yl)sulfonyl]aniline 651022-48-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(3,5-dimethylpiperazin-1-yl)sulfonyl]aniline 651022-49-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[4-(2-pyridyl)piperazin-1-yl]sulfonyl]aniline 651022-50-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[4-(4-fluorophenyl)piperazin-1-yl]sulfonyl]aniline 651022-52-5P, 3-[[3-(2-Hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-57-0P, Methyl 3-[[3-(2-Hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoate 651022-58-1P, 3-[[3-(3-Hydroxycyclopentyloxy)-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic



acid 651022-60-5P, 4-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-2-chlorobenzoic acid 651022-63-8P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-6-methylbenzoic acid 651022-65-0P, 4-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(5-fluoro-3-pyridyl)methyl]amino]benzoic acid 651022-66-1P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(1,3-dimethylpyrazol-5-yl)methyl]amino]benzoic acid 651022-67-2P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-5-trifluoromethylbenzoic acid 651022-68-3P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]-6-trifluoromethylbenzoic acid 651022-69-4P, 4-[[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-70-7P, 3-[(3-Cyclopentoxy-4-methoxyphenyl)[(5-fluoro-3-pyridyl)methyl]amino]benzoic acid 651022-71-8P, 4-[(3-Cyclopentoxy-4-methoxyphenyl)[(5-fluoro-3-pyridyl)methyl]amino]benzoic acid 651022-72-9P, 3-[[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-73-0P, 3-[(3-Cyclobutyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-74-1P, 3-[(3-Cyclohexyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-75-2P, 3-[(3-Cycloheptyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651022-76-3P, 3-[[4-Methoxy-3-[(tetrahydropyran-4-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-77-4P, 3-[[3-[(Bicyclo[2.2.2]octanyl)oxy]-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-78-5P 651022-80-9P, 3-[[3-Cyclopentyloxy-4-methoxyphenyl][(3-pyridyl)methyl]amino]-5-fluorobenzoic acid 651022-81-0P, 3-[[3-Cyclopentyloxy-4-difluoromethoxyphenyl][(3-pyridyl)methyl]amino]-5-fluorobenzoic acid 651022-83-2P, 4-[[3-Cyclobutyloxy-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-84-3P, 4-[[3-Cyclohexyloxy-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoic acid 651022-95-6P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(4-chloropyridin-3-yl)methyl]aniline 651022-97-8P, 3-Cyclopentyloxy-4-hydroxy-N-(3-carboxyphenyl)-N-[(3-pyridyl)methyl]aniline 651022-98-9P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(3-carboxy-4-chlorophenyl)-N-[(3-pyridyl)methyl]aniline 651022-99-0P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxyphenyl)-N-[(3-chloropyridin-4-yl)methyl]aniline 651023-00-6P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(3-carboxyphenyl)-N-[(4-pyridyl)methyl]aniline 651023-01-7P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(4-pyridyl)methyl]aniline 651023-02-8P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-chlorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-03-9P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-methylphenyl)-N-[(3-pyridyl)methyl]aniline 651023-04-0P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxy-3-fluorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-05-1P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-chlorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-06-2P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-fluorophenyl)-N-[(3-pyridyl)methyl]aniline 651023-07-3P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxyphenyl)-N-[(3,5-dichloropyridin-4-yl)methyl]aniline 651023-08-4P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(3,5-dichloropyridin-4-yl)methyl]aniline 651023-09-5P, 3-Cyclopentyloxy-4-methoxy-N-(4-carboxyphenyl)-N-[(3-chloropyridin-4-yl)methyl]aniline 651023-10-8P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(4-carboxyphenyl)-N-[(3,5-



dichloropyridin-4-yl)methyl]aniline 651023-12-0P, 4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]-N-(3-carboxyphenyl)-N-[(3,5-dichloropyridin-4-yl)methyl]aniline 651023-14-2P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]aniline 651023-15-3P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-methylphenyl)-N-[(3-pyridyl)methyl]aniline 651023-17-5P, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(5-chloro-3-pyridyl)methyl]amino]benzoic acid 651023-19-7P, 4-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl](3-fluorobenzyl)amino]benzoic acid 651023-20-0P, 4-[(3-Cyclopentyloxy-4-difluoromethoxyphenyl)[(3-pyridyl)methyl]amino]benzoic acid 651023-31-3P, tert-Butyl N-(3-cyclopentyloxy-4-methoxyphenyl)-N-[2-(3-pyridyl)ethyl]-3-aminobenzoate 651023-33-5P, 3-[(3-Cyclopentyloxy-4-methoxyphenyl)(2-(pyridin-3-yl)ethyl)amino]benzoic acid 651023-34-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-chloro-4-(2H-tetrazol-5-yl)aniline 651023-35-7P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-chloro-4-(2H-tetrazol-5-yl)aniline 651023-36-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[4-(3,5-dichloropyridyl)methyl]-4-(2H-tetrazol-5-yl)aniline 651023-37-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[(4-piperidinyl)sulfonyl]aniline 651023-38-0P, 3-Cyclopentyloxy-4-hydroxy-N-[3-[(1,1-dimethylethoxy)carbonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651023-39-1P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-(4-morpholinyl)aniline 651023-40-4P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-(4-methyl-1-piperazinyl)aniline 651023-41-5P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-(1-piperazinyl)aniline 651023-42-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-(N,N-diethylamino)aniline 651023-43-7P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-(methanesulfonyl)aniline 651023-44-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-methylsulfonylaniline 651023-45-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(methylsulfonyl)amino]carbonyl]aniline 651023-46-0P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[[(methylsulfonyl)amino]carbonyl]aniline 651023-47-1P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]aniline 651023-48-2P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-3-[[[(phenylsulfonyl)amino]carbonyl]aniline 651023-49-3P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(phenylsulfonyl)amino]carbonyl]aniline 651023-50-6P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[(methylsulfonyl)amino]carbonyl]aniline 651023-51-7P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-52-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3,5-dichloropyridin-4-yl)methyl]-4-[[(phenylsulfonyl)amino]carbonyl]aniline 651023-53-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3,5-dichloropyridin-4-yl)methyl]-4-[[(methylsulfonyl)amino]carbonyl]aniline 651023-54-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-



yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(ethylsulfonyl)amino]carbonyl]aniline 651023-55-1P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(2-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-56-2P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(4-methoxyphenyl)sulfonyl]amino]carbonyl]aniline 651023-57-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3-chlorophenyl)sulfonyl]amino]carbonyl]aniline 651023-58-4P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(methylsulfonyl)amino]carbonyl]aniline 651023-59-5P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-

3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(phenylsulfonyl)amino]carbonyl]aniline 651023-60-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(phenylsulfonyl)amino]carbonyl]aniline 651023-61-9P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-[(5-

fluoro-3-pyridyl)methyl]-3-[[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-62-0P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-[[(methylsulfonyl)amino]carbonyl]aniline 651023-63-1P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-

3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-[[(phenylsulfonyl)amino]carbonyl]aniline 651023-64-2P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3-chlorophenyl)sulfonyl]amino]carbonyl]aniline 651023-65-3P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(2-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-66-4P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(2,4-difluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-67-5P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3,4-difluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-68-6P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(1,1-dimethylethyl)sulfonyl]amino]carbonyl]aniline 651023-69-7P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(5-chloro-2-thienyl)sulfonyl]amino]carbonyl]aniline 651023-70-0P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3-thienyl)sulfonyl]amino]carbonyl]aniline 651023-71-1P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[[[(3-cyanophenyl)sulfonyl]amino]carbonyl]aniline 651023-72-2P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-73-3P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(2-thienyl)sulfonyl]amino]carbonyl]aniline 651023-74-4P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-75-5P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3-cyanophenyl)sulfonyl]amino]carbonyl]aniline 651023-76-6P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-(2,6-difluorobenzyl)-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-77-7P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-



pyridyl)methyl]-4-[[[(3-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-78-8P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(2,4-difluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-79-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(3,4-difluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-81-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-

yl)oxy]phenyl]-N-(3-fluorobenzyl)-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-84-6P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(ethylsulfonyl)amino]carbonyl]aniline 651023-85-7P,

N-(3-Cyclopentyloxy-4-difluoromethoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3-cyanophenyl)sulfonyl]amino]carbonyl]aniline 651023-86-8P,

N-(3-Cyclopentyloxy-4-difluoromethoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(4-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-88-0P,

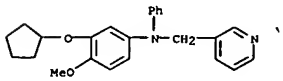
N-(3-Cyclopentyloxy-4-difluoromethoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3-fluorophenyl)sulfonyl]amino]carbonyl]aniline 651023-89-1P,

N-(3-Cyclopentyloxy-4-difluoromethoxyphenyl)-N-[(3-pyridyl)methyl]-4-[[[(3-chlorophenyl)sulfonyl]amino]carbonyl]aniline 651023-97-1P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(methylcarbonyl)amino]sulfonyl]aniline 651023-98-2P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-

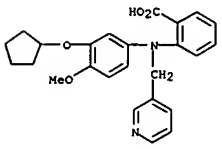
yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[(cyclopentyl)(methylcarbonyl)amino]sulfonyl]aniline 651023-99-3P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(4-fluorophenyl)carbonyl]amino]sulfonyl]aniline 651024-00-9P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-[[[(1-ethyl-5-methylpyrazol-4-yl)carbonyl]amino]sulfonyl]aniline 651024-01-0P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-hydroxymethylaniline 651024-02-1P, N-[4-Difluoromethoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-3-hydroxymethylaniline 651024-03-2P, N-[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl]-N-[(3-pyridyl)methyl]-4-hydroxymethylaniline 651024-04-3P, 3-Cyclopentyloxy-4-methoxy-N-(3-aminocarbonylphenyl)-N-[(3-pyridyl)methyl]aniline 651024-05-4P, 3-Cyclopentyloxy-4-methoxy-N-[3-[(methylamino)carbonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651024-06-5P, 3-Cyclopentyloxy-4-methoxy-N-[3-[[(2-hydroxyethyl)amino]carbonyl]phenyl]-N-[(3-pyridyl)methyl]aniline 651024-07-6P, 3-Cyclopentyloxy-4-methoxy-N-phenyl-N-[(2-ethoxypyridin-3-yl)methyl]aniline 651024-09-8P, 3-Cyclopentyloxy-4-methoxy-N-(4-amino-3-carboxyphenyl)-N-[(3-pyridyl)methyl]aniline 651024-10-1P, 3-Cyclopentyloxy-4-methoxy-N-(4-acetamido-3-carboxyphenyl)-N-[(3-pyridyl)methyl]aniline 651024-11-2P, 3-Cyclopentyloxy-4-methoxy-N-phenyl-N-[(3-chloropyridin-4-yl)methyl]aniline 651024-12-3P, 3-Cyclopentyloxy-4-methoxy-N-(3-carboxy-4-trifluoromethylphenyl)-N-[(3-pyridyl)methyl]aniline 651024-13-4P, Methyl 3-[(3-cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of selective phosphodiesterase 4 inhibitors,


including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)

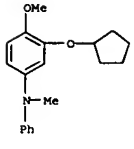
RN 460080-72-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)




RN 460080-75-5 CAPLUS
CN Benzoic acid, 2-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

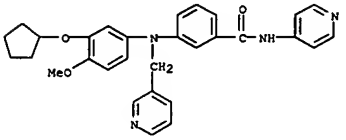



RN 460080-81-3 CAPLUS
CN Benzenamine, 3-(cyclopentyloxy)-4-methoxy-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)

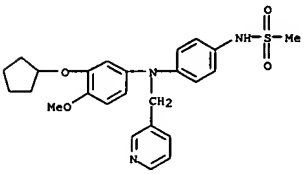



RN 460080-85-7 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-4-pyridinyl- (9CI) (CA INDEX NAME)

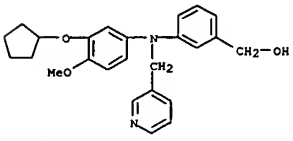




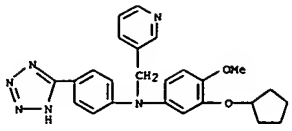

RN 460080-86-8 CAPLUS
CN Methanesulfonamide, N-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



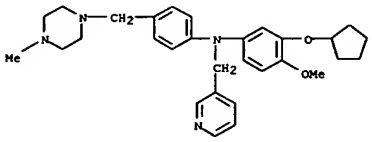

RN 460080-88-0 CAPLUS
CN Benzenemethanol, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



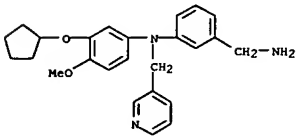

RN 460080-89-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 460080-91-5 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

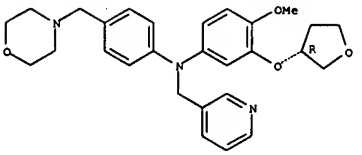


RN 460080-93-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(aminomethyl)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



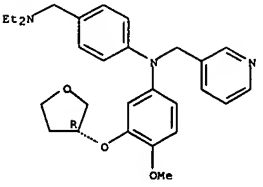
RN 460080-96-0 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)





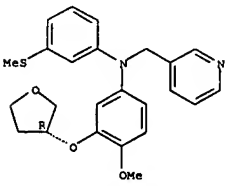
RN 651022-30-9 CAPLUS
CN 3-Pyridinemethanamine, N-[4-[(diethylamino)methyl]phenyl]-N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



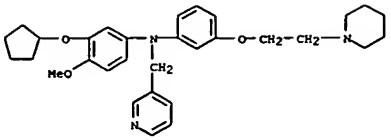
RN 651022-31-0 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

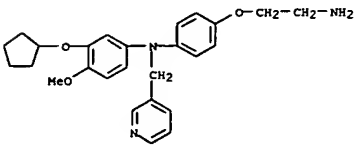


RN 651022-34-3 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



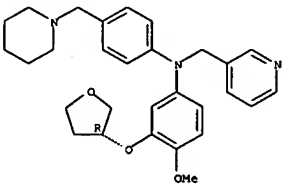


RN 460080-98-2 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(2-aminoethoxy)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 651022-28-5 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1-piperidinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

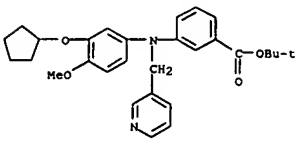
Absolute stereochemistry.



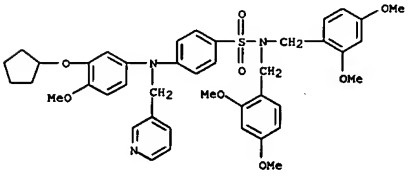
RN 651022-29-6 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(4-morpholinylmethyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

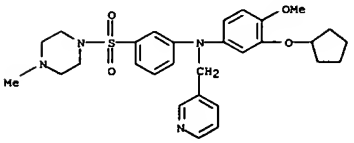




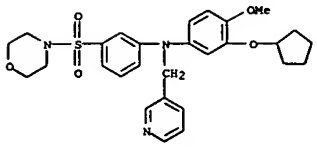
RN 651022-37-6 CAPLUS
CN Benzenesulfonamide, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N,N-bis[(2,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



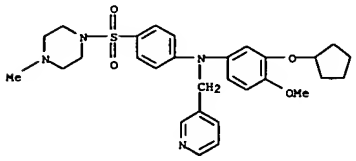
RN 651022-38-7 CAPLUS
CN Piperazine, 1-[[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



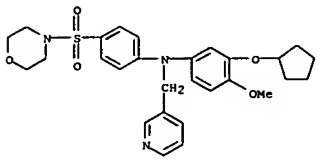
RN 651022-39-8 CAPLUS
CN Morpholine, 4-[[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 651022-40-1 CAPLUS
CN Piperazine, 1-[[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



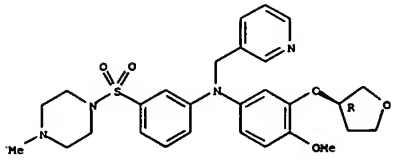
RN 651022-41-2 CAPLUS
CN Morpholine, 4-[[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 651022-42-3 CAPLUS
CN Piperazine, 1-[[3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

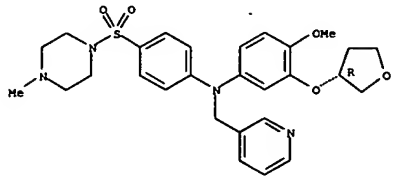
Absolute stereochemistry.





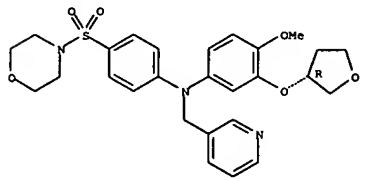
RN 651022-43-4 CAPLUS
CN Piperazine, 1-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651022-44-5 CAPLUS
CN Morpholine, 4-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

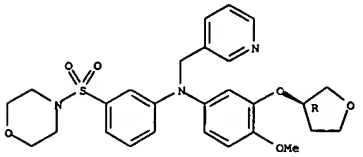
Absolute stereochemistry.



RN 651022-45-6 CAPLUS
CN Morpholine, 4-[[3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

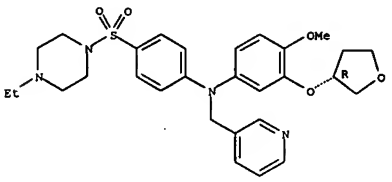


Absolute stereochemistry.



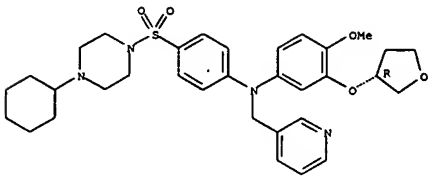
RN 651022-46-7 CAPLUS
CN Piperazine, 1-ethyl-4-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651022-47-8 CAPLUS
CN Piperazine, 1-cyclohexyl-4-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

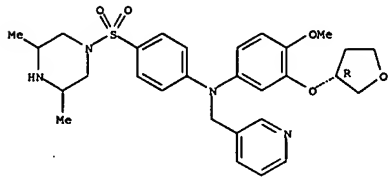


RN 651022-48-9 CAPLUS
CN Piperazine, 1-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-



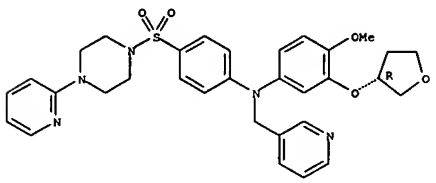
pyridinylmethyl)amino]phenyl]sulfonyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



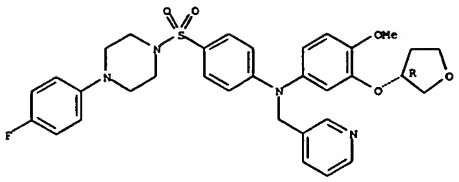
RN 651022-49-0 CAPLUS
CN Piperazine, 1-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

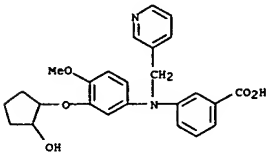


RN 651022-50-3 CAPLUS
CN Piperazine, 1-(4-fluorophenyl)-4-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

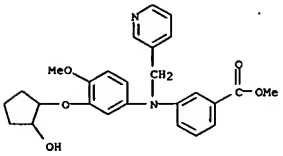
Absolute stereochemistry.



RN 651022-52-5 CAPLUS
CN Benzoic acid, 3-[[3-[(2-hydroxycyclopentyl)oxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

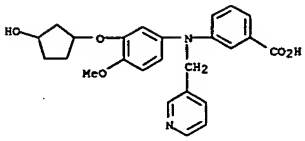


RN 651022-57-0 CAPLUS
CN Benzoic acid, 3-[[3-[(2-hydroxycyclopentyl)oxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



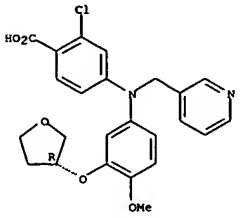
RN 651022-58-1 CAPLUS
CN Benzoic acid, 3-[[3-[(3-hydroxycyclopentyl)oxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





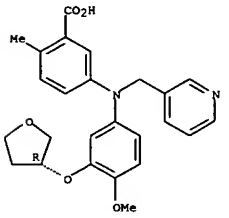
RN 651022-60-5 CAPLUS
CN Benzoic acid, 2-chloro-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651022-63-8 CAPLUS
CN Benzoic acid, 5-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)

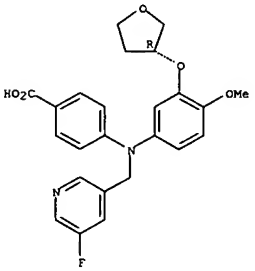
Absolute stereochemistry.



RN 651022-65-0 CAPLUS

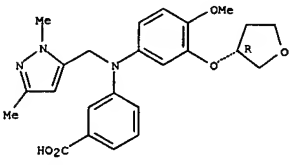

CN Benzoic acid, 4-[[(5-fluoro-3-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651022-66-1 CAPLUS
CN Benzoic acid,
3-[[(1,3-dimethyl-1H-pyrazol-5-yl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

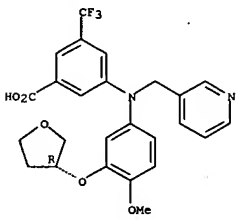
Absolute stereochemistry.



RN 651022-67-2 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

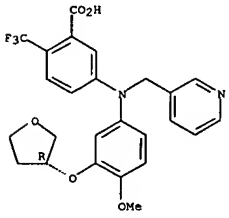
Absolute stereochemistry.





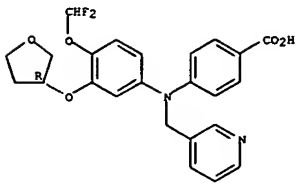
RN 651022-68-3 CAPLUS
CN Benzoic acid, 5-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

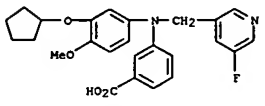


RN 651022-69-4 CAPLUS
CN Benzoic acid, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

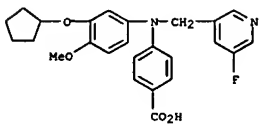
Absolute stereochemistry.



RN 651022-70-7 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(5-fluoro-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



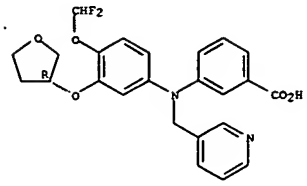
RN 651022-71-8 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(5-fluoro-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



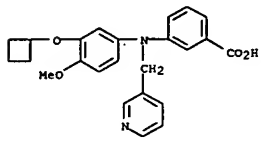
RN 651022-72-9 CAPLUS
CN Benzoic acid, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

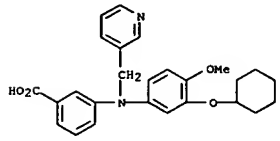




RN 651022-73-0 CAPLUS
CN Benzoic acid, 3-[[3-(cyclobutyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

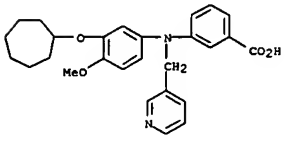


RN 651022-74-1 CAPLUS
CN Benzoic acid, 3-[[3-(cyclohexyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

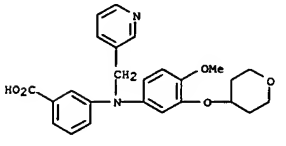


RN 651022-75-2 CAPLUS
CN Benzoic acid, 3-[[3-(cycloheptyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

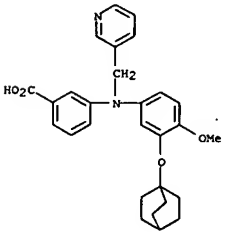




RN 651022-76-3 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[(tetrahydro-2H-pyran-4-yl)oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

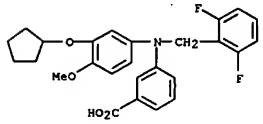


RN 651022-77-4 CAPLUS
CN Benzoic acid, 3-[[3-(bicyclo[2.2.2]oct-1-yloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

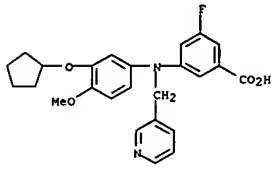


RN 651022-78-5 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(2,6-difluorophenyl)methyl]amino]- (9CI) (CA INDEX NAME)

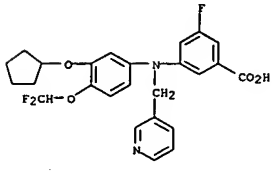




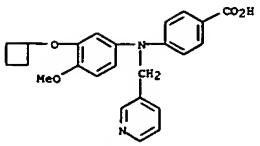
RN 651022-80-9 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-5-fluoro- (9CI) (CA INDEX NAME)



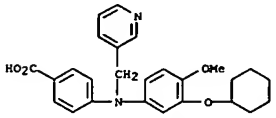
RN 651022-81-0 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-5-fluoro- (9CI) (CA INDEX NAME)



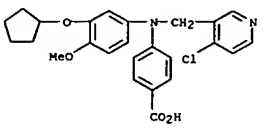
RN 651022-83-2 CAPLUS
CN Benzoic acid, 4-[[3-(cyclobutyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



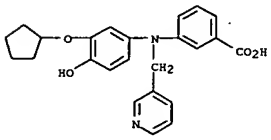
RN 651022-84-3 CAPLUS
CN Benzoic acid, 4-[[3-(cyclohexyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 651022-95-6 CAPLUS
CN Benzoic acid, 4-[[(4-chloro-3-pyridinyl)methyl][3-(cyclopentyloxy)-4-methoxyphenyl]amino]- (9CI) (CA INDEX NAME)



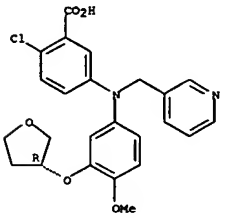
RN 651022-97-8 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-hydroxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



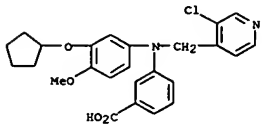


RN 651022-98-9 CAPLUS
CN Benzoic acid, 2-chloro-5-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

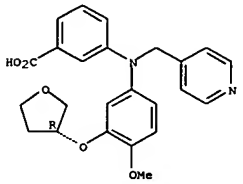


RN 651022-99-0 CAPLUS
CN Benzoic acid, 3-[[(3-chloro-4-pyridinyl)methyl](3-(cyclopentyloxy)-4-methoxyphenyl]amino]- (9CI) (CA INDEX NAME)



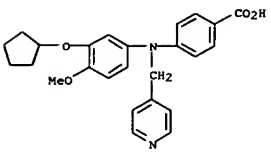
RN 651023-00-6 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

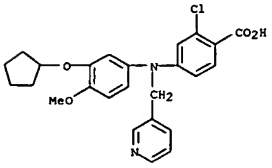




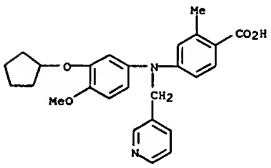
RN 651023-01-7 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 651023-02-8 CAPLUS
CN Benzoic acid, 2-chloro-4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

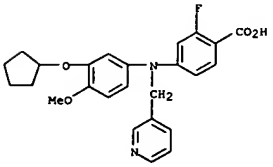


RN 651023-03-9 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)

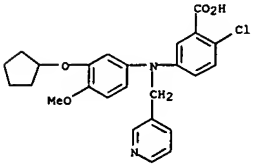


RN 651023-04-0 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-fluoro- (9CI) (CA INDEX NAME)

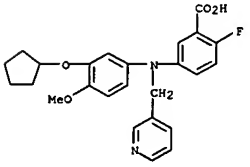




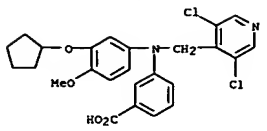
RN 651023-05-1 CAPLUS
CN Benzoic acid, 2-chloro-5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



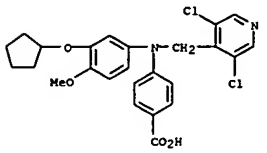
RN 651023-06-2 CAPLUS
CN Benzoic acid, 5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-fluoro- (9CI) (CA INDEX NAME)



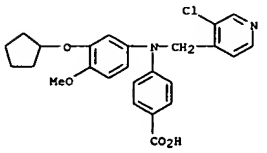
RN 651023-07-3 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(3,5-dichloro-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 651023-08-4 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl][(3,5-dichloro-4-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)



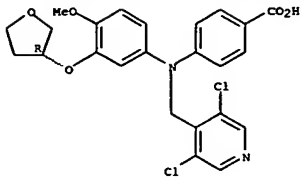
RN 651023-09-5 CAPLUS
CN Benzoic acid, 4-[[(3-chloro-4-pyridinyl)methyl][3-(cyclopentyloxy)-4-methoxyphenyl]amino]- (9CI) (CA INDEX NAME)



RN 651023-10-8 CAPLUS
CN Benzoic acid, 4-[[(3,5-dichloro-4-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

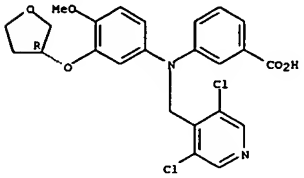
Absolute stereochemistry.



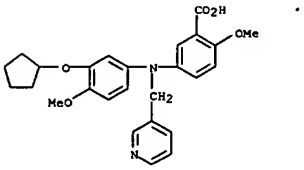


RN 651023-12-0 CAPLUS
CN Benzoic acid, 3-[[(3,5-dichloro-4-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

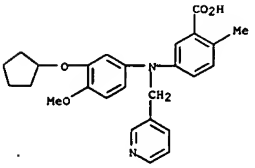


RN 651023-14-2 CAPLUS
CN Benzoic acid, 5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-methoxy- (9CI) (CA INDEX NAME)



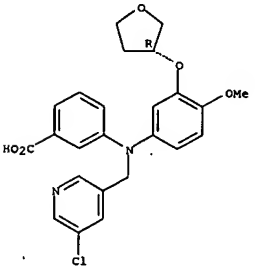
RN 651023-15-3 CAPLUS
CN Benzoic acid, 5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)





RN 651023-17-5 CAPLUS
CN Benzoic acid, 3-[[(5-chloro-3-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

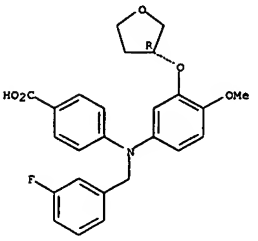
Absolute stereochemistry.



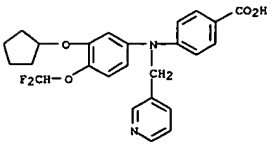
RN 651023-19-7 CAPLUS
CN Benzoic acid, 4-[[(3-fluorophenyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

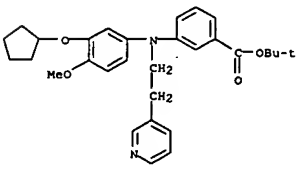




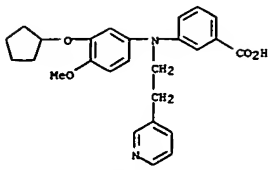
RN 651023-20-0 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 651023-31-3 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][2-(3-pyridinyl)ethyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

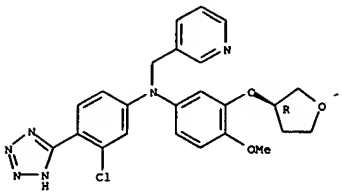


RN 651023-33-5 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][2-(3-pyridinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

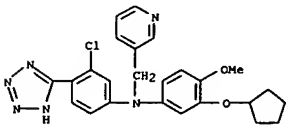


RN 651023-34-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-chloro-4-(1H-tetrazol-5-yl)phenyl]-N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



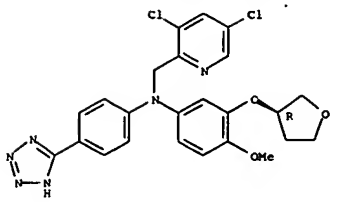
RN 651023-35-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-chloro-4-(1H-tetrazol-5-yl)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 651023-36-8 CAPLUS
CN 2-Pyridinemethanamine, 3,5-dichloro-N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

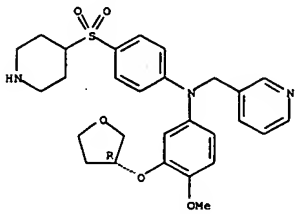
Absolute stereochemistry.



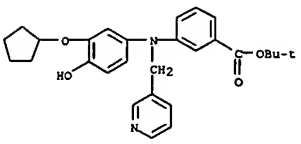


RN 651023-37-9 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(4-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



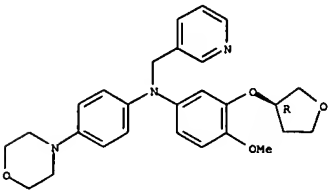
RN 651023-38-0 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-hydroxyphenyl](3-pyridinylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 651023-39-1 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-

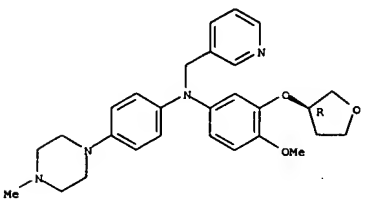

furanyl]oxy]phenyl]-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651023-40-4 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(4-methyl-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

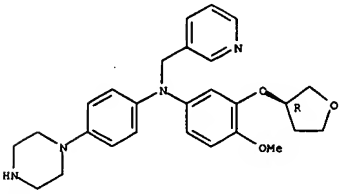
Absolute stereochemistry.



RN 651023-41-5 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

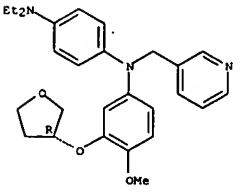
Absolute stereochemistry.





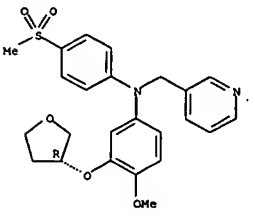
RN 651023-42-6 CAPLUS
CN 1,4-Benzenediamine, N,N-diethyl-N'-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N'-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



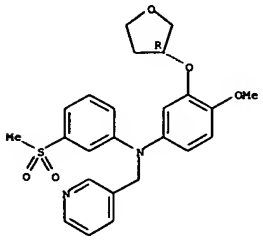
RN 651023-43-7 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



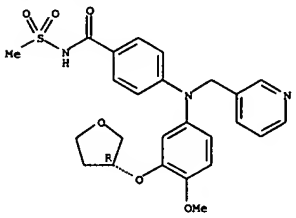
L21 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 651023-44-8 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[3-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



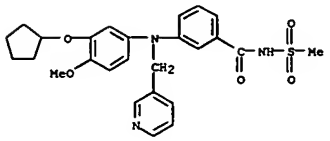
RN 651023-45-9 CAPLUS
CN Benzamide, 4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

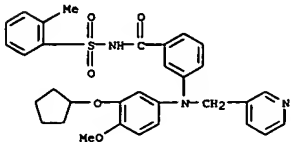


RN 651023-46-0 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

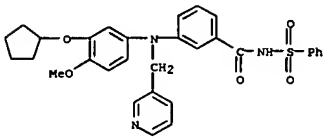
L21 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 651023-47-1 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

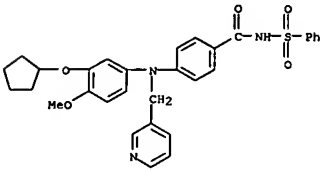


RN 651023-48-2 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

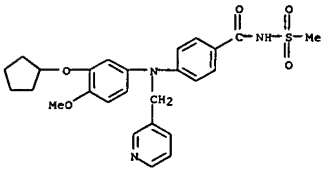


RN 651023-49-3 CAPLUS
CN Benzamide, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L21 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

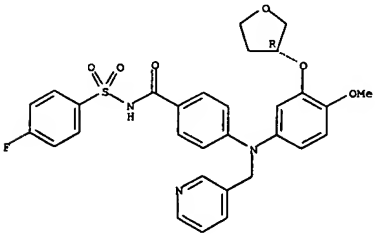


RN 651023-50-6 CAPLUS
CN Benzamide, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



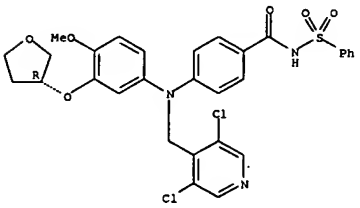
RN 651023-51-7 CAPLUS
CN Benzamide, N-[(4-fluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



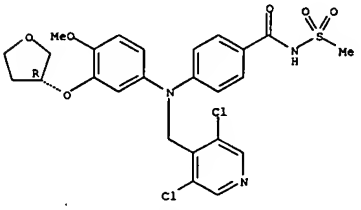
L21 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 651023-52-8 CAPLUS
CN Benzamide, 4-[[(3,5-dichloro-4-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



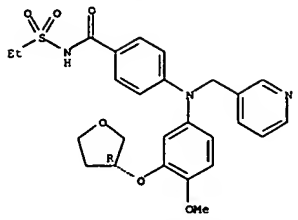
RN 651023-53-9 CAPLUS
CN Benzamide, 4-[[(3,5-dichloro-4-pyridinyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



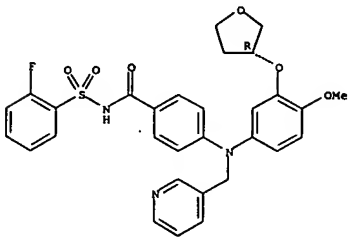
RN 651023-54-0 CAPLUS
CN Benzamide, N-(ethylsulfonyl)-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651023-55-1 CAPLUS
CN Benzamide,
N-[(2-fluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

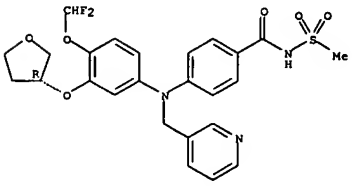
Absolute stereochemistry.



RN 651023-56-2 CAPLUS
CN Benzamide,
N-[(4-methoxyphenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

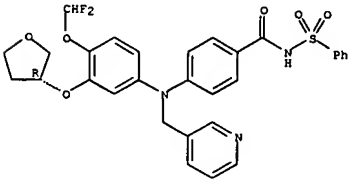
Absolute stereochemistry.





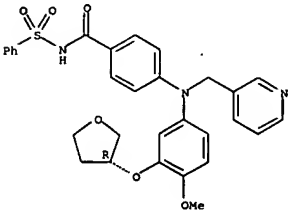
RN 651023-59-5 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

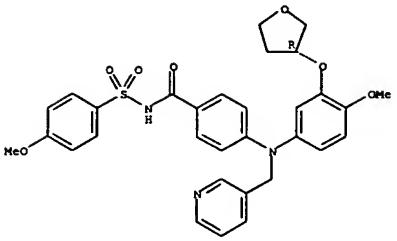


RN 651023-60-8 CAPLUS
CN Benzamide, 4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

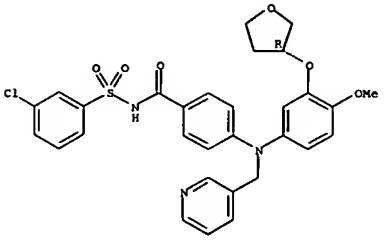






RN 651023-57-3 CAPLUS
CN Benzamide,
N-[(3-chlorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

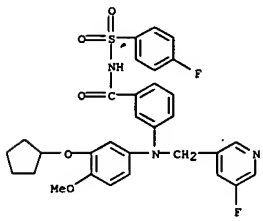


RN 651023-58-4 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

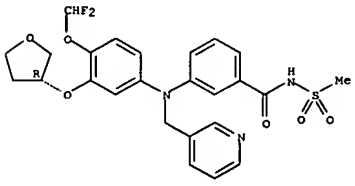


RN 651023-61-9 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl][(5-fluoro-3-pyridinyl)methyl]amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



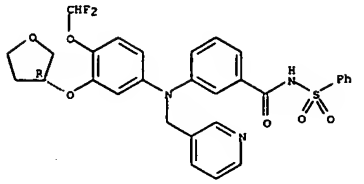
RN 651023-62-0 CAPLUS
CN Benzamide, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



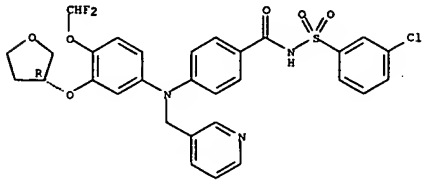
RN 651023-63-1 CAPLUS
CN Benzamide, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



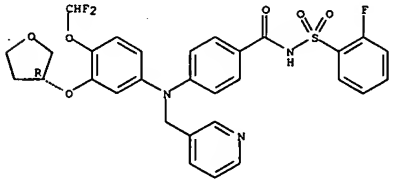
RN 651023-64-2 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)sulfonyl]-4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

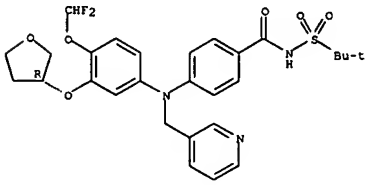


RN 651023-65-3 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-[(2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

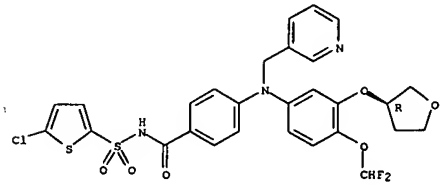






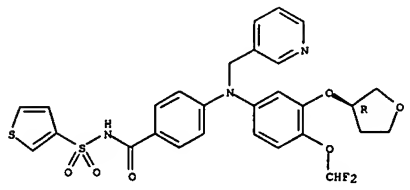
RN 651023-69-7 CAPLUS
CN Benzamide, N-[(5-chloro-2-thienyl)sulfonyl]-4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651023-70-0 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(3-thienylsulfonyl)- (9CI) (CA INDEX NAME)

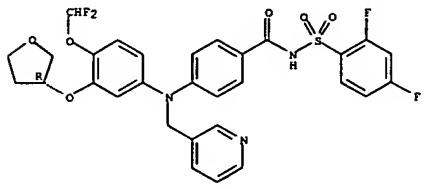
Absolute stereochemistry.





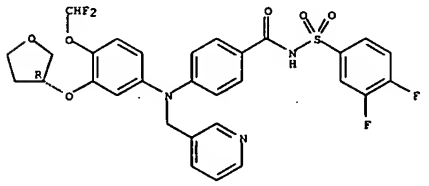
RN 651023-66-4 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-[(2,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651023-67-5 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-[(3,4-difluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



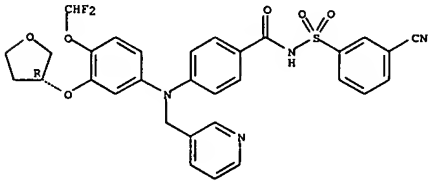
RN 651023-68-6 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-[(1,1-dimethylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



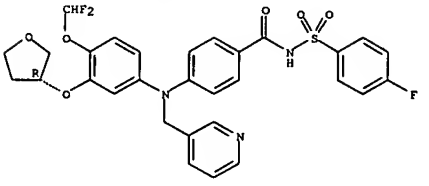
RN 651023-71-1 CAPLUS
CN Benzamide, N-[(3-cyanophenyl)sulfonyl]-4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



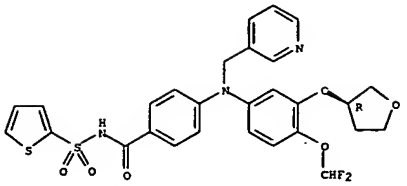
RN 651023-72-2 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



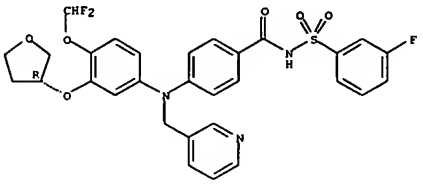
RN 651023-73-3 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651023-74-4 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-[(3-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

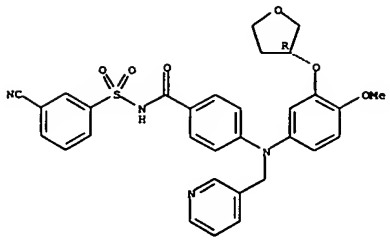
Absolute stereochemistry.



RN 651023-75-5 CAPLUS
CN Benzamide,
N-[(3-cyanophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

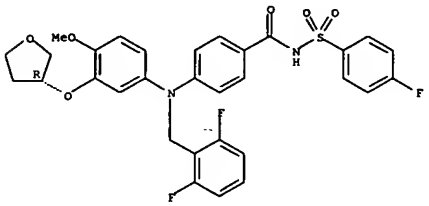
Absolute stereochemistry.





RN 651023-76-6 CAPLUS
CN Benzamide,
4-[[(2,6-difluorophenyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

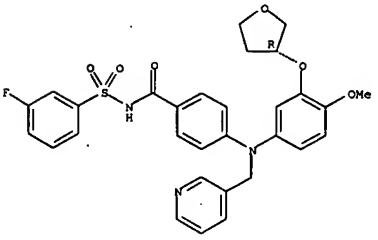
Absolute stereochemistry.



RN 651023-77-7 CAPLUS
CN Benzamide,
N-[(3-fluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

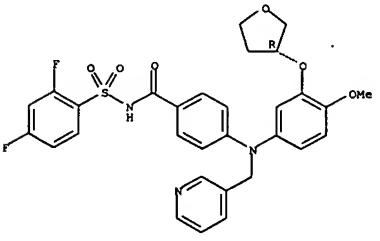
Absolute stereochemistry.





RN 651023-78-8 CAPLUS
CN Benzamide, N-[(2,4-difluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

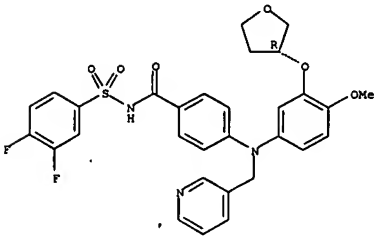
Absolute stereochemistry.



RN 651023-79-9 CAPLUS
CN Benzamide, N-[(3,4-difluorophenyl)sulfonyl]-4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

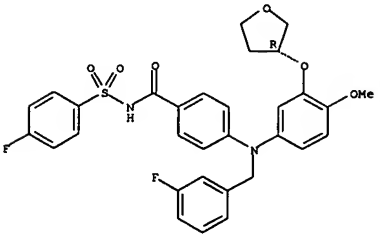
Absolute stereochemistry.





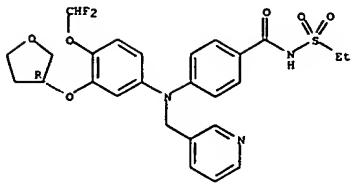
RN 651023-81-3 CAPLUS
CN Benzamide, 4-[[(3-fluorophenyl)methyl][4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

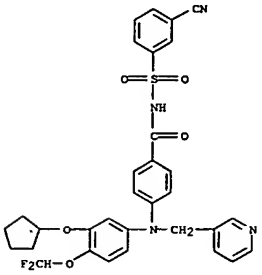


RN 651023-84-6 CAPLUS
CN Benzamide, 4-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]-N-(ethylsulfonyl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

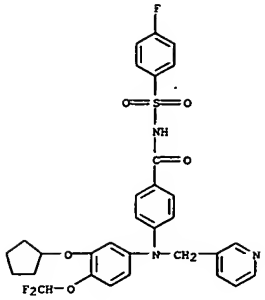


RN 651023-85-7 CAPLUS
CN Benzamide, N-[(3-cyanophenyl)sulfonyl]-4-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

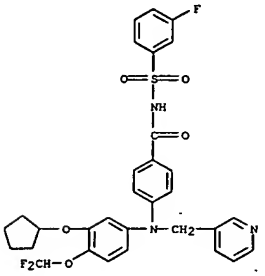


RN 651023-86-8 CAPLUS
CN Benzamide, 4-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-N-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



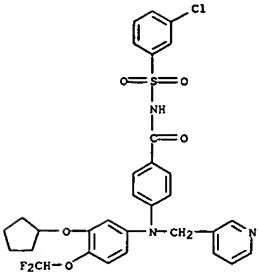


RN 651023-88-0 CAPLUS
CN Benzamide, 4-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]-N-[(3-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



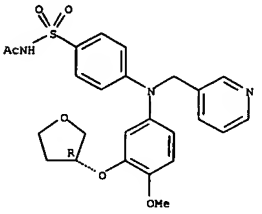
RN 651023-89-1 CAPLUS
CN Benzamide, N-[(3-chlorophenyl)sulfonyl]-4-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





RN 651023-97-1 CAPLUS
CN Acetamide, N-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

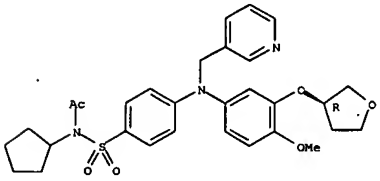
Absolute stereochemistry.



RN 651023-98-2 CAPLUS
CN Acetamide, N-cyclopentyl-N-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

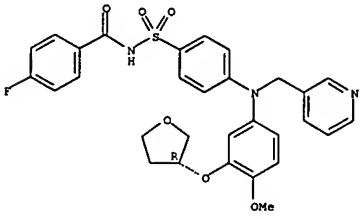
Absolute stereochemistry.





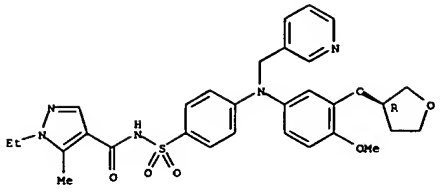
RN 651023-99-3 CAPLUS
CN Benzamide, 4-fluoro-N-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



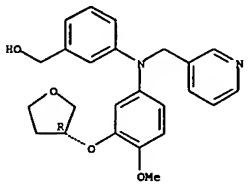
RN 651024-00-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-[[4-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl]amino]phenyl]sulfonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



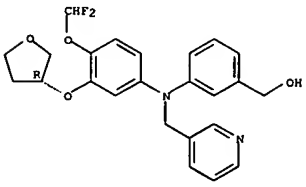
RN 651024-01-0 CAPLUS
CN Benzenemethanol, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 651024-02-1 CAPLUS
CN Benzenemethanol, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

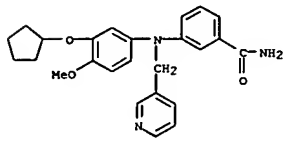
Absolute stereochemistry.



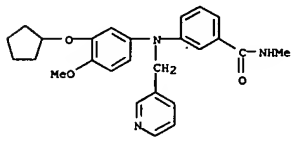
RN 651024-03-2 CAPLUS



RN 651024-04-3 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

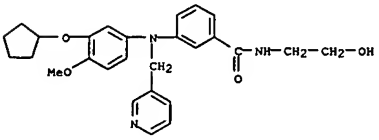


RN 651024-05-4 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-methyl- (9CI) (CA INDEX NAME)

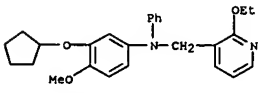


RN 651024-06-5 CAPLUS
CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)

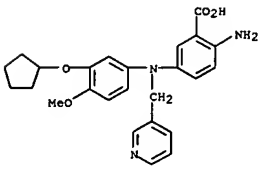




RN 651024-07-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-ethoxy-N-phenyl- (9CI) (CA INDEX NAME)

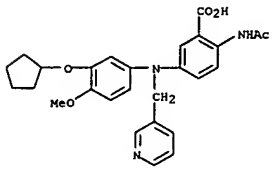


RN 651024-09-8 CAPLUS
CN Benzoic acid, 2-amino-5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

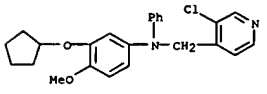


RN 651024-10-1 CAPLUS
CN Benzoic acid, 2-(acetylamino)-5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

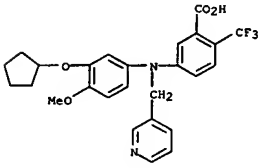




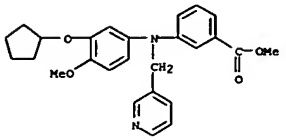
RN 651024-11-2 CAPLUS
CN 4-Pyridinemethanamine, 3-chloro-N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 651024-12-3 CAPLUS
CN Benzoic acid, 5-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

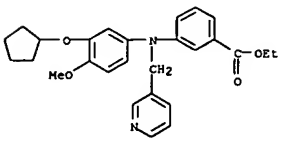


RN 651024-13-4 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)



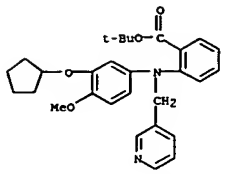
IT **460080-74-4**, Ethyl 3-[(3-cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoate **460080-76-6**, tert-Butyl 2-[(3-cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzoate **460080-87-9**, 4'-Amino-3-cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine **460080-90-4**, 3-[(3-Cyclopentyloxy-4-methoxyphenyl)[(3-pyridyl)methyl]amino]benzonitrile **460080-97-1**, 3'-(2-Bromoethoxy)-3-cyclopentyloxy-4-methoxy-N-[(3-pyridyl)methyl]diphenylamine **460080-99-3**, N-[(3-Pyridyl)methyl]-3'-[2-(2-phthalimido)ethoxy]-3-cyclopentyloxy-4-methoxydiphenylamine **460082-00-2**, 3-[[4-Methoxy-3-[((R)-tetrahydrofuran-3-yl)oxy]phenyl][(3-pyridyl)methyl]amino]benzoic acid **651024-08-7**, 3-Cyclopentyloxy-4-methoxy-N-phenyl-N-[(2-chloropyridin-3-yl)methyl]aniline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)

RN **460080-74-4** CAPLUS
CN Benzoic acid, 3-[(3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

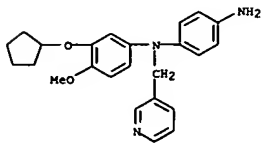


RN **460080-76-6** CAPLUS
CN Benzoic acid, 2-[(3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

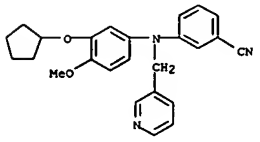




RN **460080-87-9** CAPLUS
CN 1,4-Benzenediamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

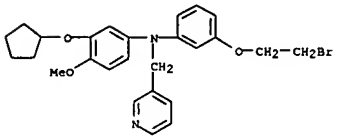


RN **460080-90-4** CAPLUS
CN Benzonitrile, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

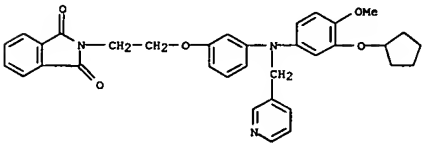


RN **460080-97-1** CAPLUS
CN 3-Pyridinemethanamine, N-[3-(2-bromoethoxy)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



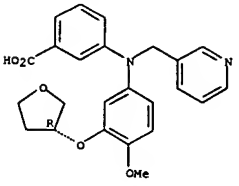


RN **460080-99-3** CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[3-[(3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



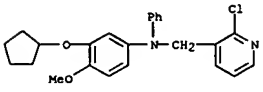
RN **460082-00-2** CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



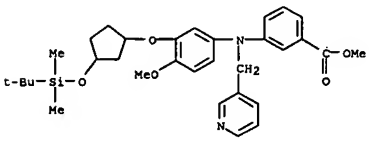
RN **651024-08-7** CAPLUS
CN 3-Pyridinemethanamine, 2-chloro-N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)





IT **651023-29-9P**, Methyl 3-([3-[3-[(tert-butyldimethylsilyl)oxy]cyclopentyloxy]-4-methoxyphenyl][(3-pyridyl)methyl]amino]benzoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of selective phosphodiesterase 4 inhibitors, including ether-functionalized N-substituted aniline and diphenylamine analogs, for cognition enhancement and other uses)

RN **651023-29-9** CAPLUS
CN Benzoic acid, 3-[[3-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]cyclopentyl]oxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

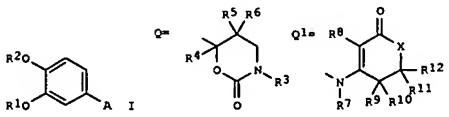


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:50056 CAPLUS
DOCUMENT NUMBER: 140:82236
TITLE: Tachykinin Nk1 receptor antagonist containing 6-phenyl-3,4,5,6-tetrahydro-2H-1,3-dioxane-2-one and 3-anilino-2-cyclopenten-1-one derivative
INVENTOR(S): Yamana, Kenshirou; Ina, Shinji
PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2003160480 | A2 | 20030603 | JP 2002-266999 | 20020912 |
| PRIORITY APPLN. INFO.: | | | JP 2001-279632 A | 20010914 |

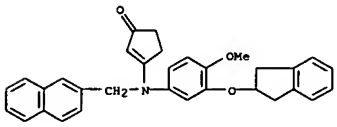
OTHER SOURCE(S): MARPAT 140:82236
GI



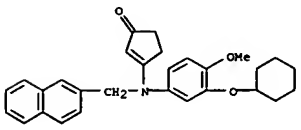
AB Disclosed is a Nk1 receptor antagonist containing a 1,2-dihydroxybenzene derivative [I; R1 = C4-8 cycloalkylmethyl, C3-7 cycloalkyl, indanyl; R2 = C1-4 alkyl; A = Q, Q1; wherein R3, R5, R6 = H, Me; R4 = H, C1-4 alkyl; R7 = C7-12 aralkyl, pyridylmethyl; R8-R12 = H, Me; X = (CR13R14)n; wherein R13, R14 = H, Me; n = an integer of 0-2; when n is 0, the carbonyl carbon adjacent to X is directly bonded to the other carbon atom to form a 5-membered ring] or optical isomer thereof, a pharmaceutically acceptable salt, hydrate, or solvate thereof. Six specific compds., i.e.

3-[3-cyclopentyloxy-4-methoxy-N-(2-naphthylmethyl)anilino]-2-cyclopenten-1-one, 3-[3-cyclohexyloxy-4-methoxy-N-(2-naphthylmethyl)anilino]-2-cyclopenten-1-one, 3-[3-(2-indanyloxy)-4-methoxy-N-(2-naphthylmethyl)anilino]-2-cyclopenten-1-one, and (±)-, (+)-, and (-)-6-[3-(2-indanyloxy)-4-methoxyphenyl]-6-methyl-3,4,5,6-tetrahydro-2H-1,3-oxazin-2-one (II), are disclosed. The Nk1 receptor antagonist is useful for the prevention and/or treatment of inflammations, asthma, atopic dermatitis, contact dermatitis, urticaria, chronic obstructive lung disease, pain, or vomiting. Also disclosed is a Nk1 receptor antagonist containing a Nk1 receptor antagonist and phosphodiesterase IV (PDE IV) inhibitor which inhibit vomiting by PDE IV inhibition. Thus, racemic (±)-II was separated by a CHIRALPAK AS column using denatured ethanol as the eluent to give (+)- and (-)-II. (+)- And (-)-II in vitro inhibited the binding of [3H]SR140333 to human Nk1 receptor by 33 and 100%, resp.,

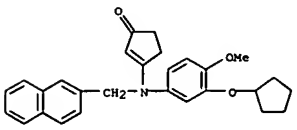
L21 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L21 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
at 10 μM. Tablets each contg. 10 mg (-)-II were formulated from II 30, lactose 253, corn starch 63, hydroxypropyl cellulose 40, and calcium stearate 4 g.
IT 229310-51-4, 3-[3-Cyclohexyloxy-4-methoxy-N-(2-naphthylmethyl)anilino]-2-cyclopenten-1-one 229310-56-9, 3-[3-Cyclopentyloxy-4-methoxy-N-(2-naphthylmethyl)anilino]-2-cyclopenten-1-one 229310-73-0, 3-[3-(2-Indanyloxy)-4-methoxy-N-(2-naphthylmethyl)anilino]-2-cyclopenten-1-one
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Nk1 receptor antagonist containing 6-phenyl-3,4,5,6-tetrahydro-2H-1,3-dioxane-2-one and 3-anilino-2-cyclopenten-1-one derivative)
RN 229310-51-4 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclohexyloxy)-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-56-9 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)

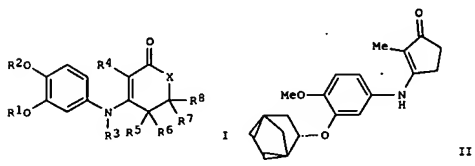


RN 229310-73-0 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)

L21 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:57887 CAPLUS
DOCUMENT NUMBER: 138:122459
TITLE: Preparation of 3-anilino-2-cycloalkenones for treatment of allergic eye diseases
INVENTOR(S): Ina, Shinji; Takahama, Akane
PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003006000 | A1 | 20030123 | WO 2002-JP6912 | 20020708 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2003089637 | A2 | 20030328 | JP 2002-198758 | 20020708 |
| EP 1410795 | A1 | 20040421 | EP 2002-743854 | 20020708 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1525854 | A | 20040901 | CN 2002-813746 | 20020708 |
| US 2004220227 | A1 | 20041104 | US 2004-482976 | 20040105 |
| PRIORITY APPLN. INFO.: | | | JP 2001-210239 A | 20010711 |
| | | | WO 2002-JP6912 W | 20020708 |

OTHER SOURCE(S): MARPAT 138:122459
GI

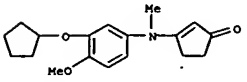


AB The title compds. I [wherein R1 = (un)substituted (cyclo)alkyl, bicycloalkyl, 3-tetrahydrofuryl, or indanyl; R2 = alkyl; R3 = H, (un)substituted (cyclo)alkyl, or acyl; R4 = H, halo, (un)substituted alkyl, or aminomethyl; R5, R6, R7, and R8 = independently H,

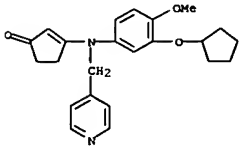
(un)substituted alkyl, or Ph; X = (CR11R12)n; R11 and R12 = independently H, (un)substituted alkyl, or Ph; n = 0-2; with provisos] and stereoisomers, optical isomers, pharmaceutically acceptable salts, hydrates, or solvates thereof are prepd. for the treatment of allergic eye diseases. For example, (+)-II was isolated from its racemate by HPLC. (+)-II showed inhibition ratio of 97% against allergic conjunctivitis in rat. Formulations contg. I as an active ingredient are also described.

IT 205067-27-2P 205067-29-4P 229310-50-3P
229310-51-4P 229310-56-9P 229310-57-0P
229310-60-5P 229310-72-9P 229310-73-0P
229310-75-2P 229310-78-5P 229310-79-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of anilinocycloalkenones for treatment of allergic eye diseases)

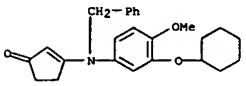
RN 205067-27-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]methylamino]- (9CI) (CA INDEX NAME)



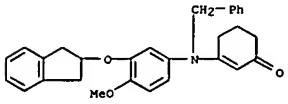
RN 205067-29-4 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



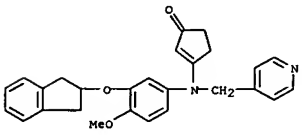
RN 229310-50-3 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclohexyloxy)-4-methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



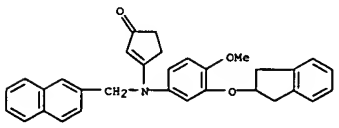




RN 229310-72-9 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

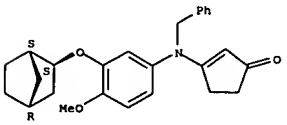


RN 229310-73-0 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-75-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](phenylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

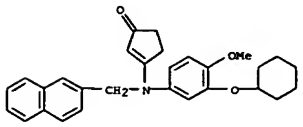
Relative stereochemistry.



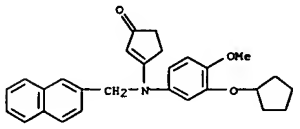
RN 229310-78-5 CAPLUS



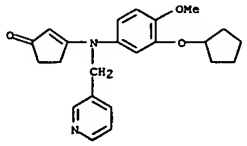
RN 229310-51-4 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclohexyloxy)-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-56-9 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-57-0 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

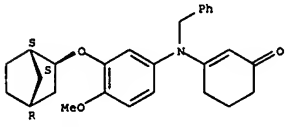


RN 229310-60-5 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



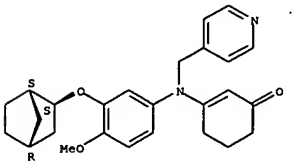
CN 2-Cyclohexen-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](phenylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229310-79-6 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](4-pyridinylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:736215 CAPLUS
DOCUMENT NUMBER: 137:247488
TITLE: Preparation of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition
INVENTOR(S): Hopper, Allen; Schumacher, Richard A.; Tehim, Ashok; De Vivo, Michael; Brubaker, William Frederick, Jr.; Liu, Ruiping; Hess, Hans-Juergen Ernst; Unterbeck, Axel
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002074726 | A2 | 20020926 | WO 2002-US1508 | 20020122 |
| WO 2002074726 | A3 | 20030313 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2435847 | AA | 20020926 | CA 2002-2435847 | 20020122 |
| US 2002151566 | A1 | 20021017 | US 2002-51309 | 20020122 |
| US 6699890 | B2 | 20040302 | | |
| EP 1353907 | A2 | 20031022 | EP 2002-731078 | 20020122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300347 | A | 20031215 | EE 2003-347 | 20020122 |
| CN 1498211 | A | 20040519 | CN 2002-807010 | 20020122 |
| JP 2005507365 | T2 | 20050317 | JP 2002-573735 | 20020122 |
| US 2003149052 | A1 | 20030807 | US 2003-361634 | 20030211 |
| BG 108003 | A | 20040930 | BG 2003-108003 | 20030718 |
| NO 2003003288 | A | 20030922 | NO 2003-3288 | 20030721 |
| ZA 2003005623 | A | 20041117 | ZA 2003-5623 | 20030721 |
| US 2004230072 | A1 | 20041118 | US 2004-754600 | 20040112 |

PRIORITY APPLN. INFO.:
US 2001-262651P P 20010122
US 2001-267196P P 20010208
US 2001-306140P P 20010719
US 2000-257196P P 20001222
US 2002-51309 A3 20020122
US 2002-51390 A3 20020122
WO 2002-US1508 W 20020122

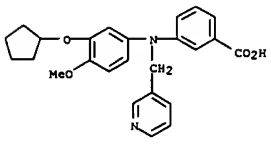
OTHER SOURCE(S): MARPAT 137:247488

L21 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

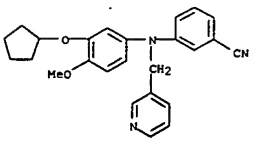
AB Phosphodiesterase 4 (PDE4) inhibition is achieved by novel compds., 4-R1O-3-R2OC6H3NR3R4 (1, e.g., N-substituted aniline and diphenylamine analogs; e.g. 3-cyclopentyloxy-4'-ethyl-4-methoxy-N-(3-pyridylmethyl)diphenylamine). In 1, R1 is C1-4 alkyl unsubstituted or substituted one or more times by halogen. R2 is C1-12 alkyl, wherein optionally one or more -CH2CH2- groups is replaced in each case by -CH:CH- or -C.tplbond.C-, C3-10 cycloalkyl, C4-16 cycloalkylalkyl, C6-14 aryl , arylalkyl with C6-14 aryl and C1-5 alkyl, a partially unsatd. C5-14 carbocyclic group, a C5-10 heterocyclic group, which is saturated, partially saturated or unsatd., in which at least 1 ring atom is a N, O or S atom, or a heterocycloalkyl group with a C5-10 heterocyclic portion that is saturated, partially saturated or unsatd., in which at least 1 ring atom is a N, O or S atom, and a C1-5 alkyl portion. R3 is H, C1-8 (preferably C1-4) alkyl, a partially unsatd. carbocycle-alkyl group with a C5-14 carbocyclic portion and a C1-5 alkyl portion, C7-19 arylalkyl with C6-14 aryl and C1-5 alkyl, or heteroarylalkyl with C5-10 heteroaryl having at least 1 ring atom N, O or S atom and with C1-5 alkyl. R4 is H, C6-14 aryl or heteroaryl having 5 to 10 ring atoms in which at least 1 ring atom is a heteroatom. Addnl. restrictions on the values of R1-R4 are given in the claims. The amnesic effect of MK-801 on working memory in rats (radial arm maze task) is reversed in a statistically significant manner by the administration of actual test compds. in a dose-dependent fashion (e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED = 2.5 mg/kg, i.p.; p<0.01]. The amnesic effect of MK-801 on rats in a passive avoidance experiment is reversed in a statistically significant manner by actual test compds. in a dose-dependent fashion (e.g., 3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine, ED range = 0.5 to 2.5 mg/kg, i.p.; and N-(3-cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid, ED range = 0.1 to 2.5 mg/kg, i.p.]. Although the methods of preparation are not claimed, .apprx.20 example prepns. are included and hundreds of compds. are listed in the claims.

IT 460080-73-3P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid 460080-90-4P 460080-97-1P, 3'-(2-Bromoethoxy)-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition)

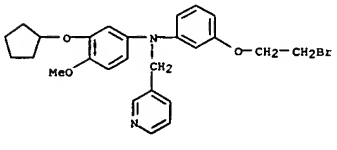
RN 460080-73-3 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



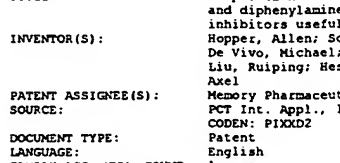
RN 460080-90-4 CAPLUS
CN Benzonitrile, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 460080-97-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(2-bromoethoxy)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



IT 460080-72-2P, 3-Cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460080-75-5P, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-2-aminobenzoic acid 460080-81-3P, 3-Cyclopentyloxy-4-methoxy-N-methyldiphenylamine 460080-85-7P 460080-86-8P, 3-Cyclopentyloxy-4'-methanesulfonylamino-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460080-88-0P, 3-Cyclopentyloxy-4-methoxy-3'-hydroxymethyl-N-(3-pyridylmethyl)diphenylamine 460080-89-1P, 3-Cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)-4'-(2H-tetrazol-5-yl)diphenylamine 460080-91-5P, 3-Cyclopentyloxy-4-methoxy-4'-(4-methyl-1-piperazinylmethyl)-N-(3-pyridylmethyl)diphenylamine 460080-93-7P, 3'-Aminomethyl-3-cyclopentyloxy-4-methoxy-N-(3-



L21 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

pyridylmethyl)diphenylamine 460080-96-0P, 3-Cyclopentyloxy-4-methoxy-3'-[2-(1-piperidinyl)ethoxy]-N-(3-pyridylmethyl)diphenylamine 460080-98-2P, 4'-(2-Aminoethoxy)-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-00-9P, 3-Cyclopentyloxy-4'-ethyl-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-01-0P, 3-Cyclopentyloxy-3',4-dimethoxy-N-(3-pyridylmethyl)diphenylamine 460081-02-1P, 3-Cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)-3'-trifluoromethyldiphenylamine 460081-03-2P, 3-Cyclopentyloxy-3'-fluoro-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-04-3P, 3-Cyclopentyloxy-4'-fluoro-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-05-4P, 3-Cyclopentyloxy-4-methoxy-3'-phenyl-N-(3-pyridylmethyl)diphenylamine 460081-06-5P, 4'-Cyano-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-07-6P, 3-Cyclopentyloxy-4-methoxy-3'-nitro-N-(3-pyridylmethyl)diphenylamine 460081-08-7P, 4'-Chloro-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)-3'-trifluoromethyldiphenylamine 460081-09-8P, 4-Methoxy-3'-methyl-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine 460081-10-1P, 3-Cyclopentyloxy-4-difluoromethoxy-N-(3-pyridylmethyl)diphenylamine 460081-13-4P, 3'-Benzylsulfonylamino-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-17-8P, 3-Cyclopentyloxy-4'-(2-methoxyethoxy)-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-19-0P, 3-Cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)-4'-((3R)-tetrahydrofuranyloxy)diphenylamine 460081-21-4P, 3-Cyclopentyloxy-4-methoxy-4'-(1-methylpiperidin-4-yloxy)-N-(3-pyridylmethyl)diphenylamine 460081-23-6P, 3-Cyclopentyloxy-4-methoxy-4'-(1-methylpyrrolidin-3-yloxy)-N-(3-pyridylmethyl)diphenylamine 460081-25-8P, 3-Cyclopentyloxy-4-methoxy-4'-[2-(1-pyrrolidinyl)ethoxy]-N-(3-pyridylmethyl)diphenylamine 460081-27-0P, 3-Cyclopentyloxy-4-methoxy-4'-[(6-methyl-2-pyridyl)methoxy]-N-(3-pyridylmethyl)diphenylamine 460081-29-2P, 3-Cyclopentyloxy-4-methoxy-4'-[(1-methyl-2-piperidinyl)methoxy]-N-(3-pyridylmethyl)diphenylamine 460081-30-5P, 3-Cyclopentyloxy-3'-[2-(1-imidazolyl)ethoxy]-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-32-7P, 3-Cyclopentyloxy-4-methoxy-4'-[3-(2-methylpiperazin-4-yl)propoxy]-N-(3-pyridylmethyl)diphenylamine 460081-34-9P, 3-Cyclopentyloxy-4-methoxy-4'-[3-((2-(morpholin-4-yl)ethyl)amino)propoxy]-N-(3-pyridylmethyl)diphenylamine 460081-39-4P, 3-Cyclopentyloxy-4'-(2-(methanesulfonylamino)ethoxy)-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-40-7P, 4'-[2-(Butanesulfonylamino)ethoxy]-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-41-8P, 3-Cyclopentyloxy-4-methoxy-3'-methyl-N-(3-pyridylmethyl)diphenylamine 460081-43-0P, 3-Cyclopentyloxy-4-methoxy-4'-methyl-N-(3-pyridylmethyl)diphenylamine 460081-45-2P, 3-Cyclopentyloxy-4-methoxy-4'-nitro-N-(3-pyridylmethyl)diphenylamine 460081-47-4P, 3-Cyclopentyloxy-3',4'-dichloro-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-48-5P, 3'-Chloro-3-cyclopentyloxy-4'-fluoro-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-49-6P, 3-Cyclopentyloxy-N-(2,6-dichloro-4-pyridylmethyl)-4-methoxydiphenylamine 460081-50-9P, 4-Methoxy-4'-methyl-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine 460081-51-0P, 4,4'-Dimethoxy-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine 460081-52-1P, 3-Indanyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460081-58-7P, 3-Cyclopentyloxy-4-methoxy-3'-(4-methylpiperazin-1-ylcarbonyl)-N-(3-pyridylmethyl)diphenylamine 460081-59-8P, 3-Cyclopentyloxy-4-difluoromethoxy-4'-(4-methylpiperazin-1-ylcarbonyl)-N-(3-pyridylmethyl)diphenylamine 460081-60-1P, 4-Methoxy-4'-(4-

methylpiperazin-1-ylcarbonyl)-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine **460081-61-2P**, 3'-(1-Butanesulfonylamino)-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-62-3P**, 3'-Acetamido-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-63-4P**, 4-Methoxy-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine **460081-68-9P**, 4-Methoxy-N-(3-pyridylmethyl)-3-((3S)-tetrahydrofuryloxy)diphenylamine **460081-71-4P**, 3-Cyclopentyloxy-3'-hydroxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-72-5P**, 3-Cyclopentyloxy-4'-hydroxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-73-6P** **460081-74-7P**, 3-Cyclopentyloxy-4-methoxy-4'-[2-(1-methylpyrrolidin-2-yl)ethoxy]-N-(3-pyridylmethyl)diphenylamine **460081-75-8P**, 3-Cyclopentyloxy-4-methoxy-4'-[(1-methyl-3-piperidinyl)methoxy]-N-(3-pyridylmethyl)diphenylamine **460081-76-9P**, 3-Cyclopentyloxy-4-methoxy-4'-[3-(1-methylpiperazin-4-yl)propoxy]-N-(3-pyridylmethyl)diphenylamine **460081-78-1P**, 3-Cyclopentyloxy-4-methoxy-4'-[2-(1-propanesulfonylamino)ethoxy]-N-(3-pyridylmethyl)diphenylamine **460081-79-2P**, 4'-Chloro-3-cyclopentyloxy-3'-fluoro-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-81-6P**, 3-Cyclopentyloxy-4-methoxy-4'-[2-(tetrahydropyran-2-yl)-2H-tetrazol-5-yl]-N-(3-pyridylmethyl)diphenylamine **460081-82-7P**, 3-Cyclopentyloxy-4-methoxy-4'-hydroxymethyl-N-(3-pyridylmethyl)diphenylamine **460081-86-1P**, 3-Cyclopentyloxy-4'-(2-ethanesulfonylamino)ethoxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-87-2P**, 3'-Chloro-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-88-3P**, 3'-Chloro-4-methoxy-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine **460081-89-4P**, 3'-Cyano-4-methoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460081-90-7P**,

4-Difluoromethoxy-N-(3-pyridylmethyl)-3-(3-tetrahydrofuryloxy)diphenylamine **460081-92-9P**, 4-Difluoromethoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460081-93-0P**, 3'-Cyano-4-difluoromethoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460081-94-1P**, 3'-Chloro-4-difluoromethoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460081-95-2P**, 4'-tert-Butyldimethylsilyloxy-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460081-96-3P**, N-(3-Cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-4-aminobenzoic acid **460081-97-4P**, N-(3-Cyclopentyloxy-4-difluoromethoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoic acid **460081-98-5P**, N-[4-Methoxy-3-(3-tetrahydrofuryloxy)phenyl]-N-(3-pyridylmethyl)-3-aminobenzoic acid **460082-00-2P**, N-[4-Methoxy-3-((3R)-tetrahydrofuryloxy)phenyl]-N-(3-pyridylmethyl)-3-aminobenzoic acid **460082-06-8P**, N-[3-(2-Indanyloxy)-4-methoxyphenyl]-N-(3-pyridylmethyl)-3-aminobenzoic acid **460082-08-0P**, 3-Cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)-3'-(2H-tetrazol-5-yl)diphenylamine **460082-09-1P**, 4-Methoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)-4'-(2H-tetrazol-5-yl)diphenylamine **460082-11-5P**, 4-Difluoromethoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)-4'-(2H-tetrazol-5-yl)diphenylamine **460082-12-6P**, 3-Cyclopentyloxy-4-difluoromethoxy-N-(3-pyridylmethyl)-4'-(2H-tetrazol-5-yl)diphenylamine **460082-18-2P**, 3-Cyclopentyloxy-3'-ethanesulfonylamino-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460082-19-3P**, 3-Cyclopentyloxy-4-methoxy-3'-(1-propanesulfonylamino)-N-(3-pyridylmethyl)diphenylamine **460082-20-6P**, 3-Cyclopentyloxy-4'-ethanesulfonylamino-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460082-21-7P**,



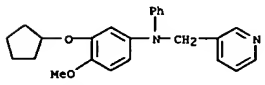
3-Cyclopentyloxy-4-methoxy-4'-(1-propanesulfonylamino)-N-(3-pyridylmethyl)diphenylamine **460082-23-9P**, 4-Difluoromethoxy-3'-ethanesulfonylamino-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460082-25-1P**, 4-Methoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460082-27-3P**, 3'-Chloro-4-methoxy-N-(3-pyridylmethyl)-3-((3R)-tetrahydrofuryloxy)diphenylamine **460082-28-4P**, 3-Cyclopentyloxy-4-methoxy-4'-[(5-oxo-2-pyrrolidinyl)methoxy]-N-(3-pyridylmethyl)diphenylamine **460082-34-2P**, 4'-[1-(3-Bromopropyl)oxy]-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine **460082-35-3P**, 4-Hydroxy-3-cyclopentyloxy-N-(3-pyridylmethyl)diphenylamine **460083-16-3P**, 3-Cyclopentyloxy-4-methoxy-4'-[2-(2-propanesulfonylamino)ethoxy]-N-(3-pyridylmethyl)diphenylamine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition)

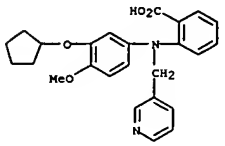
RN 460080-72-2 CAPLUS

CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)




RN 460080-75-5 CAPLUS

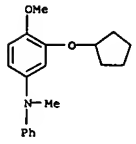
CN Benzoic acid, 2-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)




RN 460080-81-3 CAPLUS

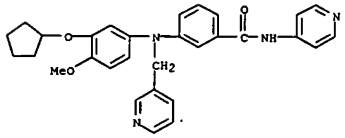
CN Benzenamine, 3-(cyclopentyloxy)-4-methoxy-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)





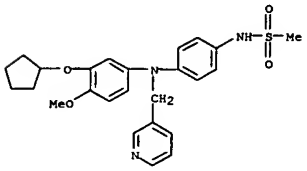

RN 460080-85-7 CAPLUS

CN Benzamide, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-N-4-pyridinyl- (9CI) (CA INDEX NAME)




RN 460080-86-8 CAPLUS

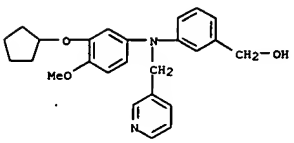
CN Methanesulfonamide, N-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)




RN 460080-88-0 CAPLUS

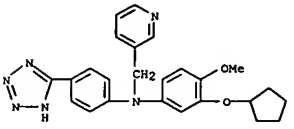
CN Benzenemethanol, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





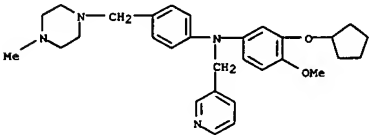

RN 460080-89-1 CAPLUS

CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



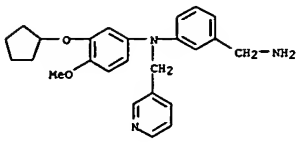

RN 460080-91-5 CAPLUS

CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

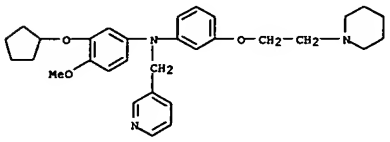



RN 460080-93-7 CAPLUS

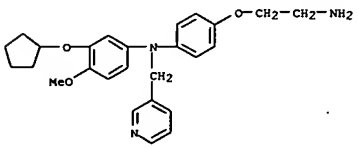
CN 3-Pyridinemethanamine, N-[3-(aminomethyl)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 460080-96-0 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[3-[2-(1-piperidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

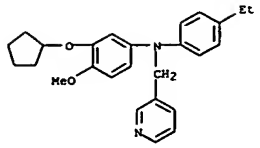


RN 460080-98-2 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(2-aminoethoxy)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

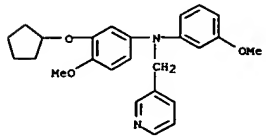


RN 460081-00-9 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(4-ethylphenyl)- (9CI) (CA INDEX NAME)

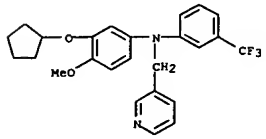




RN 460081-01-0 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

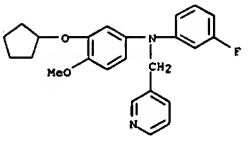


RN 460081-02-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

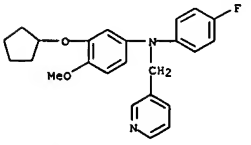


RN 460081-03-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-fluorophenyl)- (9CI) (CA INDEX NAME)

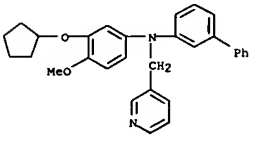




RN 460081-04-3 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

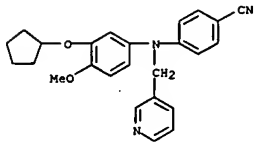


RN 460081-05-4 CAPLUS
CN 3-Pyridinemethanamine, N-[1,1'-biphenyl]-3-yl-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

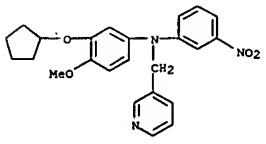


RN 460081-06-5 CAPLUS
CN Benzonitrile, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

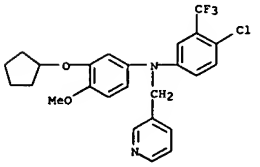




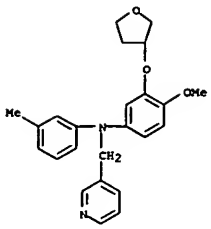
RN 460081-07-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



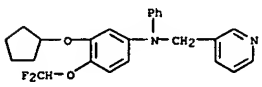
RN 460081-08-7 CAPLUS
CN 3-Pyridinemethanamine, N-[4-chloro-3-(trifluoromethyl)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



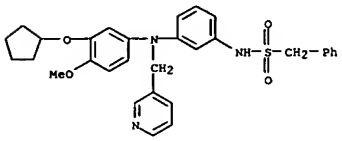
RN 460081-09-8 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 460081-10-1 CAPLUS
CN 3-Pyridinemethanamine,
N-[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl]-N-
phenyl- (9CI) (CA INDEX NAME)

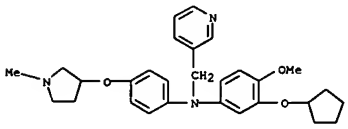


RN 460081-13-4 CAPLUS
CN Benzenemethanesulfonamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

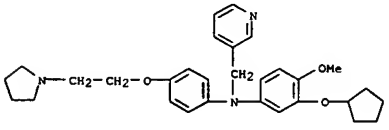


RN 460081-17-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-(2-methoxyethoxy)phenyl]- (9CI) (CA INDEX NAME)

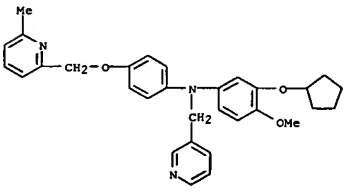




RN 460081-25-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

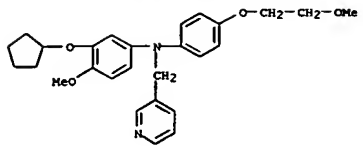


RN 460081-27-0 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(6-methyl-2-pyridinyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



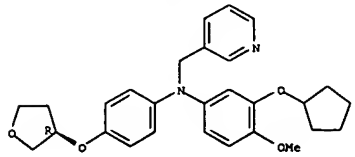
RN 460081-29-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(1-methyl-2-piperidinyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



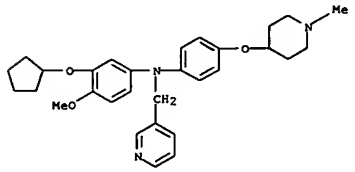


RN 460081-19-0 CAPLUS
CN 3-Pyridinemethanamine,
N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

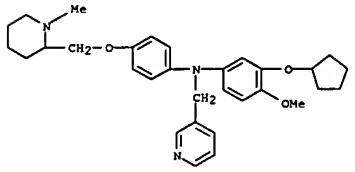


RN 460081-21-4 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(1-methyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

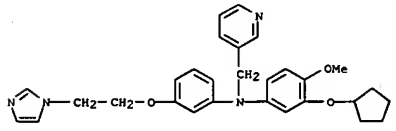


RN 460081-23-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

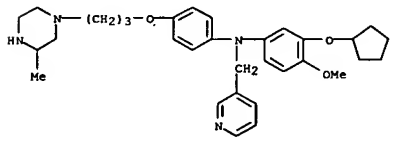




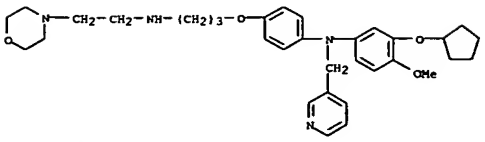
RN 460081-30-5 CAPLUS
CN 3-Pyridinemethanamine,
N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[3-[2-(1H-imidazol-1-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



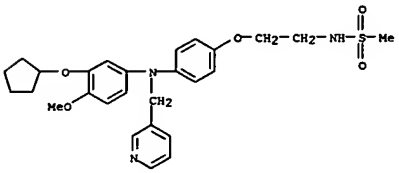
RN 460081-32-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[3-(3-methyl-1-piperazinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



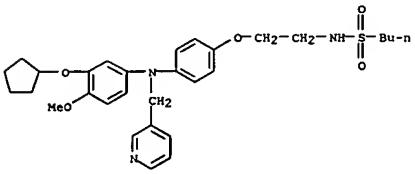
RN 460081-34-9 CAPLUS
CN 4-Morpholineethanamine, N-[3-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]propyl]- (9CI) (CA INDEX NAME)



RN 460081-39-4 CAPLUS
CN Methanesulfonamide, N-[2-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

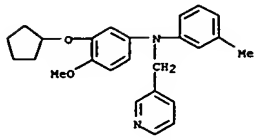


RN 460081-40-7 CAPLUS
CN 1-Butanesulfonamide, N-[2-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

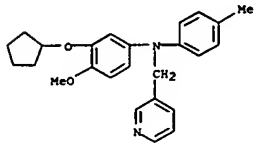


RN 460081-41-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

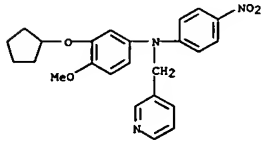




RN 460081-43-0 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

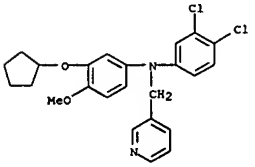


RN 460081-45-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

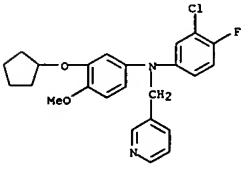


RN 460081-47-4 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

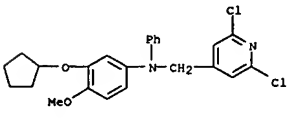




RN 460081-48-5 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chloro-4-fluorophenyl)-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

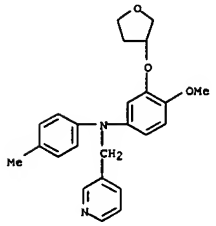


RN 460081-49-6 CAPLUS
CN 4-Pyridinemethanamine, 2,6-dichloro-N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)

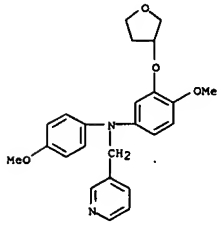


RN 460081-50-9 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

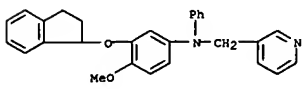




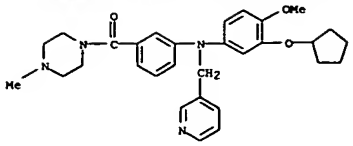
RN 460081-51-0 CAPLUS
CN 3-Pyridinemethanamine, N-(4-methoxyphenyl)-N-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



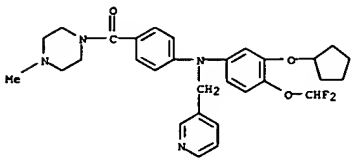
RN 460081-52-1 CAPLUS
CN 3-Pyridinemethanamine, N-[3-[(2,3-dihydro-1H-inden-1-yl)oxy]-4-methoxyphenyl]-N-phenyl- (9CI) (CA INDEX NAME)



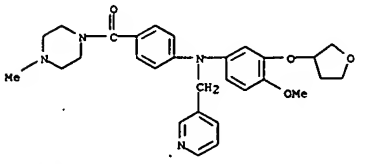
RN 460081-58-7 CAPLUS
CN Piperazine, 1-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 460081-59-8 CAPLUS
CN Piperazine, 1-[4-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

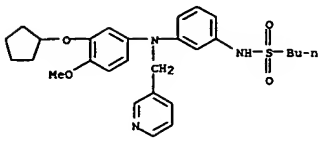


RN 460081-60-1 CAPLUS
CN Piperazine, 1-[4-[[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl](3-pyridinylmethyl)amino]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)

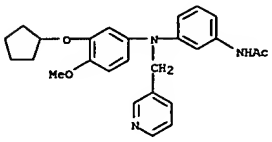


RN 460081-61-2 CAPLUS
CN 1-Butanesulfonamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

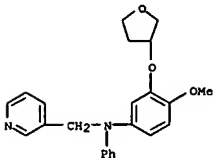




RN 460081-62-3 CAPLUS
CN Acetamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



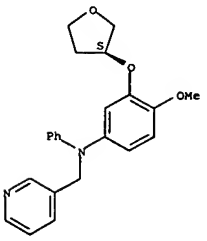
RN 460081-63-4 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)



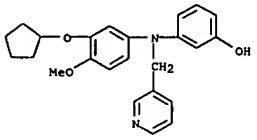
RN 460081-68-9 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3S)-tetrahydro-3-furanyl]oxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

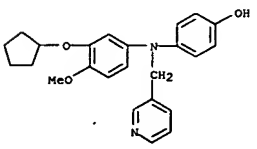




RN 460081-71-4 CAPLUS
CN Phenol, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

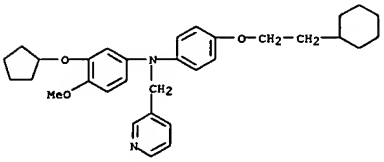


RN 460081-72-5 CAPLUS
CN Phenol, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

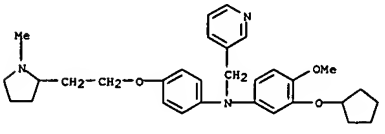


RN 460081-73-6 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(2-cyclohexylethoxy)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

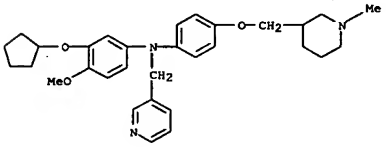




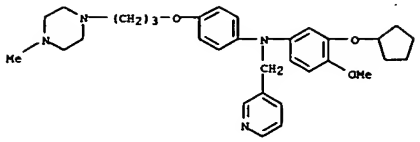
RN 460081-74-7 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[2-(1-methyl-2-pyrrolidinyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



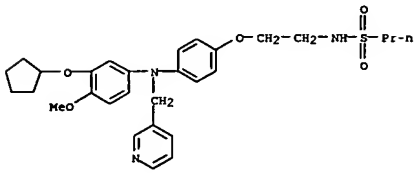
RN 460081-75-8 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[(1-methyl-3-piperidinyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



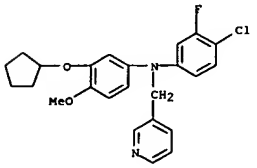
RN 460081-76-9 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[3-(4-methyl-1-piperazinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 460081-78-1 CAPLUS
CN 1-Propanesulfonamide, N-[2-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

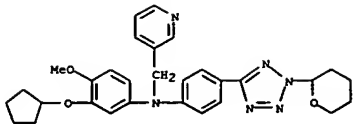


RN 460081-79-2 CAPLUS
CN 3-Pyridinemethanamine, N-(4-chloro-3-fluorophenyl)-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

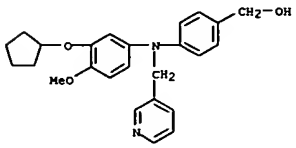


RN 460081-81-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[2-(tetrahydro-2H-pyran-2-yl)-2H-tetrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

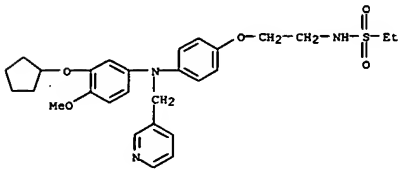




RN 460081-82-7 CAPLUS
CN Benzenemethanol, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

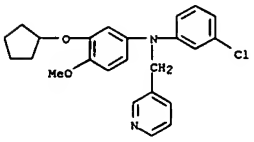


RN 460081-86-1 CAPLUS
CN Ethanesulfonamide, N-[2-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)

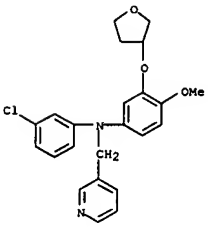


RN 460081-87-2 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



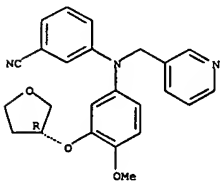


RN 460081-88-3 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



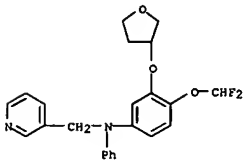
RN 460081-89-4 CAPLUS
CN Benzonitrile, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



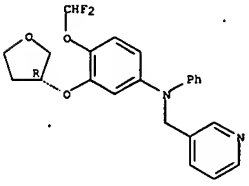
RN 460081-90-7 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(difluoromethoxy)-3-[(tetrahydro-3-furanyl)oxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)





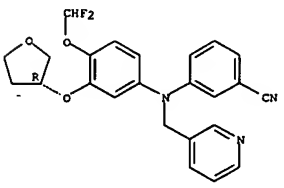
RN 460081-92-9 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 460081-93-0 CAPLUS
CN Benzonitrile, 3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

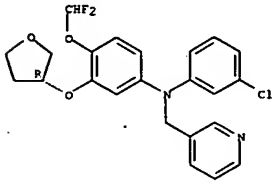
Absolute stereochemistry.



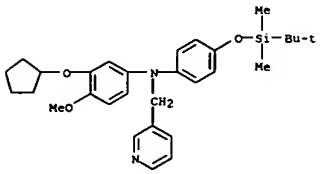
RN 460081-94-1 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-(difluoromethoxy)-3-[[(3R)-

tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

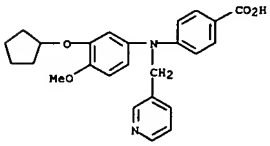
Absolute stereochemistry.



RN 460081-95-2 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

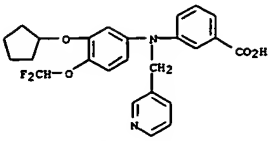


RN 460081-96-3 CAPLUS
CN Benzoic acid, 4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

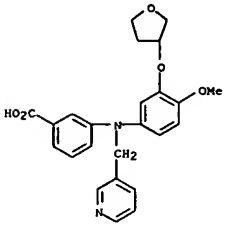


RN 460081-97-4 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



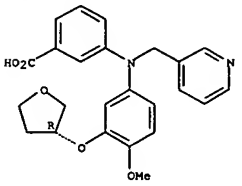


RN 460081-98-5 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[(tetrahydro-3-furanyl)oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



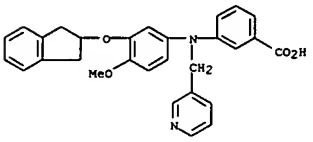
RN 460082-00-2 CAPLUS
CN Benzoic acid, 3-[[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

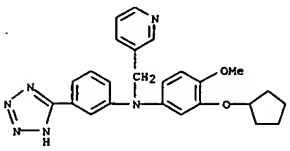


RN 460082-06-8 CAPLUS
CN Benzoic acid, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



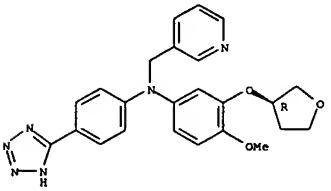


RN 460082-08-0 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-[3-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



RN 460082-09-1 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

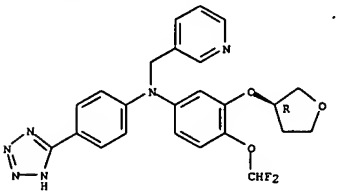
Absolute stereochemistry.



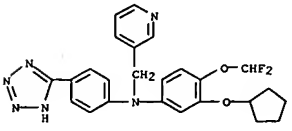
RN 460082-11-5 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

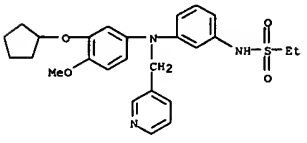




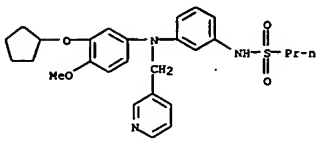
RN 460082-12-6 CAPLUS
CN 3-Pyridinemethanamine, N-[3-(cyclopentyloxy)-4-(difluoromethoxy)phenyl]-N-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)



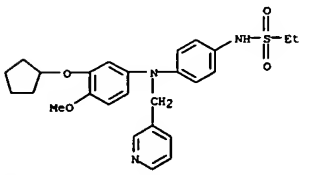
RN 460082-18-2 CAPLUS
CN Ethanesulfonamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



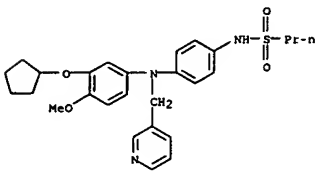
RN 460082-19-3 CAPLUS
CN 1-Propanesulfonamide, N-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 460082-20-6 CAPLUS
CN Ethanesulfonamide, N-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



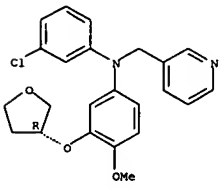
RN 460082-21-7 CAPLUS
CN 1-Propanesulfonamide, N-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)



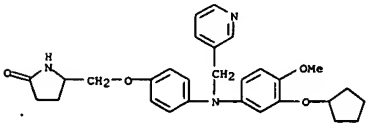
RN 460082-23-9 CAPLUS
CN Ethanesulfonamide, N-[3-[[4-(difluoromethoxy)-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl](3-pyridinylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

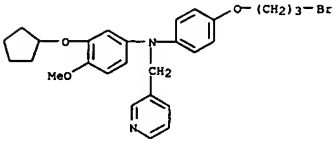




RN 460082-28-4 CAPLUS
CN 2-Pyrrolidinone, 5-[[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]methyl]- (9CI) (CA INDEX NAME)

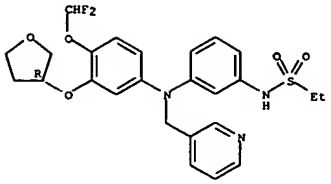


RN 460082-34-2 CAPLUS
CN 3-Pyridinemethanamine, N-[4-(3-bromopropoxy)phenyl]-N-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



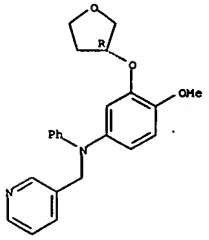
RN 460082-35-3 CAPLUS
CN Phenol, 2-(cyclopentyloxy)-4-[phenyl(3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





RN 460082-25-1 CAPLUS
CN 3-Pyridinemethanamine, N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]-N-phenyl- (9CI) (CA INDEX NAME)

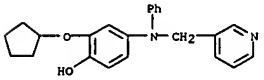
Absolute stereochemistry.



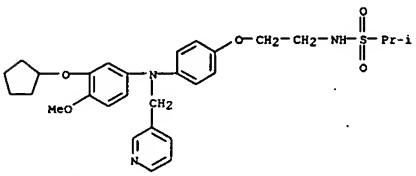
RN 460082-27-3 CAPLUS
CN 3-Pyridinemethanamine, N-(3-chlorophenyl)-N-[4-methoxy-3-[[(3R)-tetrahydro-3-furanyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



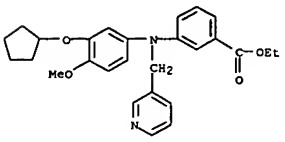


RN 460083-16-3 CAPLUS
CN 2-Propanesulfonamide, N-[2-[4-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



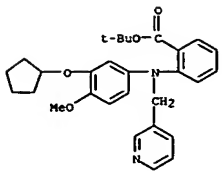
IT 460080-74-4, Ethyl N-(3-cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-3-aminobenzoate 460080-76-6, tert-Butyl N-(3-cyclopentyloxy-4-methoxyphenyl)-N-(3-pyridylmethyl)-2-aminobenzoate 460080-87-9, 4'-Amino-3-cyclopentyloxy-4-methoxy-N-(3-pyridylmethyl)diphenylamine 460080-99-3, N-(3-Pyridylmethyl)-3'-[2-(2-phthalimido)ethoxy]-3-cyclopentyloxy-4-methoxydiphenylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of C-organooxy- and N-substituted aniline and diphenylamine analogs as phosphodiesterase 4 inhibitors useful for enhancing cognition)

RN 460080-74-4 CAPLUS
CN Benzoic acid, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

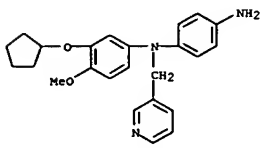


RN 460080-76-6 CAPLUS
CN Benzoic acid, 2-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

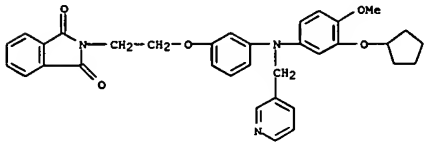
L21 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



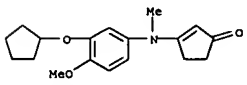
RN 460080-87-9 CAPLUS
CN 1,4-Benzenediamine, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



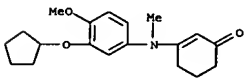
RN 460080-99-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]phenoxy]ethyl]- (9CI) (CA INDEX NAME)



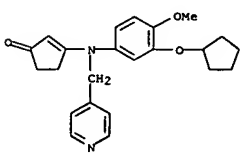
L21 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
205067-30-7P 205067-31-8P 229310-41-2P
229310-50-3P 229310-51-4P 229310-52-5P
229310-53-6P 229310-55-8P 229310-56-9P
229310-57-0P 229310-58-1P 229310-59-2P
229310-60-5P 229310-61-6P 229310-62-7P
229310-64-9P 229310-65-0P 229310-66-1P
229310-67-2P 229310-68-3P 229310-70-7P
229310-71-8P 229310-72-9P 229310-73-0P
229310-74-1P 229310-75-2P 229310-76-3P
229310-78-5P 229310-79-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ailinocycloalkenone derivs. as phosphodiesterase IV inhibitors for treatment of inflammations, dermatitis, asthma, psoriasis, and urticaria)
RN 205067-27-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]methylamino]- (9CI) (CA INDEX NAME)



RN 205067-28-3 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]methylamino]- (9CI) (CA INDEX NAME)



RN 205067-29-4 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

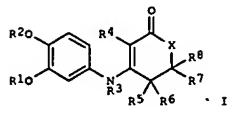


RN 205067-30-7 CAPLUS
CN Acetamide,
N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-oxo-1-cyclopenten-1-

L21 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:431896 CAPLUS
DOCUMENT NUMBER: 131:87830
TITLE: Preparation of 3-anilino-2-cycloalkenone derivatives as phosphodiesterase IV inhibitors
INVENTOR(S): Ina, Shinji; Yamana, Kenshiro; Noda, Kyoji; Akiyama, Toshihiko; Takahama, Akane
PATENT ASSIGNEE(S): Nikken Chemicals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 45 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

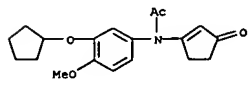
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 11189577 | A2 | 19990713 | JP 1997-366196 | 19971225 |
| JP 3542482 | B2 | 20040714 | | |
| PRIORITY APPLN. INFO.: | | | JP 1997-366196 | 19971225 |

OTHER SOURCE(S): MARPAT 131:87830
GI

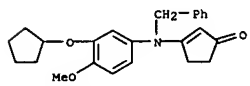


AB The title compds. [I; R1 = (un)substituted C1-8 alkyl (excluding unsubstituted methyl), C3-7 cycloalkyl, C6-10 bicycloalkyl, 3-tetrahydrofuryl, indanyl; R2 = C1-4 alkyl; R3 = H, (un)substituted C1-5 alkyl, C3-7 cycloalkyl, acyl; R4 = H, (un)substituted C1-5 alkyl, halo, R9R10NCH2 (wherein R9, R10 = C1-5 alkyl), (C2-6 alkyleneamino)methyl (wherein one of CH2 group may be replaced by one hetero atom selected from O, N, or S); R5 - R8 = H, (un)substituted C1-5 alkyl, (un)substituted Ph; X = (CR11R12)n, NR13; wherein R11, R12 = H, (un)substituted C1-5 alkyl, Ph; n = 0-2; R13 = H, (un)substituted C1-5 alkyl], which have bronchodilatory and antiinflammatory activities, are prepared Also claimed are preventives or remedies containing I for inflammatory diseases, asthma, and dermatitis and remedies containing I for atopic dermatitis, contact dermatitis, psoriasis, and urticaria (nettle rash). Thus, 3-(2-indanyloxy)-4-methoxyaniline 2.68, 2-methyl-1,3-cyclopentanedione 1.18, and p-MeC6H4SO3H 0.07 g were dissolved in 130 mL PhMe and refluxed for 20 h to give, after workup and silica gel chromatog., the title compound (II; R = H). II (R = H) and II (R = 2-quinolinylmethyl) showed IC50 of 1.4 + 10-7 and 1.2 + 10-7 M, resp., against phosphodiesterase IV. Tablet, capsule, inhalation, and ointment formulations containing specific I were given.
IT 205067-27-2P 205067-28-3P 205067-29-4P

L21 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl)- (9CI) (CA INDEX NAME)

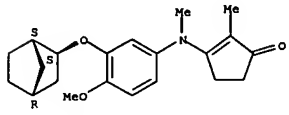


RN 205067-31-8 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

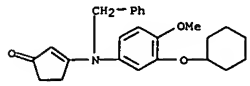


RN 229310-41-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl]methylamino]-2-methyl-, rel- (9CI) (CA INDEX NAME)

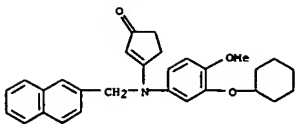
Relative stereochemistry.



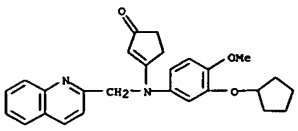
RN 229310-50-3 CAPLUS
CN 2-Cyclopenten-1-one,
3-[[3-(cyclohexyloxy)-4-methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



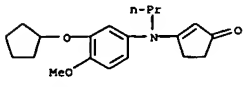
RN 229310-51-4 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclohexyloxy)-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



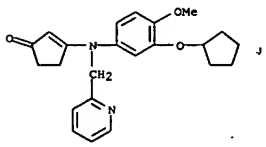
RN 229310-52-5 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](2-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-53-6 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]propylamino]- (9CI) (CA INDEX NAME)

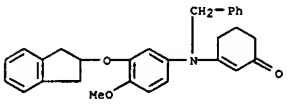


RN 229310-55-8 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

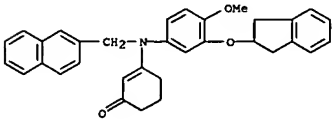


RN 229310-56-9 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)

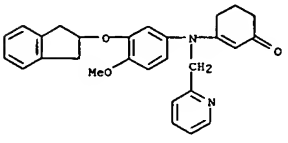




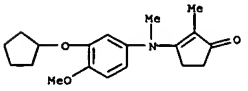
RN 229310-61-6 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-62-7 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

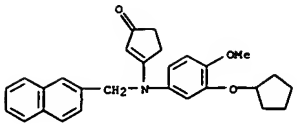


RN 229310-64-9 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]methylamino]-2-methyl- (9CI) (CA INDEX NAME)

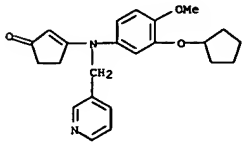


RN 229310-65-0 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](phenylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)

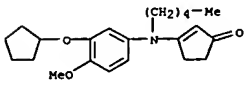




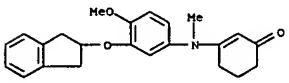
RN 229310-57-0 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](3-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-58-1 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]pentylamino]- (9CI) (CA INDEX NAME)

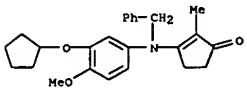


RN 229310-59-2 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]methylamino]- (9CI) (CA INDEX NAME)

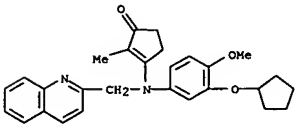


RN 229310-60-5 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

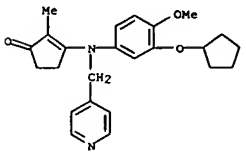




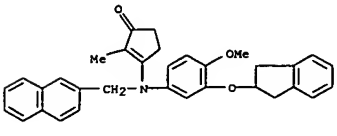
RN 229310-66-1 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](2-quinolinylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)



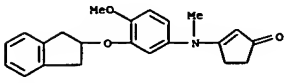
RN 229310-67-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](4-pyridinylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)



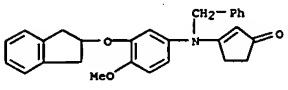
RN 229310-68-3 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-naphthalenylmethyl)amino]-2-methyl- (9CI) (CA INDEX NAME)



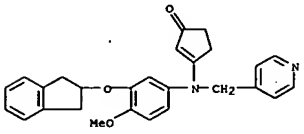
L21 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 229310-70-7 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl]methylamino]- (9CI) (CA INDEX NAME)



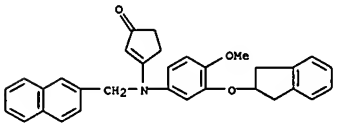
RN 229310-71-8 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 229310-72-9 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

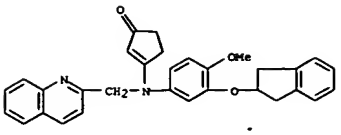


RN 229310-73-0 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-naphthalenylmethyl)amino]- (9CI) (CA INDEX NAME)



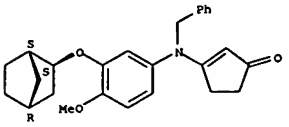
RN 229310-74-1 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(2,3-dihydro-1H-inden-2-yl)oxy]-4-methoxyphenyl](2-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)

L21 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



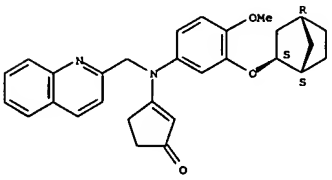
RN 229310-75-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](phenylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229310-76-3 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](2-quinolinylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

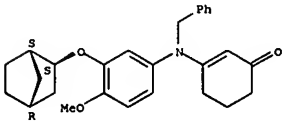
Relative stereochemistry.



RN 229310-78-5 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](phenylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

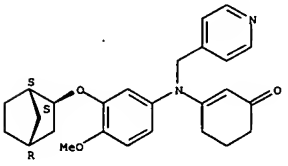
Relative stereochemistry.

L21 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 229310-79-6 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-[(1R,2R,4S)-bicyclo[2.2.1]hept-2-yloxy]-4-methoxyphenyl](4-pyridinylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



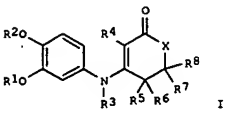
L21 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1998:178214 CAPLUS |
| DOCUMENT NUMBER: | 128:257226 |
| TITLE: | Preparation of 3-anilino-2-cycloalkenone as phosphodiesterase inhibitors |
| INVENTOR(S): | Ina, Shinji; Yamana, Kenshiro; Noda, Kyoji |
| PATENT ASSIGNEE(S): | Nikken Chemicals Co., Ltd., Japan |
| SOURCE: | Jpn. Kokai Tokkyo Koho, 20 pp.<br>CODEN: JKXXAF |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | Japanese |
| FAMILY ACC. NUM. COUNT: | 1 |

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| JP 10072415 | A2 | 19980317 | JP 1997-181884 | | 19970624 |
| CA 2295106 | AA | 19981230 | CA 1997-2295106 | | 19971225 |
| WO 9858901 | A1 | 19981230 | WO 1997-JP4857 | | 19971225 |
| W: CA, US<br>RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | | |
| EP 994100 | A1 | 20000419 | EP 1997-950410 | | 19971225 |
| R: BE, CH, DE, ES, FR, GB, IT, LI, NL | | | | | |
| US 6235736 | B1 | 20010522 | US 2000-446822 | | 20000320 |
| PRIORITY APPLN. INFO.: | | | JP 1996-184230 | A | 19960626 |
| | | | JP 1997-181884 | A | 19970624 |
| | | | WO 1997-JP4857 | W | 19971225 |

OTHER SOURCE(S): MARPAT 128:257226
GI

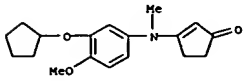


I

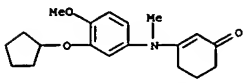
AB The title compds. [I; R1 = (un)substituted C1-8 alkyl, C3-7 cycloalkyl, C6-10 bicycloalkyl, etc.; R2 = C1-4 alkyl; R3 = H, (un)substituted C1-5 alkyl, acyl, C3-7 cycloalkyl; R4 = H, halo, (un)substituted C1-5 alkyl; R5-R8 = H, (un)substituted C1-5 alkyl or Ph; X = (CH2)n, NR11; R11 = (un)substituted C1-5 alkyl; n = 0-2] are prepared I have a potent phosphodiesterase (PDE) IV inhibitory, antiasthmatic and anti-inflammatory activities. Thus, 3-cyclopentyloxy-4-methoxyaniline (preparation given) was reacted with 1,3-cyclopentadione in the presence of p-TsOH to give 80.4% I (R1 = cyclopentyl, R2 = Me, R3-R8 = H, X = none), which showed IC50 of 1.6 X 10-6 M against PDE IV. A formulation containing I are also prepared

IT 205067-27-2P 205067-28-3P 205067-29-4P

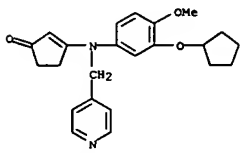
L21 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
205067-30-7P 205067-31-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-anilino-2-cycloalkenone as phosphodiesterase inhibitors)
RN 205067-27-2 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]methylamino]-
(9CI) (CA INDEX NAME)



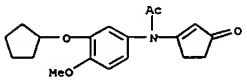
RN 205067-28-3 CAPLUS
CN 2-Cyclohexen-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl]methylamino]-
(9CI) (CA INDEX NAME)



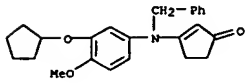
RN 205067-29-4 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-methoxyphenyl](4-
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 205067-30-7 CAPLUS
CN Acetamide,
N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-(3-oxo-1-cyclopenten-1-
yl)- (9CI) (CA INDEX NAME)



L21 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 205067-31-8 CAPLUS
CN 2-Cyclopenten-1-one, 3-[[3-(cyclopentyloxy)-4-
methoxyphenyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

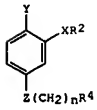


L21 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:269853 CAPLUS
DOCUMENT NUMBER: 120:269853
TITLE: Preparation of trisubstituted phenyl derivatives as selective phosphodiesterase IV inhibitors
INVENTOR(S): Beeley, Nigel Robert Arnold; Millican, Thomas Andrew
PATENT ASSIGNEE(S): Celltech Ltd., UK
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9325517 | A1 | 19931223 | WO 1993-GB1266 | 19930615 |
| W: AU, CA, JP | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5340827 | A | 19940823 | US 1993-77283 | 19930614 |
| US 5550137 | A | 19960827 | US 1993-77284 | 19930614 |
| CA 2114114 | AA | 19931223 | CA 1993-2114114 | 19930615 |
| CA 2114114 | C | 20050503 | | |
| AU 9343470 | A1 | 19940104 | AU 1993-43470 | 19930615 |
| AU 670949 | B2 | 19960808 | | |
| EP 607373 | A1 | 19940727 | EP 1993-913367 | 19930615 |
| EP 607373 | B1 | 19970319 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 06509820 | T2 | 19941102 | JP 1994-501297 | 19930615 |
| JP 3634861 | B2 | 20050330 | | |
| AT 150447 | E | 19970415 | AT 1993-913367 | 19930615 |
| ES 2102036 | T3 | 19970716 | ES 1993-913367 | 19930615 |
| US 6096747 | A | 20000801 | US 1996-654180 | 19960528 |

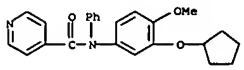
PRIORITY APPLN. INFO.: GB 1992-12673 A 19920615
GB 1992-12693 A 19920615
US 1993-77284 A3 19930614
WO 1993-GB1266 A 19930615

OTHER SOURCE(S): MARPAT 120:269853
GI



AB Title compds. I (Y = halo, R1O wherein R1 = (substituted) alkyl; R2 = (substituted) cycloalkyl, cycloalkenyl, polycycloalkyl; Z = NR3CO, R3NCO wherein R3 = H, alkyl, aralkyl; R4 = aryl, heteroaryl; X = O, S, CH2, NR5 wherein R5 = H, alkyl; n = 0-3) salts, solvate and hydrates thereof,

L21 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
useful for prophylaxis or treatment of inflammatory disease, are prepd. To 3-(cyclopentyloxy)4-methoxyaniline (prepn. given) in anhyd. pyridine was added BzCl followed by N,N-dimethylaminopyridine to give I (Y = MeO, R2X = cyclopentyloxy, Z(CH2)nR4 = BzNH). I showed phosphodiesterase IV inhibition and antiinflammatory activity.
IT 154464-20-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase IV inhibitor)
RN 154464-20-7 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N-phenyl-
(9CI) (CA INDEX NAME)



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=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                    40.87     711.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -5.84     -54.02

FILE 'REGISTRY' ENTERED AT 08:16:05 ON 23 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   22 NOV 2005  HIGHEST RN 868656-94-4
DICTIONARY FILE UPDATES:  22 NOV 2005  HIGHEST RN 868656-94-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added.   *
```

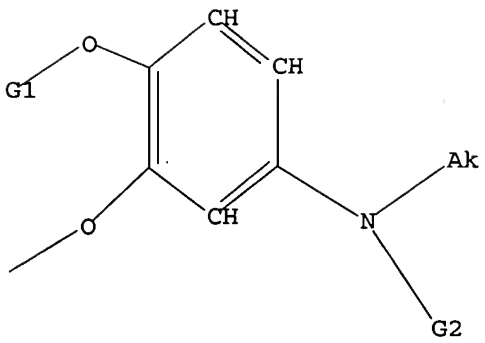
```
7  8  9  12  13  14  17
ring nodes :
1  2  3  4  5  6
ring/chain nodes :
10
chain bonds :
1-8  2-7  5-12  7-9  8-10  12-13  12-14  13-17
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-8  2-7  5-12  7-9  8-10  12-13  12-14  13-17
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:C,H

G2:H,Cb

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:CLASS
 12:CLASS  13:CLASS  14:Atom  17:Atom
Generic attributes :
17:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System    : Monocyclic

Element Count :
```




G1 C,H

G2 H,Cb

Structure attributes must be viewed using STN Express query preparation.

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=> s l23 subset=l3 full
FULL SUBSET SEARCH INITIATED 08:16:21 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -    13116 TO ITERATE

100.0% PROCESSED    13116 ITERATIONS                          7112 ANSWERS
SEARCH TIME: 00.00.01

L24         7112 SEA SUB=L3 SSS FUL L23
```

```
     FILE 'REGISTRY' ENTERED AT 08:13:15 ON 23 NOV 2005
L17             STRUCTURE UPLOADED
L18           444 S L17 FULL SUB=L3
L19           326 S L18 NOT L13
L20           326 S L19 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 08:14:03 ON 23 NOV 2005
L21             8 S L20
L22             5 S L21 NOT L16

     FILE 'REGISTRY' ENTERED AT 08:16:05 ON 23 NOV 2005
L23             STRUCTURE UPLOADED
L24          7112 S L23 FULL SUB=L3

=> s l24 not l18
L25          6668 L24 NOT L18

=> s l25 not l13
L26          6668 L25 NOT L13

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\106228333.str
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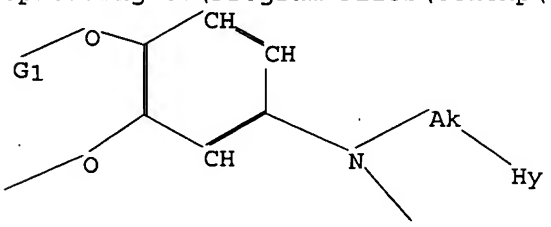
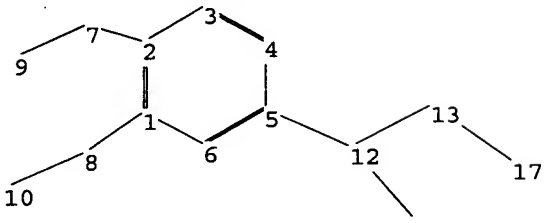


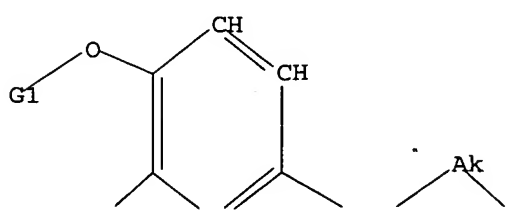



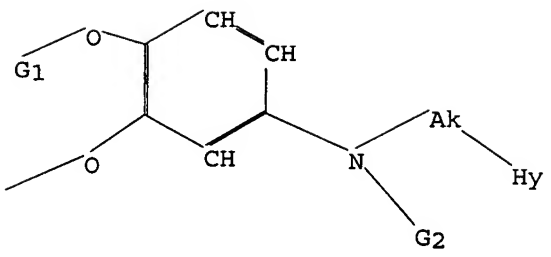
17:
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System : Monocyclic

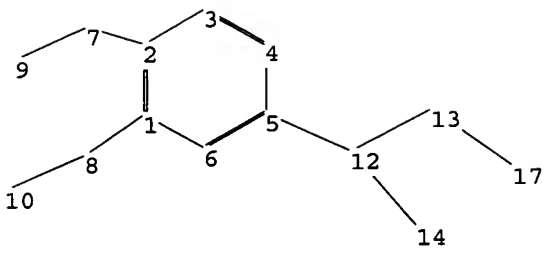
Element Count :
Node 17: Limited
C,C5
N,N1
O,O0
S,S0

L27 STRUCTURE UPLOADED

=> d
L27 HAS NO ANSWERS
L27 STR

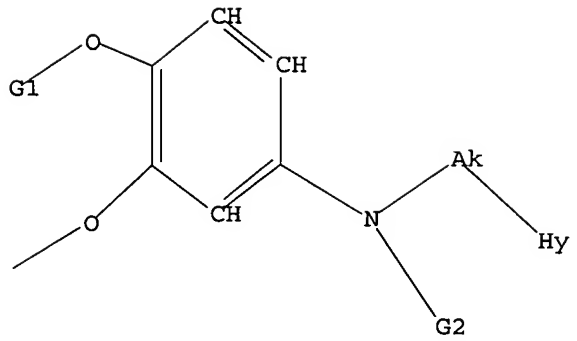





chain nodes :
7 8 9 12 13 14 17
ring nodes :
1 2 3 4 5 6
ring/chain nodes :
10
chain bonds :
1-8 2-7 5-12 7-9 8-10 12-13 12-14 13-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-8 2-7 5-12 7-9 8-10 12-13 12-14 13-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:C,H




G1 C,H

G2 H,Cb

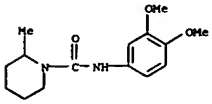
Structure attributes must be viewed using STN Express query preparation.

=> s l29 subset=l28 full
FULL SUBSET SEARCH INITIATED 08:18:51 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1719 TO ITERATE

100.0% PROCESSED 1719 ITERATIONS 271 ANSWERS
SEARCH TIME: 00.00.02

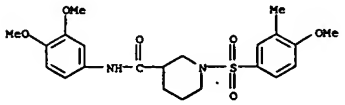
L30 271 SEA SUB=L28 SSS FUL L29

L33 ANSWER 1 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 865659-53-6 REGISTRY
ED Entered STN: 20 Oct 2005
CN 1-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H22 N2 O3
SR Chemical Library



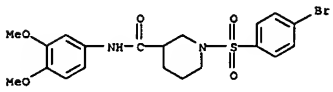
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 2 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 865258-10-2 REGISTRY
ED Entered STN: 14 Oct 2005
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(4-methoxy-3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H28 N2 O6 S
SR Chemical Library
Supplier: Vitas-M
LC STN Files: CHEMCATS



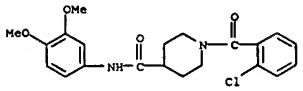
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 3 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 865257-24-5 REGISTRY
ED Entered STN: 14 Oct 2005
CN 3-Piperidinecarboxamide, 1-[(4-bromophenyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H23 Br N2 O5 S
SR Chemical Library
Supplier: Vitas-M
LC STN Files: CHEMCATS



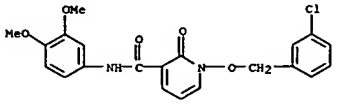
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 4 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 864840-77-7 REGISTRY
ED Entered STN: 10 Oct 2005
CN 4-Piperidinecarboxamide, 1-(2-chlorobenzoyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H23 Cl N2 O4
SR Chemical Library



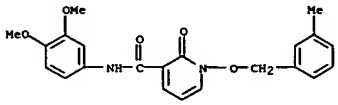
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 5 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 852365-98-1 REGISTRY
ED Entered STN: 16 Jun 2005
CN 3-Pyridinecarboxamide, 1-[(3-chlorophenyl)methoxy]-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H19 Cl N2 O5
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



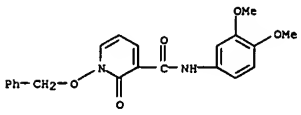
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 6 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 852365-97-0 REGISTRY
ED Entered STN: 16 Jun 2005
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-1,2-dihydro-1-[(3-methylphenyl)methoxy]-2-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H22 N2 O5
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



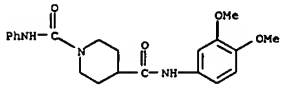
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 7 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 852365-96-9 REGISTRY
ED Entered STN: 16 Jun 2005
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo-1-(phenylmethoxy)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H20 N2 O5
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



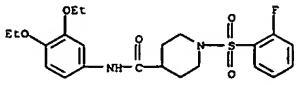
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 8 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 851465-86-6 REGISTRY
ED Entered STN: 01 Jun 2005
CN INDEX NAME NOT YET ASSIGNED
FS 3D CONCORD
MF C21 H25 N3 O4
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



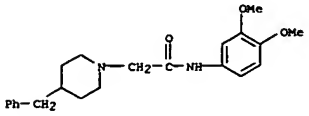
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 9 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 851398-21-5 REGISTRY
ED Entered STN: 31 May 2005
CN 4-Piperidinecarboxamide, N-(3,4-diethoxyphenyl)-1-[(2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H27 F N2 O5 S
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



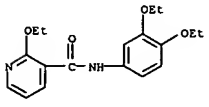
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 10 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 851272-29-2 REGISTRY
ED Entered STN: 27 May 2005
CN 1-Piperidineacetamide, N-(3,4-dimethoxyphenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H28 N2 O3
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



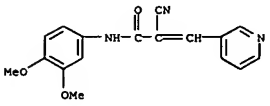
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 11 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 851270-08-1 REGISTRY
ED Entered STN: 27 May 2005
CN 3-Pyridinecarboxamide, N-(3,4-diethoxyphenyl)-2-ethoxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H22 N2 O4
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



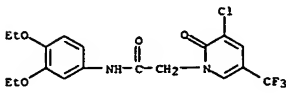
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 12 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 850478-15-8 REGISTRY
ED Entered STN: 16 May 2005
CN 2-Propenamide, 2-cyano-N-(3,4-dimethoxyphenyl)-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H15 N3 O3
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



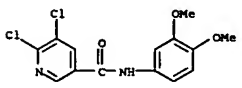
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 13 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 848313-04-2 REGISTRY
ED Entered STN: 12 Apr 2005
CN 1(2H)-Pyridineacetamide, 3-chloro-N-(3,4-diethoxyphenyl)-2-oxo-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H18 Cl F3 N2 O4
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



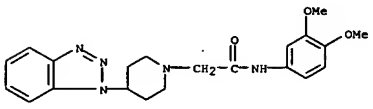
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 14 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 847773-32-4 REGISTRY
ED Entered STN: 01 Apr 2005
CN 3-Pyridinecarboxamide, 5,6-dichloro-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H12 Cl2 N2 O3
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



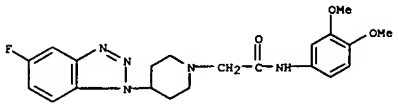
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 15 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 847242-67-5 REGISTRY
ED Entered STN: 25 Mar 2005
CN 1-Piperidineacetamide, 4-(1H-benzotriazol-1-yl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H25 N5 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



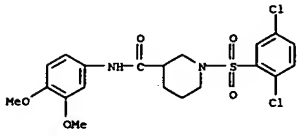
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 16 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 841230-60-2 REGISTRY
ED Entered STN: 03 Mar 2005
CN 1-Piperidineacetamide, N-(3,4-dimethoxyphenyl)-4-(5-fluoro-1H-benzotriazol-1-yl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H24 F N5 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



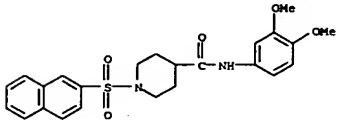
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 17 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 838884-61-0 REGISTRY
ED Entered STN: 28 Feb 2005
CN 3-Piperidinecarboxamide, 1-[(2,5-dichlorophenyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H22 Cl2 N2 O5 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



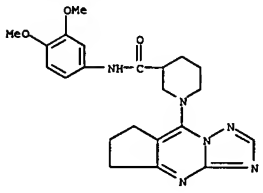
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 18 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 838865-60-4 REGISTRY
ED Entered STN: 28 Feb 2005
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H26 N2 O5 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS

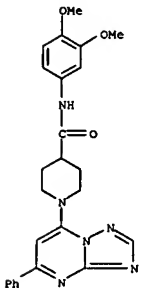


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

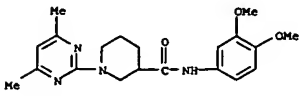
L33 ANSWER 19 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 838097-10-2 REGISTRY
ED Entered STN: 27 Feb 2005
CN INDEX NAME NOT YET ASSIGNED
FS 3D CONCORD
MF C22 H26 N6 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



L33 ANSWER 20 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 838096-50-7 REGISTRY
ED Entered STN: 27 Feb 2005
CN INDEX NAME NOT YET ASSIGNED
FS 3D CONCORD
MF C25 H26 N6 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS

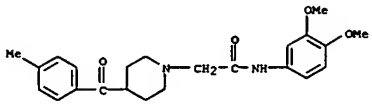


L33 ANSWER 21 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 837390-77-9 REGISTRY
ED Entered STN: 25 Feb 2005
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H26 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



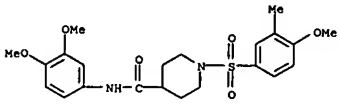
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 22 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 837385-17-8 REGISTRY
ED Entered STN: 25 Feb 2005
CN 1-Piperidineacetamide, N-(3,4-dimethoxyphenyl)-4-(4-methylbenzoyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H28 N2 O4
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



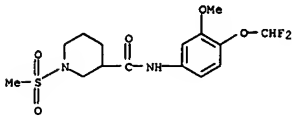
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 23 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 835900-11-3 REGISTRY
ED Entered STN: 23 Feb 2005
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(4-methoxy-3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H28 N2 O6 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



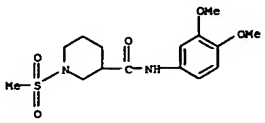
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 24 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 832141-03-4 REGISTRY
ED Entered STN: 16 Feb 2005
CN 3-Piperidinecarboxamide, N-[4-(difluoromethoxy)-3-methoxyphenyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H20 F2 N2 O5 S
SR Chemical Library
Supplier: AKos Consulting and Solutions GmbH
LC STN Files: CHEMCATS



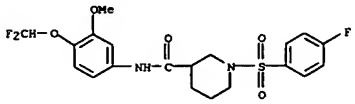
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 25 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 832137-65-2 REGISTRY
ED Entered STN: 16 Feb 2005
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(methylsulfonyl)-(9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C15 H22 N2 O5 S
SR Chemical Library
Supplier: AKos Consulting and Solutions GmbH
LC STN Files: CHEMCATS



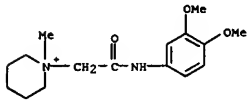
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 26 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 832115-82-9 REGISTRY
ED Entered STN: 16 Feb 2005
CN 3-Piperidinecarboxamide, N-[4-(difluoromethoxy)-3-methoxyphenyl]-1-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H21 F3 N2 O5 S
SR Chemical Library
Supplier: AKos Consulting and Solutions GmbH
LC STN Files: CHEMCATS

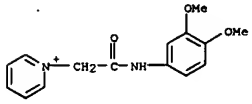


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

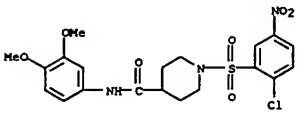
L33 ANSWER 27 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 807287-13-4 REGISTRY
ED Entered STN: 02 Jan 2005
CN Piperidinium, 1-[2-[(3,4-dimethoxyphenyl)amino]-2-oxoethyl]-1-methyl-(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H25 N2 O3
CI COM
SR CA



L33 ANSWER 28 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 807281-80-7 REGISTRY
ED Entered STN: 02 Jan 2005
CN Pyridinium, 1-[2-[(3,4-dimethoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H17 N2 O3
CI COM
SR CA

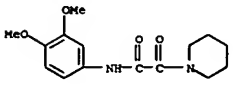


L33 ANSWER 29 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 802981-25-5 REGISTRY
ED Entered STN: 27 Dec 2004
CN 4-Piperidinecarboxamide, 1-[(2-chloro-5-nitrophenyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H22 Cl N3 O7 S
SR Chemical Library
Supplier: Enamine



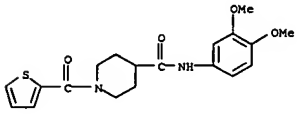
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 30 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 799264-14-5 REGISTRY
ED Entered STN: 17 Dec 2004
CN 1-Piperidineacetamide, N-(3,4-dimethoxyphenyl)-α-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H20 N2 O4
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



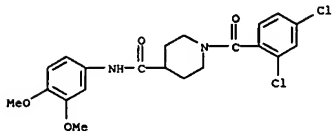
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 31 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 797813-36-6 REGISTRY
ED Entered STN: 15 Dec 2004
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-thienylcarbonyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H22 N2 O4 S
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



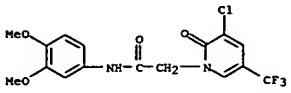
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 32 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 797812-39-6 REGISTRY
ED Entered STN: 15 Dec 2004
CN 4-Piperidinecarboxamide, 1-(2,4-dichlorobenzoyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H22 Cl2 N2 O4
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



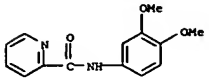
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 33 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 797004-86-5 REGISTRY
ED Entered STN: 14 Dec 2004
CN 1(2H)-Pyridineacetamide, 3-chloro-N-(3,4-dimethoxyphenyl)-2-oxo-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H14 Cl F3 N2 O4
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



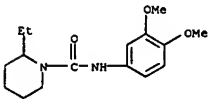
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 34 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 795292-77-2 REGISTRY
ED Entered STN: 09 Dec 2004
CN 2-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H14 N2 O3
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



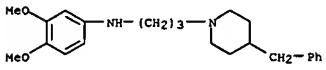
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 35 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 774194-59-1 REGISTRY
ED Entered STN: 03 Nov 2004
CN 1-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-2-ethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H24 N2 O3
SR Chemical Library
Supplier: Scientific Exchange, Inc.
LC STN Files: CHEMCATS



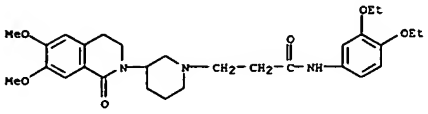
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 36 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 772328-31-1 REGISTRY
ED Entered STN: 29 Oct 2004
CN 1-Piperidinepropanamine, N-(3,4-dimethoxyphenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H32 N2 O2
CI COM
SR CA



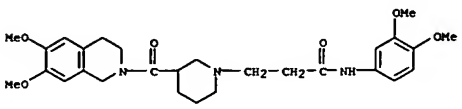
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 37 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 770698-41-4 REGISTRY
ED Entered STN: 28 Oct 2004
CN 1-Piperidinepropanamide, N-(3,4-diethoxyphenyl)-3-(3,4-dihydro-6,7-dimethoxy-1-oxo-2(1H)-isoquinolinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H39 N3 O6
CI COM
SR CA



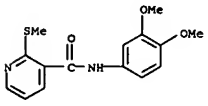
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 38 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 768349-47-9 REGISTRY
ED Entered STN: 25 Oct 2004
CN 1-Piperidinepropanamide, 3-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)carbonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H37 N3 O6
CI COM
SR CA



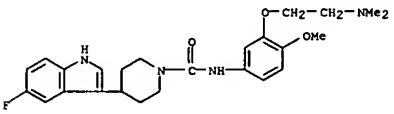
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 39 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 765285-31-2 REGISTRY
ED Entered STN: 19 Oct 2004
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H16 N2 O3 S
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



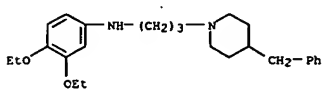
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 40 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 763914-37-0 REGISTRY
ED Entered STN: 17 Oct 2004
CN 1-Piperidinecarboxamide, N-[3-[2-(dimethylamino)ethoxy]-4-methoxyphenyl]-4-(5-fluoro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H31 F N4 O3
CI COM
SR CA



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 41 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 763072-45-3 REGISTRY
ED Entered STN: 15 Oct 2004
CN 1-Piperidinepropanamine, N-(3,4-diethoxyphenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H36 N2 O2
CI COM
SR CA

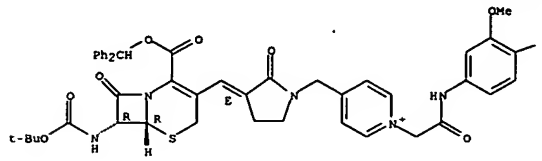


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

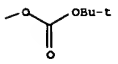
L33 ANSWER 42 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 749832-03-9 REGISTRY
ED Entered STN: 23 Sep 2004
CN Pyridinium, 4-[[(3E)-3-[[(6R,7R)-7-[[(1,1-dimethylethoxy)carbonyl]amino]-2-[(diphenylmethoxy)carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[[4-[[(1,1-dimethylethoxy)carbonyl]oxy]-3-methoxyphenyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C50 H54 N5 O11 S
CI COM
SR CA

Absolute stereochemistry.
Double bond geometry as shown.

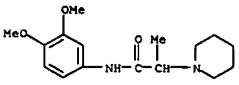
PAGE 1-A



PAGE 1-B

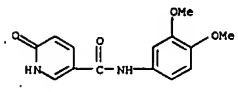


L33 ANSWER 43 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 741643-73-2 REGISTRY
ED Entered STN: 09 Sep 2004
CN 1-Piperidineacetamide, N-(3,4-dimethoxyphenyl)-α-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H24 N2 O3
CI COM
SR CA



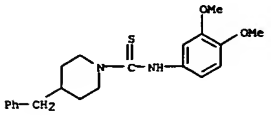
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 44 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 736146-96-6 REGISTRY
ED Entered STN: 31 Aug 2004
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H14 N2 O4
SR Chemical Library
Supplier: Enamine



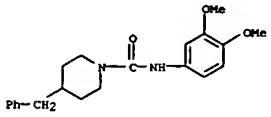
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 45 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 708245-43-6 REGISTRY
ED Entered STN: 12 Jul 2004
CN 1-Piperidinecarbothioamide, N-(3,4-dimethoxyphenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H26 N2 O2 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



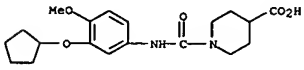
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 46 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 708221-01-6 REGISTRY
ED Entered STN: 12 Jul 2004
CN 1-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H26 N2 O3
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



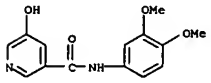
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 47 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 706758-32-9 REGISTRY
ED Entered STN: 09 Jul 2004
CN 4-Piperidinecarboxylic acid, 1-[[[3-(cyclopentyloxy)-4-methoxyphenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H26 N2 O5
SR Chemical Library
Supplier: Maybridge plc
LC STN Files: CHEMCATS



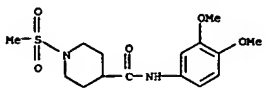
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 48 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 701240-46-2 REGISTRY
ED Entered STN: 30 Jun 2004
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-5-hydroxy- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H14 N2 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



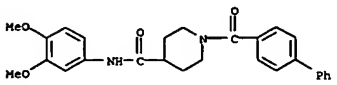
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 49 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 693799-32-5 REGISTRY
ED Entered STN: 16 Jun 2004
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(methylsulfonyl)-(9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C15 H22 N2 O5 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



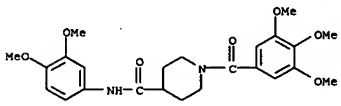
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 50 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 693241-84-8 REGISTRY
ED Entered STN: 15 Jun 2004
CN 4-Piperidinecarboxamide, 1-([1,1'-biphenyl]-4-ylcarbonyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H28 N2 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



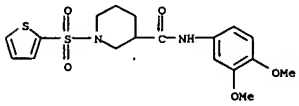
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 51 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 690669-94-4 REGISTRY
ED Entered STN: 08 Jun 2004
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H30 N2 O7
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



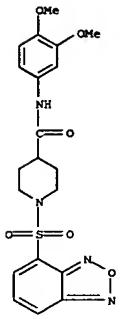
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 52 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 689748-77-4 REGISTRY
ED Entered STN: 06 Jun 2004
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-thienylsulfonyl)-(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H22 N2 O5 S2
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



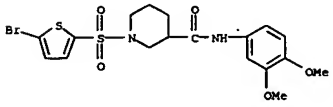
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 53 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 689746-01-8 REGISTRY
ED Entered STN: 06 Jun 2004
CN 4-Piperidinecarboxamide, 1-(2,1,3-benzoxadiazol-4-ylsulfonyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H22 N4 O6 S
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



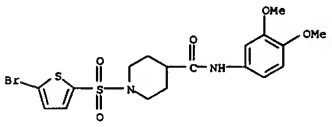
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 54 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 688350-75-6 REGISTRY
ED Entered STN: 02 Jun 2004
CN 3-Piperidinecarboxamide, 1-[(5-bromo-2-thienyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H21 Br N2 O5 S2
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



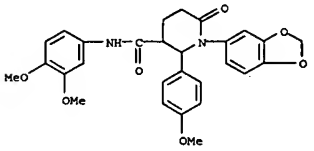
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 55 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 688343-65-9 REGISTRY
ED Entered STN: 02 Jun 2004
CN 4-Piperidinecarboxamide, 1-[(5-bromo-2-thienyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H21 Br N2 O5 S2
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



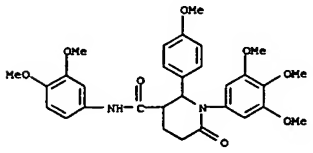
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 56 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 687565-80-6 REGISTRY
ED Entered STN: 30 May 2004
CN 3-Piperidinecarboxamide, 1-(1,3-benzodioxol-5-yl)-N-(3,4-dimethoxyphenyl)-2-(4-methoxyphenyl)-6-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H28 N2 O7
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



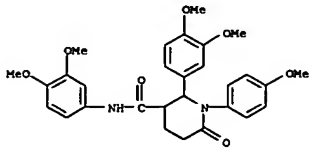
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 57 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 686742-30-3 REGISTRY
ED Entered STN: 28 May 2004
CN 3-Piperidinecarboxamide,
N-(3,4-dimethoxyphenyl)-2-(4-methoxyphenyl)-6-oxo-
1-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H34 N2 O8
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



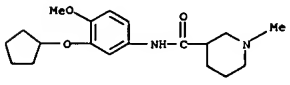
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 58 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 685880-32-4 REGISTRY
ED Entered STN: 26 May 2004
CN 3-Piperidinecarboxamide,
N,2-bis(3,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-
6-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H32 N2 O7
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



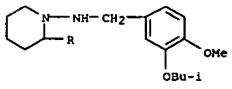
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

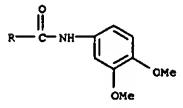
L33 ANSWER 59 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 663947-04-4 REGISTRY
ED Entered STN: 17 Mar 2004
CN 3-Piperidinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-methyl-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H28 N2 O3
SR Chemical Library



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

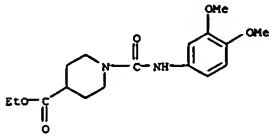
L33 ANSWER 60 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 638207-29-1 REGISTRY
ED Entered STN: 16 Jan 2004
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[[[4-methoxy-3-(2-
methylpropoxy)phenyl]methyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H37 N3 O5
CI COM
SR CA





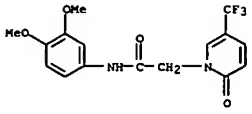
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 61 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 634172-26-2 REGISTRY
ED Entered STN: 05 Jan 2004
CN 4-Piperidinecarboxylic acid, 1-[[(3,4-dimethoxyphenyl)amino]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H24 N2 O5
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



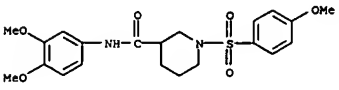
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 62 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 610280-02-9 REGISTRY
ED Entered STN: 29 Oct 2003
CN 1(2H)-Pyridineacetamide, N-(3,4-dimethoxyphenyl)-2-oxo-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C16 H15 F3 N2 O4
SR Chemical Library
Supplier: Ambinter



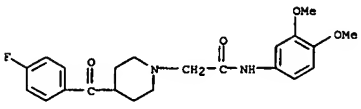
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 63 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 606097-74-9 REGISTRY
ED Entered STN: 17 Oct 2003
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(4-methoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H26 N2 O6 S
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



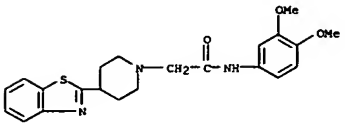
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 64 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 606087-30-3 REGISTRY
ED Entered STN: 17 Oct 2003
CN 1-Piperidineacetamide, N-(3,4-dimethoxyphenyl)-4-(4-fluorobenzoyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H25 F N2 O4
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



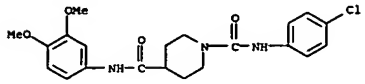
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 65 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 606083-43-6 REGISTRY
ED Entered STN: 17 Oct 2003
CN 1-Piperidineacetamide, 4-(2-benzothiazolyl)-N-(3,4-dimethoxyphenyl)-(9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C22 H25 N3 O3 S
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



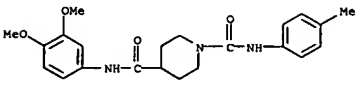
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 66 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605641-98-3 REGISTRY
ED Entered STN: 16 Oct 2003
CN 1,4-Piperidinedicarboxamide, N1-(4-chlorophenyl)-N4-(3,4-dimethoxyphenyl)-(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H24 Cl N3 O4
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



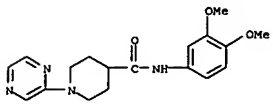
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 67 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605641-97-2 REGISTRY
ED Entered STN: 16 Oct 2003
CN 1,4-Piperidinedicarboxamide, N4-(3,4-dimethoxyphenyl)-N1-(4-methylphenyl)-(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H27 N3 O4
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



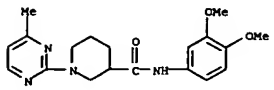
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 68 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605639-69-8 REGISTRY
ED Entered STN: 16 Oct 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-pyrazinyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H22 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



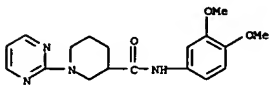
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 69 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605624-84-8 REGISTRY
ED Entered STN: 16 Oct 2003
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H24 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



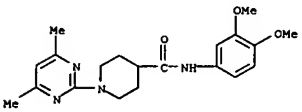
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 70 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605624-15-5 REGISTRY
ED Entered STN: 16 Oct 2003
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H22 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



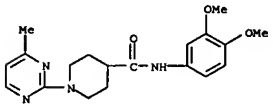
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 71 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605623-41-4 REGISTRY
ED Entered STN: 16 Oct 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(4,6-dimethyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H26 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



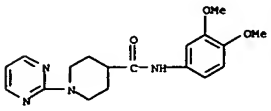
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 72 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605622-29-5 REGISTRY
ED Entered STN: 16 Oct 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H24 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



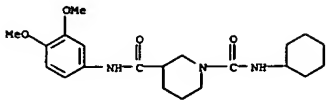
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 73 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605621-42-9 REGISTRY
ED Entered STN: 16 Oct 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H22 N4 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



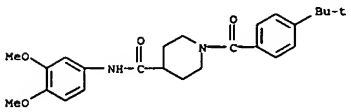
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 74 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 605619-96-3 REGISTRY
ED Entered STN: 16 Oct 2003
CN 1,3-Piperidinedicarboxamide, N1-cyclohexyl-N3-(3,4-dimethoxyphenyl)- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C21 H31 N3 O4
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



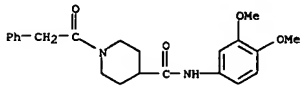
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 75 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 593259-91-7 REGISTRY
ED Entered STN: 26 Sep 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[4-(1,1-dimethylethyl)benzoyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H32 N2 O4
SR Chemical Library
Supplier: AKos Consulting and Solutions GmbH
LC STN Files: CHEMCATS



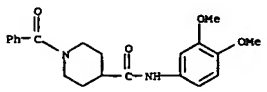
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 76 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 593258-38-9 REGISTRY
ED Entered STN: 26 Sep 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(phenylacetyl)- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C22 H26 N2 O4
SR Chemical Library
Supplier: AKos Consulting and Solutions GmbH
LC STN Files: CHEMCATS



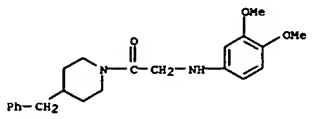
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 77 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 591224-86-1 REGISTRY
ED Entered STN: 23 Sep 2003
CN 4-Piperidinecarboxamide, 1-benzoyl-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H24 N2 O4
SR Chemical Library
Supplier: AKos Consulting and Solutions GmbH
LC STN Files: CHEMCATS



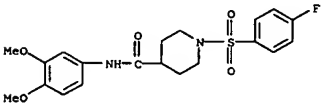
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 78 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 554423-07-3 REGISTRY
ED Entered STN: 25 Jul 2003
CN Piperidine, 1-[[(3,4-dimethoxyphenyl)amino]acetyl]-4-(phenylmethyl)- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C22 H28 N2 O3
SR Chemical Library
Supplier: Asbinter



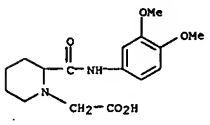
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 79 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 551931-53-4 REGISTRY
ED Entered STN: 21 Jul 2003
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(4-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H23 F N2 O5 S
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



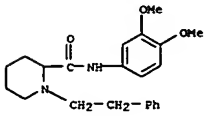
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 80 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 497847-55-9 REGISTRY
ED Entered STN: 11 Mar 2003
CN 1-Piperidineacetic acid, 2-[[(3,4-dimethoxyphenyl)amino]carbonyl]- (9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C16 H22 N2 O5
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



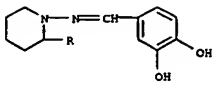
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

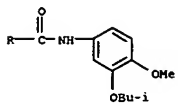
L33 ANSWER 81 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 497847-53-7 REGISTRY
ED Entered STN: 11 Mar 2003
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H28 N2 O3
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

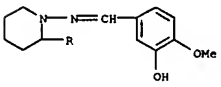
L33 ANSWER 82 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 488782-82-7 REGISTRY
ED Entered STN: 12 Feb 2003
CN 2-Piperidinecarboxamide, 1-[[(3,4-dihydroxyphenyl)methylene]amino]-N-(4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H31 N3 O5
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS

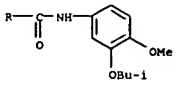




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

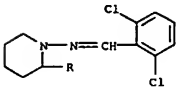
L33 ANSWER 83 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 488782-81-6 REGISTRY
ED Entered STN: 12 Feb 2003
CN 2-Piperidinecarboxamide, 1-[[(3-hydroxy-4-methoxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H33 N3 O5
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS

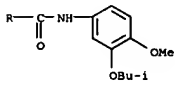




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

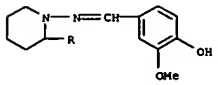
L33 ANSWER 84 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 488782-80-5 REGISTRY
ED Entered STN: 12 Feb 2003
CN 2-Piperidinecarboxamide, 1-[[(2,6-dichlorophenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H29 Cl2 N3 O3
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS

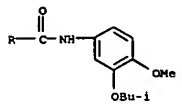




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

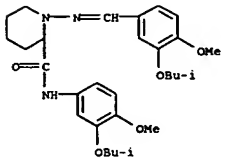
L33 ANSWER 85 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 487039-98-5 REGISTRY
ED Entered STN: 07 Feb 2003
CN 2-Piperidinecarboxamide, 1-[[(4-hydroxy-3-methoxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H33 N3 O5
SR Chemical Library
Supplier: Interchim





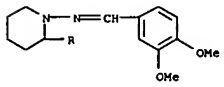
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

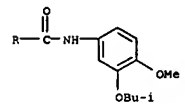
L33 ANSWER 86 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 487039-97-4 REGISTRY
ED Entered STN: 07 Feb 2003
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[[[4-methoxy-3-(2-methylpropoxy)phenyl]methylene]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H41 N3 O5
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

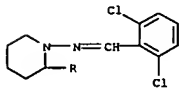
L33 ANSWER 87 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 487039-96-3 REGISTRY
ED Entered STN: 07 Feb 2003
CN 2-Piperidinecarboxamide, 1-[[(3,4-dimethoxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H35 N3 O5
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS

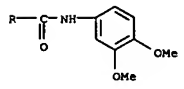




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

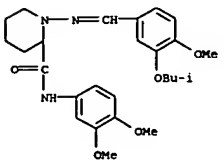
L33 ANSWER 88 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473574-23-1 REGISTRY
ED Entered STN: 14 Nov 2002
CN 2-Piperidinecarboxamide, 1-[[(2,6-dichlorophenyl)methylene]amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H23 Cl2 N3 O3
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS





**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 89 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 473573-49-8 REGISTRY
ED Entered STN: 14 Nov 2002
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-{[[4-methoxy-3-(2-methylpropoxy)phenyl]methylene]amino}- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H35 N3 O5
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS

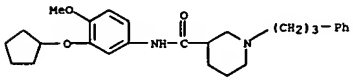


**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 90 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 471916-59-3 REGISTRY
ED Entered STN: 08 Nov 2002
CN 3-Piperidinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-(3-phenylpropyl)-, 2-butenedioate (1:1) (9CI) (CA INDEX NAME)
MF C27 H36 N2 O3 . C4 H4 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS

CM 1

CRN 451461-70-4
CMF C27 H36 N2 O3



CM 2

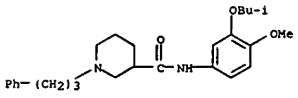
CRN 6915-18-0
CMF C4 H4 O4



L33 ANSWER 91 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 471916-49-1 REGISTRY
ED Entered STN: 08 Nov 2002
CN 3-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-(3-phenylpropyl)-, 2-butenedioate (1:1) (9CI) (CA INDEX NAME)
MF C26 H36 N2 O3 . C4 H4 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS

CM 1

CRN 451460-27-8
CMF C26 H36 N2 O3



CM 2

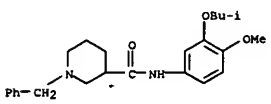
CRN 6915-18-0
CMF C4 H4 O4



L33 ANSWER 92 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 471916-31-1 REGISTRY
ED Entered STN: 08 Nov 2002
CN 3-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-(phenylmethyl)-, 2-butenedioate (1:1) (9CI) (CA INDEX NAME)
MF C24 H32 N2 O3 . C4 H4 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS

CM 1

CRN 371935-97-6
CMF C24 H32 N2 O3



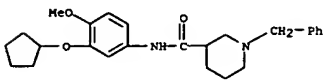
CM 2

CRN 6915-18-0
CMF C4 H4 O4

L33 ANSWER 93 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 471916-14-0 REGISTRY
ED Entered STN: 08 Nov 2002
CN 3-Piperidinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-(phenylmethyl)-, 2-butenedioate (1:1) (9CI) (CA INDEX NAME)
MF C25 H32 N2 O3 . C4 H4 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS

CM 1

CRN 451461-69-1
CMF C25 H32 N2 O3

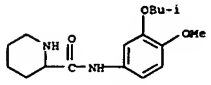


CM 2

CRN 6915-18-0
CMF C4 H4 O4

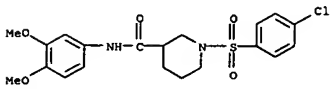


L33 ANSWER 94 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 470692-39-8 REGISTRY
ED Entered STN: 06 Nov 2002
CN 2-Piperidinecarboxamide, N-(4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H26 N2 O3
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



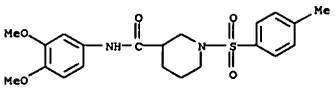
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 95 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 460327-40-6 REGISTRY
ED Entered STN: 10 Oct 2002
CN 3-Piperidinecarboxamide, 1-[(4-chlorophenyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H23 Cl N2 O5 S
SR Chemical Library
Supplier: Ambinter



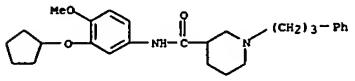
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 96 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 460327-05-3 REGISTRY
ED Entered STN: 10 Oct 2002
CN 3-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H26 N2 O5 S
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



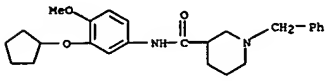
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 97 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 451461-70-4 REGISTRY
ED Entered STN: 16 Sep 2002
CN 3-Piperidinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-(3-phenylpropyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H36 N2 O3
CI COM
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



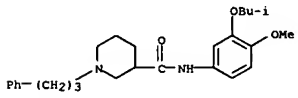
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 98 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 451461-69-1 REGISTRY
ED Entered STN: 16 Sep 2002
CN 3-Piperidinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H32 N2 O3
CI COM
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



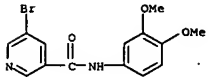
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 99 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 451460-27-8 REGISTRY
ED Entered STN: 16 Sep 2002
CN 3-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-(3-phenylpropyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H36 N2 O3
CI COM
SR Chemical Library
Supplier: PHARMEKS Ltd.
LC STN Files: CHEMCATS



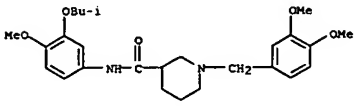
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 100 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 449177-04-2 REGISTRY
ED Entered STN: 11 Sep 2002
CN 3-Pyridinecarboxamide, 5-bromo-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H13 Br N2 O3
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



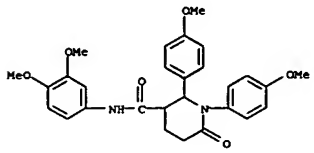
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 101 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 443748-92-3 REGISTRY
ED Entered STN: 13 Aug 2002
CN 3-Piperidinecarboxamide,
1-[(3,4-dimethoxyphenyl)methyl]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H36 N2 O5
SR Chemical Library
Supplier: Ambinter
LC STN Files: CHEMCATS



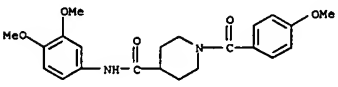

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 102 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 442859-68-9 REGISTRY
ED Entered STN: 07 Aug 2002
CN 3-Piperidinecarboxamide,
N-(3,4-dimethoxyphenyl)-1,2-bis(4-methoxyphenyl)-6-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C28 H30 N2 O6
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



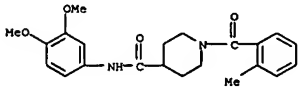

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 103 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433975-25-8 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H26 N2 O5
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



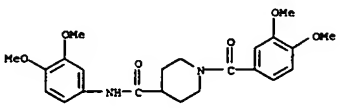

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 104 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433970-83-3 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(2-methylbenzoyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H26 N2 O4
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



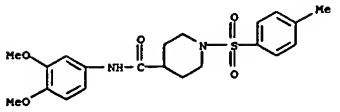

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 105 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433942-49-5 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide,
1-(3,4-dimethoxybenzoyl)-N-(3,4-dimethoxyphenyl)-
(9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H28 N2 O6
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



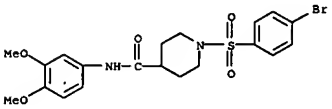
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 106 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433941-61-8 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(4-
methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H26 N2 O5 S
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



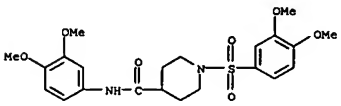
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 107 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433694-85-0 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide, 1-[(4-bromophenyl)sulfonyl]-N-(3,4-
dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H23 Br N2 O5 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



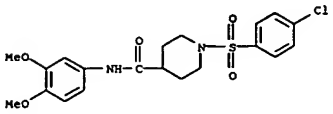
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 108 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433691-99-7 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(3,4-
dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H28 N2 O7 S
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



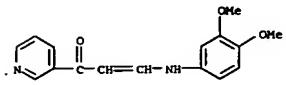
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 109 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 433688-84-7 REGISTRY
ED Entered STN: 26 Jun 2002
CN 4-Piperidinecarboxamide, 1-[(4-chlorophenyl)sulfonyl]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C20 H23 Cl N2 O5 S
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



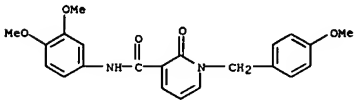
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 110 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 428829-74-7 REGISTRY
ED Entered STN: 12 Jun 2002
CN 2-Propen-1-one, 3-[(3,4-dimethoxyphenyl)amino]-1-(3-pyridinyl)- (9CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C16 H16 N2 O3
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



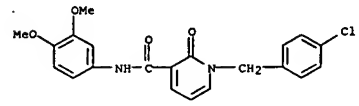
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 111 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 400077-75-0 REGISTRY
ED Entered STN: 11 Mar 2002
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-1,2-dihydro-1-[(4-methoxyphenyl)methyl]-2-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H22 N2 O5
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



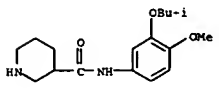
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 112 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 400077-71-6 REGISTRY
ED Entered STN: 11 Mar 2002
CN 3-Pyridinecarboxamide, 1-[(4-chlorophenyl)methyl]-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H19 Cl N2 O4
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



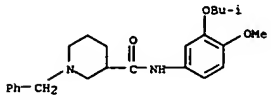
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 113 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 389138-60-7 REGISTRY
ED Entered STN: 04 Feb 2002
CN 3-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H26 N2 O3
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS



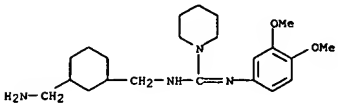

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 114 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 371935-97-6 REGISTRY
ED Entered STN: 27 Nov 2001
CN 3-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H32 N2 O3
CI COM
SR Chemical Library
Supplier: Interbioscreen Ltd.
LC STN Files: CHEMCATS



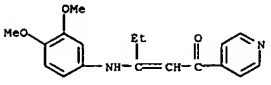

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 115 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 361358-65-8 REGISTRY
ED Entered STN: 10 Oct 2001
CN 1-Piperidinecarboximidamide, N-[[3-(aminomethyl)cyclohexyl]methyl]-N'-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
MF C22 H36 N4 O2
SR Chemical Library
Supplier: LION bioscience AG



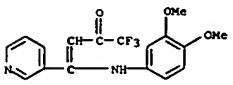

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 116 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 358355-63-2 REGISTRY
ED Entered STN: 24 Sep 2001
CN 2-Penten-1-one, 3-[(3,4-dimethoxyphenyl)amino]-1-(4-pyridinyl)- (9CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C18 H20 N2 O3
SR Chemical Library



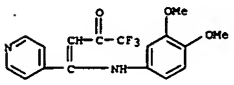

**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 117 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 358354-74-2 REGISTRY
ED Entered STN: 24 Sep 2001
CN 3-Buten-2-one, 4-[(3,4-dimethoxyphenyl)amino]-1,1,1-trifluoro-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)
MF C17 H15 F3 N2 O3
SR Chemical Library



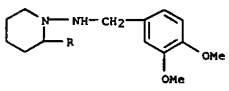
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

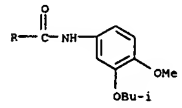
L33 ANSWER 118 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 357651-78-6 REGISTRY
ED Entered STN: 20 Sep 2001
CN 3-Buten-2-one, 4-[(3,4-dimethoxyphenyl)amino]-1,1,1-trifluoro-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)
MF C17 H15 F3 N2 O3
SR Chemical Library



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

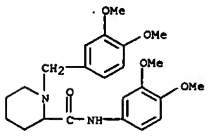
L33 ANSWER 119 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 353778-71-9 REGISTRY
ED Entered STN: 30 Aug 2001
CN 2-Piperidinecarboxamide, 1-[[(3,4-dimethoxyphenyl)methyl]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H37 N3 O5
CI COM
SR Chemical Library
Supplier: Interchim
LC STN Files: CHEMCATS





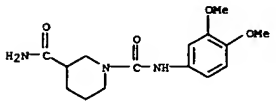
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 120 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 353450-66-5 REGISTRY
ED Entered STN: 29 Aug 2001
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H30 N2 O5
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



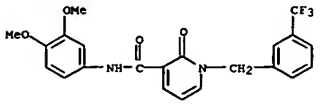
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 121 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 346443-22-9 REGISTRY
ED Entered STN: 17 Jul 2001
CN 1,3-Piperidinedicarboxamide, N1-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H21 N3 O4
SR Chemical Library
Supplier: Scientific Exchange, Inc.
LC STN Files: CHEMCATS



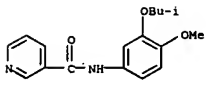
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 122 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 339027-73-5 REGISTRY
ED Entered STN: 30 May 2001
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H19 F3 N2 O4
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



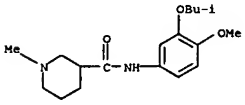
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 123 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 332040-93-4 REGISTRY
ED Entered STN: 23 Apr 2001
CN 3-Pyridinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C17 H20 N2 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



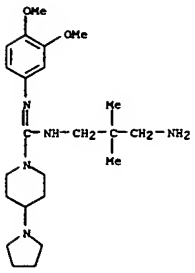
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 124 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 332040-92-3 REGISTRY
ED Entered STN: 23 Apr 2001
CN 3-Piperidinecarboxamide,
N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H28 N2 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



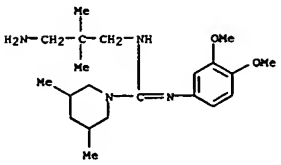
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 125 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 329248-87-5 REGISTRY
ED Entered STN: 28 Mar 2001
CN 1-Piperidinecarboximidamide, N-(3-amino-2,2-dimethylpropyl)-N'-(3,4-dimethoxyphenyl)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)
MF C23 H39 N5 O2
SR Chemical Library
Supplier: LION bioscience AG



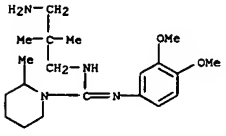
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 126 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 329248-82-0 REGISTRY
ED Entered STN: 28 Mar 2001
CN 1-Piperidinecarboximidamide, N-(3-amino-2,2-dimethylpropyl)-N'-(3,4-dimethoxyphenyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)
MF C21 H36 N4 O2
SR Chemical Library
Supplier: LION bioscience AG



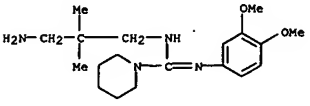
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 127 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 329248-81-9 REGISTRY
ED Entered STN: 28 Mar 2001
CN 1-Piperidinecarboximidamide, N-(3-amino-2,2-dimethylpropyl)-N'-(3,4-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)
MF C20 H34 N4 O2
SR Chemical Library
Supplier: LION bioscience AG



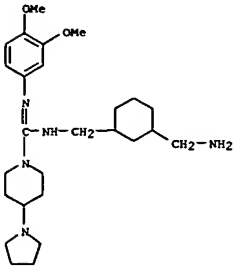
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 128 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 329248-80-8 REGISTRY
ED Entered STN: 28 Mar 2001
CN 1-Piperidinecarboximidamide, N-(3-amino-2,2-dimethylpropyl)-N'-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
MF C19 H32 N4 O2
SR Chemical Library
Supplier: LION bioscience AG



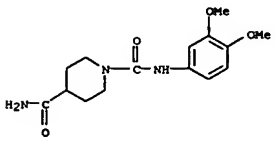
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 129 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 329029-28-9 REGISTRY
ED Entered STN: 27 Mar 2001
CN 1-Piperidinecarboximidamide, N-[[3-(aminomethyl)cyclohexyl]methyl]-N'-(3,4-dimethoxyphenyl)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)
MF C26 H43 N5 O2
SR Chemical Library



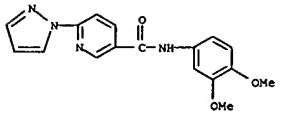
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 130 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 328287-65-6 REGISTRY
ED Entered STN: 21 Mar 2001
CN 1,4-Piperidinedicarboxamide, N1-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H21 N3 O4
SR Chemical Library
Supplier: Timtec, Inc.
LC STN Files: CHEMCATS



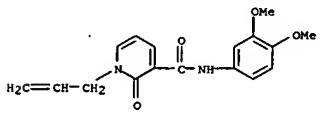
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 131 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 321533-69-1 REGISTRY
ED Entered STN: 13 Feb 2001
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H16 N4 O3
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



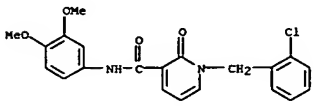
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 132 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 320419-90-7 REGISTRY
ED Entered STN: 06 Feb 2001
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo-1-(2-propenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C17 H18 N2 O4
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



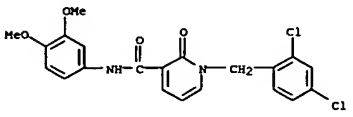
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 133 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 320419-77-0 REGISTRY
ED Entered STN: 06 Feb 2001
CN 3-Pyridinecarboxamide, 1-[(2-chlorophenyl)methyl]-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H19 Cl N2 O4
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



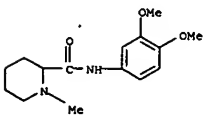
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 134 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 320419-63-4 REGISTRY
ED Entered STN: 06 Feb 2001
CN 3-Pyridinecarboxamide, 1-[(2,4-dichlorophenyl)methyl]-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C21 H18 Cl2 N2 O4
SR Chemical Library
Supplier: Bionet Research Ltd.
LC STN Files: CHEMCATS



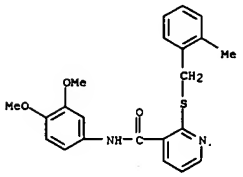
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 135 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 313270-96-1 REGISTRY
ED Entered STN: 09 Jan 2001
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C15 H22 N2 O3
SR Chemical Library
Supplier: ChemBridge Corporation
LC STN Files: CHEMCATS



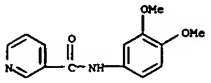
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 136 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 312921-59-8 REGISTRY
ED Entered STN: 05 Jan 2001
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-2-[[(2-methylphenyl)methyl]thio]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C22 H22 N2 O3 S
SR Chemical Library
Supplier: Interchim



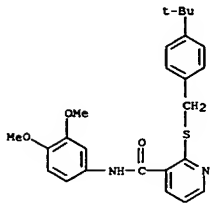
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 137 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 312587-66-9 REGISTRY
ED Entered STN: 03 Jan 2001
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C14 H14 N2 O3
SR Chemical Library
Supplier: AsInEx
LC STN Files: CHEMCATS



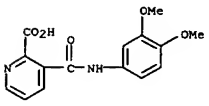
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 138 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 310451-62-8 REGISTRY
ED Entered STN: 21 Dec 2000
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-2-[[[4-(1,1-dimethylethyl)phenyl]methyl]thio]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H28 N2 O3 S
SR Chemical Library
Supplier: ChemDiv, Inc.
LC STN Files: CHEMCATS



**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

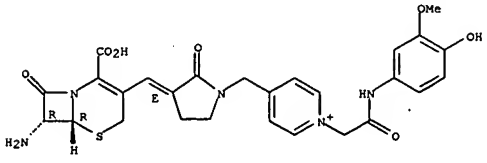
L33 ANSWER 139 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 305849-60-9 REGISTRY
ED Entered STN: 01 Dec 2000
CN 2-Pyridinecarboxylic acid, 3-[[[(3,4-dimethoxyphenyl)amino]carbonyl]-(9CI)
(CA INDEX NAME)
FS 3D CONCORD
MF C15 H14 N2 O5
SR Chemical Library
Supplier: Florida Center for Heterocyclic Compounds, Department of Chemistry, University of Florida
LC STN Files: CHEMCATS



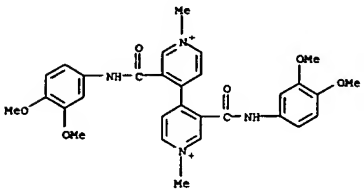
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

L33 ANSWER 140 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 206993-74-0 REGISTRY
ED Entered STN: 11 Jun 1998
CN Pyridinium, 4-[[(3E)-3-[[(6R,7R)-7-amino-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[(4-hydroxy-3-methoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pyridinium,
4-[[3-[(7-amino-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl)methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[(4-hydroxy-3-methoxyphenyl)amino]-2-oxoethyl]-, [6R-[3(E),6α,7β]]-
FS STEREOSEARCH
MF C27 H28 N5 O7 S
CI COM
SR CA

Absolute stereochemistry.
Double bond geometry as shown.



L33 ANSWER 141 OF 141 REGISTRY COPYRIGHT 2005 ACS on STN
RN 160252-24-4 REGISTRY
ED Entered STN: 20 Jan 1995
CN 4,4'-Bipyridinium, 3,3'-bis[[(3,4-dimethoxyphenyl)amino]carbonyl]-1,1'-dimethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H32 N4 O6
CI COM
SR CA



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COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
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FULL ESTIMATED COST                                        381.20    1093.04

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                          0.00     -54.02

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FILE LAST UPDATED: 22 Nov 2005  (20051122/ED)

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=> s l31
L34          61 L31

=> d his

     (FILE 'HOME' ENTERED AT 07:53:03 ON 23 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 07:53:12 ON 23 NOV 2005
L1                STRUCTURE UPLOADED
L2             50 S L1
L3          14295 S L1 FULL
L4                STRUCTURE UPLOADED
L5           7035 S L4 FULL SUB=L3
L6                STRUCTURE UPLOADED
L7           1903 S L6 FULL SUB=L3
L8           3588 S L5 AND CAPLUS/LC
L9           1564 S L7 AND CAPLUS/LC

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L10          1666 S L8
L11           490 S L9

     FILE 'STNGUIDE' ENTERED AT 07:59:23 ON 23 NOV 2005

     FILE 'REGISTRY' ENTERED AT 08:07:31 ON 23 NOV 2005
L12               STRUCTURE UPLOADED
L13           118 S L12 FULL SUB=L3
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L14          116 S L13 AND CAPLUS/LC
L15            2 S L13 NOT L14

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L16           24 S L14

     FILE 'REGISTRY' ENTERED AT 08:13:15 ON 23 NOV 2005
L17               STRUCTURE UPLOADED
L18          444 S L17 FULL SUB=L3
L19          326 S L18 NOT L13
L20          326 S L19 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 08:14:03 ON 23 NOV 2005
L21            8 S L20
L22            5 S L21 NOT L16

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L23               STRUCTURE UPLOADED
L24         7112 S L23 FULL SUB=L3
L25         6668 S L24 NOT L18
L26         6668 S L25 NOT L13
L27               STRUCTURE UPLOADED
L28         1719 S L27 FULL SUB=L26
L29               STRUCTURE UPLOADED
L30          271 S L29 FULL SUB=L28
L31          130 S L30 AND CAPLUS/LC
L32            0 S L31 NOT L30
L33          141 S L30 NOT L31

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L34           61 S L31

=> s l31 not l16
            61 L31
L35         59 L31 NOT L16

=> s l35 not l21
L36         58 L35 NOT L21

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L36 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:314862 CAPLUS
DOCUMENT NUMBER: 142:392289
TITLE: Preparation of (hetero)aryl amides as ion channel ligands
INVENTOR(S): Kelly, Michael; Janagani, Satyanarayana; Wu, Guoxian; Kincaid, John
PATENT ASSIGNEE(S): Renovis, Inc., USA
SOURCE: Brit. UK Pat. Appl., 131 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 2406856 | A1 | 20050413 | GB 2004-22296 | 20041007 |
| GB 2406856 | B2 | 20051019 | | |
| WO 2005032493 | A2 | 20050414 | WO 2004-US33403 | 20041007 |
| WO 2005032493 | C1 | 20050630 | | |
| WO 2005032493 | A3 | 20050909 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2005034870 | A2 | 20050421 | WO 2004-US33099 | 20041007 |
| WO 2005034870 | A3 | 20050623 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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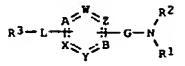
| US 2005192293 | A1 | 20050901 | US 2004-962195 | 20041007 |
| US 2005197364 | A1 | 20050908 | US 2004-961817 | 20041007 |
| GB 2413129 | A1 | 20051019 | GB 2005-9754 | 20041007 |

PRIORITY APPLN. INFO.: US 2003-508865P P 20031007
US 2004-575937P P 20040601
GB 2004-22296 A3 20041007

OTHER SOURCE(S): MARPAT 142:392289
GI

L36 ANSWER 1 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

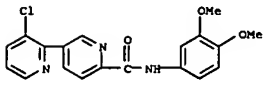


I

AB Title compds. I [A = N, CR4, a carbon atom bound to L, or is not an atom; one of W, Z, B, Y, X = carbon atom bound to L if A is not an atom, another of W, Z, B, Y, X = carbon atom bound to G, and each of the remaining W, Z, B, Y and X is independently N or CR4; L = bond, (CH2)n; n = 1-3; G = CO, CS, SO2; R1 = alkyl, heteroalkyl, aryl, etc.; R2 = H, alkyl; R3 = alkyl, heteroalkyl, aryl, etc.; R4 = H, alkyl, etc.] are prepared For instance, 4-(3-chloropyridin-2-yl)-N-(4-(trifluoromethyl)phenyl)benzamide (II) is prepared from 4-(3-chloropyridin-2-yl)benzoic acid (preparation given) and 4-trifluoromethylaniline (CH2Cl2, CO2Cl2, DMF). II did not significantly inhibit CYP2C9, CYP2D6 and CYP3A4 but exhibits inhibition for CYP2C19 (IC50 = 26.85 μM) and CYP1A2 (IC50 = 97.45 μM). I are useful in the treatment of pain, inflammation and traumatic injury.

IT 849756-96-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)aryl amides as ion channel ligands)

RN 849756-96-3 CAPLUS
CN [2,3'-Bipyridine]-6'-carboxamide, 3-chloro-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:300441 CAPLUS
DOCUMENT NUMBER: 142:355279
TITLE: A preparation of quinazoline derivatives, useful for prevention or treatment of tumors sensitive to inhibition of ErbB receptor tyrosine kinases
INVENTOR(S): Barlaam, Bernard Christophe; Halsall, Christopher Thomas; Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005030765 | A1 | 20050407 | WO 2004-GB4137 | 20040922 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: GB 2003-22409 A 20030925

OTHER SOURCE(S): MARPAT 142:355279
GI

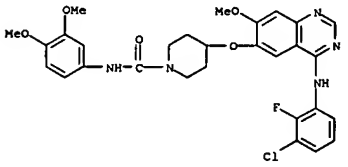
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of quinazoline derivs. of formula I [wherein: one of R1 or R4 is (un)substituted (cyclo)alkoxy group; R2 is H or alkyl; R3 is Ph with 1 to 5 same or different substituents], useful for prevention or treatment of tumors sensitive to inhibition of ErbB receptor tyrosine kinases (antiproliferative agents). For instance, quinazoline derivative II (inhibition of tyrosine kinase protein phosphorylation: IC50 = 14 nM; EGFR driven KB cell proliferation: IC50 = 16 nM) was prepared via amidation of 2-pyridinecarboxylic acid by piperidine derivative III with a yield of 30%.

IT 849148-10-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. useful as antiproliferative agents)

RN 849148-10-3 CAPLUS

L36 ANSWER 2 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1-Piperidinecarboxamide, 4-[[4-[(3-chloro-2-fluorophenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1015876 CAPLUS
DOCUMENT NUMBER: 142:23273
TITLE: Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations
INVENTOR(S): Borcherding, David R.; Gross, Alexandre; Shum, Patrick
Wai-Kwok; Willard, Nicole; Freed, Brian S.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004100946 | A1 | 20041125 | WO 2004-US13875 | 20040505 |

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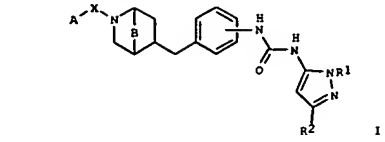
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

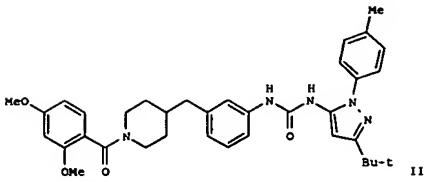
PRIORITY APPLN. INFO.: US 2003-468285P P 20030506

OTHER SOURCE(S): MARPAT 142:23273
GI

L36 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



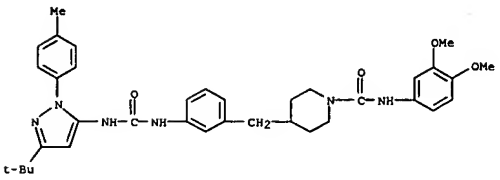
II

AB Title compds. I [wherein R1 = (cyclo)alkyl, (un)substituted aryl or pyridyl; R2 = (un)substituted (cyclo)alkyl; X = C(O), C(O)CH2, S(O)2, or NHC(O); A = (un)substituted alk(en/yn)yl; B = (CH2)n; n = 0 or 2; et al., or pharmaceutically acceptable salts, solvates or ester prodrugs thereof; or ester prodrugs of such salts or solvates], useful as inhibitors of p38 kinase and/or tumor necrosis factor (TNF), were prepared Thus, condensation of 4-methylenepiperidine hydrochloride with 2,4-dimethoxybenzoyl chloride followed by addition reaction with 9-BBN and subsequent Pd-catalyzed coupling with m-bromoaniline gave an aniline derivative This compound underwent addition reaction with 5-isocyanato-3-tert-butyl-1-(4-methylphenyl)pyrazole to afford urea II. Compds. I were tested in several biol. assays. E.g., I showed 50% inhibition at the concns. of 0.3-10000 nM in the p38 cascade assay, at the concns. of 10-50000 nM in the murine p38 assay, and at the concns. of 10-50000 nM in the LPS-induced TNFα assay. Pharmaceutical compns. comprising I are useful in the treatment of disease states capable of being modulated by the inhibition of p38 kinase and/or tumor necrosis factor (TNF), such as asthma and joint inflammation.

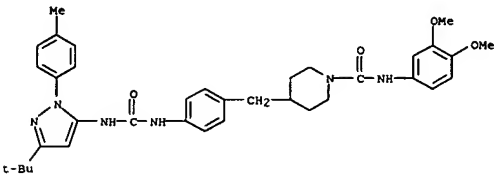
IT **799288-31-6P 799288-68-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor; preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase and/or tumor necrosis factor (TNF))

RN 799288-31-6 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-4-[[3-[[[[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]amino]carbonyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

L36 ANSWER 3 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 799288-68-9 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-4-[[4-[[[[3-(1,1-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]amino]carbonyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 4 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:878302 CAPLUS
DOCUMENT NUMBER: 141:360694
TITLE: Combination therapy using an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent for the treatment of metabolic syndrome and related diseases and disorders
INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 297 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004089416 | A2 | 20041021 | WO 2004-DK254 | 20040406 |
| WO 2004089416 | A3 | 20050303 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

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|---|---|---|
| DK 2003-565 | A | 20030411 |
| DK 2003-566 | A | 20030411 |
| DK 2003-567 | A | 20030411 |
| DK 2003-569 | A | 20030411 |
| DK 2003-570 | A | 20030411 |
| DK 2003-571 | A | 20030411 |
| US 2003-467284P | P | 20030502 |
| US 2003-467362P | P | 20030502 |
| US 2003-467363P | P | 20030502 |
| US 2003-467437P | P | 20030502 |
| US 2003-467453P | P | 20030502 |
| US 2003-467800P | P | 20030502 |
| DK 2003-776 | A | 20030522 |
| DK 2003-777 | A | 20030522 |
| US 2003-474421P | P | 20030530 |

| | | | |
|---|---|---|---|
| | US 2003-475157P | P | 20030602 |
| | DK 2003-972 | A | 20030627 |
| | DK 2003-988 | A | 20030630 |
| | DK 2003-989 | A | 20030630 |
| | DK 2003-990 | A | 20030630 |
| | DK 2003-998 | A | 20030702 |
| | US 2003-486078P | P | 20030710 |
| | US 2003-486094P | P | 20030710 |
| | US 2003-486095P | P | 20030710 |
| | US 2003-486097P | P | 20030710 |
| | US 2003-486098P | P | 20030710 |
| | DK 2003-1910 | A | 20031222 |
| | DK 2004-9 | A | 20040106 |
| | US 2004-537099P | P | 20040116 |

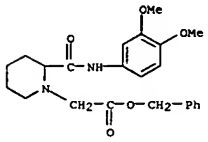
OTHER SOURCE(S): MARPAT 141:360694

AB The invention discloses combination therapy comprising the administration of an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

IT 497847-54-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent combination for treatment of metabolic syndrome and related conditions)

RN 497847-54-8 CAPLUS

CN 1-Piperidineacetic acid, 2-[[(3,4-dimethoxyphenyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)






ACCESSION NUMBER: 2004:878301 CAPLUS
DOCUMENT NUMBER: 141:360721
TITLE: Combination therapy using an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist to treat cancer and inflammation-associated diseases and to minimize the side effects associated with glucocorticoid receptor agonist therapy
INVENTOR(S): Kampen, Gitä Camilla Tejlgaard; Andersen, Henrik Sune
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 305 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004089415 | A2 | 20041021 | WO 2004-DK248 | 20040406 |
| WO 2004089415 | A3 | 20050310 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | |
|---|---|---|---|
| PRIORITY APPLN. INFO.: | DK 2003-565 | A | 20030411 |
| | DK 2003-566 | A | 20030411 |
| | DK 2003-568 | A | 20030411 |
| | DK 2003-569 | A | 20030411 |
| | DK 2003-570 | A | 20030411 |
| | DK 2003-571 | A. | 20030411 |
| | US 2003-467284P | P | 20030502 |
| | US 2003-467362P | P | 20030502 |
| | US 2003-467363P | P | 20030502 |
| | US 2003-467443P | P | 20030502 |
| | US 2003-467453P | P | 20030502 |
| | US 2003-467800P | P | 20030502 |
| | DK 2003-776 | A | 20030522 |
| | DK 2003-778 | A | 20030522 |



| | | | |
|---|---|---|---|
| | US 2003-475157P | P | 20030602 |
| | US 2003-475195P | P | 20030602 |
| | DK 2003-972 | A | 20030627 |
| | DK 2003-988 | A | 20030630 |
| | DK 2003-989 | A | 20030630 |
| | DK 2003-990 | A | 20030630 |
| | DK 2003-998 | A | 20030702 |
| | US 2003-486078P | P | 20030710 |
| | US 2003-486094P | P | 20030710 |
| | US 2003-486095P | P | 20030710 |
| | US 2003-486097P | P | 20030710 |
| | US 2003-486098P | P | 20030710 |
| | DK 2003-1910 | A | 20031222 |
| | DK 2004-9 | A | 20040106 |
| | US 2004-537099P | P | 20040116 |

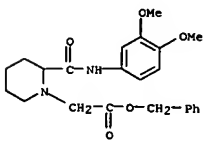
OTHER SOURCE(S): MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11β-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects associated with glucocorticoid receptor agonist therapy.

IT 497847-54-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-associated diseases and minimize side effects associated with glucocorticoid agonist therapy)

RN 497847-54-8 CAPLUS

CN 1-Piperidineacetic acid, 2-[[(3,4-dimethoxyphenyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L36 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:872724 CAPLUS
DOCUMENT NUMBER: 141:366223
TITLE: Pharmaceutical use of substituted amides as 11β-hydroxysteroid dehydrogenase type 1 modulators, especially inhibitors, for treating metabolic
INVENTOR(S): Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard; Christensen, Inge Thoger; Mogensen, John Patrick; Larsen, Annette Rosendal; Kilburn, John Paul
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004089470 | A2 | 20041021 | WO 2004-DK250 | 20040406 |
| WO 2004089470 | A3 | 20041223 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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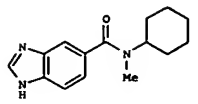
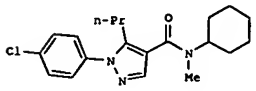
| PRIORITY APPLN. INFO.: | DK 2003-565 | A | 20030411 |
| | US 2003-467800P | P | 20030502 |
| | DK 2003-972 | A | 20030627 |
| | DK 2003-988 | A | 20030630 |
| | DK 2003-989 | A | 20030630 |
| | DK 2003-990 | A | 20030630 |
| | DK 2003-998 | A | 20030702 |
| | US 2003-486078P | P | 20030710 |
| | US 2003-486094P | P | 20030710 |
| | US 2003-486095P | P | 20030710 |
| | US 2003-486097P | P | 20030710 |
| | US 2003-486098P | P | 20030710 |
| | DK 2003-1910 | A | 20031222 |
| | DK 2004-9 | A | 20040106 |

L36 ANSWER 6 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
US 2004-537099P P 20040116

OTHER SOURCE(S): MARPAT 141:366223
GI



II

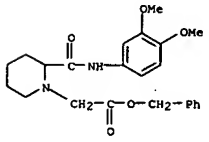


III

AB The invention is directed to the use of substituted amides of formula R3CONR1R2 (I), and their optical isomers or mixture of optical isomers, including racemates, and tautomers, their prodrugs, pharmaceutically acceptable salts, [wherein R1 = (un)substituted cyclo/hetcyclo/aryl/hetaryl/alkyl, het/aryl, etc.; R2 = H, (un)substituted aryl/cycloalkyl/alkylcarboxy/alkyl, het/aryl; or R1NR2 = (un)substituted (un)saturated bi/tricyclic ring containing 4-10 carbons, and 0-2 heteroatoms; R3 = (un)substituted cyclo/hetcyclo/aryl/alkyloxy/hetaryl/arylalkyl/alkyl, alkenyl, alkynyl, het/aryl] for modulating, especially inhibiting, the activity of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) and use of their pharmaceutical compns. in the treatment, prevention, prophylaxis of a range of medical disorders where a decreased intracellular concentration of active glucocorticoid is desirable. The invention is also directed to the preparation of certain title compds. I. For instance, acylation of 1H-benzimidazole-5-carboxylic acid with N-cyclohexyl-N-methylamine in THF in the presence of HOBT/EDAC/DIPEA gave amide II in 49% yield. Pyrazole-4-carboxamide (III) inhibited 11β-HSD1 enzyme with an IC50 = 0.04 μM. I are useful for treating metabolic disorders, type II diabetes, impaired glucose tolerance, impaired fasting glucose, dyslipidemia, obesity, hypertension, diabetic late complications, neurodegenerative and psychiatric disorders and adverse effects of treatment or therapy with glucocorticoid receptor agonists.

IT **497847-54-8P**, [2-[(3,4-Dimethoxyphenyl)carbamoyl]piperidin-1-yl]acetic acid benzyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of substituted amides as 11β-hydroxysteroid dehydrogenase type 1 modulators, esp. inhibitors, for treating metabolic disorders, type II diabetes and related diseases)

RN 497847-54-8 CAPLUS
CN 1-Piperidineacetic acid, 2-[[(3,4-dimethoxyphenyl)amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L36 ANSWER 7 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:756691 CAPLUS
DOCUMENT NUMBER: 141:260553
TITLE: Preparation of compds. having 4-pyridylalkylthio group as inhibitors of angiogenesis and vascular permeability
INVENTOR(S): Honda, Takahiro; Tajima, Hisashi; Sasabuchi, Yoshimasa; Kawashima, Kenji; Okamoto, Kazuyoshi; Yamamoto, Minoru; Ban, Masakazu
PATENT ASSIGNEE(S): Santen Pharmaceutical Co. Ltd., Japan
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2004078723 | A1 | 20040916 | WO 2004-JP2812 | 20040305 |

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| | JP 2005232149 | A2 | 20050902 | JP 2004-109503 | 20040305 |
| PRIORITY APPLN. INFO.: | | | | JP 2003-62042 | A 20030307 |
| | | | | JP 2004-11602 | A 20040120 |

OTHER SOURCE(S): MARPAT 141:260553
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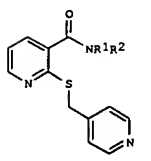


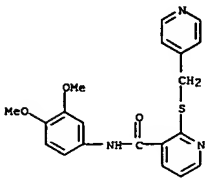
I

AB Title compds. e.g. I (R1, R2 = H, alkyl, cycloalkyl, Ph, substituted Ph, heteroaryl, etc.), useful as as inhibitors of angiogenesis and vascular permeability, are prepared Thus, stirring 2-(4-pyridylmethylthio)pyridine-3-

L36 ANSWER 7 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
carboxylic acid with 4-chloroaniline in DMF in the presence of N,N-diisopropylethylamine and O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate at room temp. for 3 h gave 91% N-(4-chlorophenyl)-2-(4-pyridylmethylthio)pyridine-3-carboxamide (II). II showed angiogenesis inhibitor activity at 20 μg/mL. Formulations contg. I were given.

IT 754219-83-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of compds. having 4-pyridylalkylthio group as inhibitors of angiogenesis and vascular permeability)

RN 754219-83-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-2-[(4-pyridinylmethyl)thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

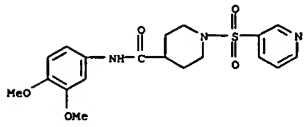
L36 ANSWER 8 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:742260 CAPLUS
DOCUMENT NUMBER: 142:273789
TITLE: Synthesis, structure, and properties of a number of 3-sulfanilamidic derivatives of pyridine
AUTHOR(S): Solov'ev, M. Yu.; Filimonov, S. I.; Skorenko, A. V.; Ivanenkov, Ya. A.; Balakin, K. B.; Dorogov, M. V.
CORPORATE SOURCE: Yaroslav. Gos. Pedagog. Univ. im. K. D. Ushinskogo, Russia
SOURCE: Izvestiya Vysshikh Uchebnykh Zavedenii, Khimiya i Khimicheskaya Tekhnologiya (2004), 47(2), 28-36
CODEN: IVUKAR; ISSN: 0579-2991
PUBLISHER: Ivanovskii Gosudarstvennyi Khimiko-Tekhnologicheskii Universitet
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB 3-Pyridinesulfochloride was synthesized by the dehydroxochloration of 3-pyridinesulfonic acid and used for the synthesis of a number of primary and secondary sulfonylamides and also (3-pyridinesulfonyl)-pyperidinecarboxylic acids and their amides NMR 1H-spectra of the synthesized compds. are described and interpreted. The rating of their potential suitability for biol. tests is carried out according to Lipinski rules and "lead-like"-conception. Also, the rating of ability of the received compds. to penetrate through the hemato-encephalic barrier was made using the special neuron-net model. The conclusion about the expediency of testing of the synthesized compds. is made for the area of development of CNS acting drugs.

IT 847401-86-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, structure, and properties of 3-sulfanilamidic derivs. of pyridine)

RN 847401-86-9 CAPLUS
CN 4-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-(3-pyridinylsulfonyl)- (9CI) (CA INDEX NAME)



L36 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN .

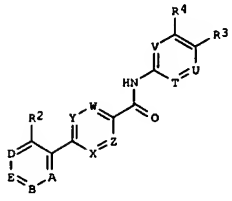
ACCESSION NUMBER: 2004:546480 CAPLUS
DOCUMENT NUMBER: 141:89019
TITLE: Substituted biphenyl-4-carboxylic acid arylamide analogues as VR1 receptors modulators
INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Darrow, James W.; De Lombaert, Stephane; Yoon, Taeyoung; Zheng, Xiaozhang
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004056774 | A2 | 20040708 | WO 2003-US40878 | 20031219 |
| WO 2004056774 | A3 | 20041104 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2510471 | AA | 20040708 | CA 2003-2510471 | 20031219 |
| EP 1575918 | A2 | 20050921 | EP 2003-800070 | 20031219 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.: US 2002-435118P P 20021219
WO 2003-US40878 W 20031219

OTHER SOURCE(S): MARPAT 141:89019
GI

L36 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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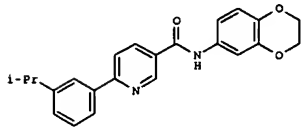


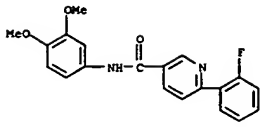
II

AB The title compds. [such as I; A, B, D, E, W, X, Y, Z = CR1, N; T, U, V = CR8, N; R1 = halo, CN, NO2, etc.; R2 = NO2, CN, NHOH, etc.; R3, R4 = H, halo, alkyl, etc.; R8 = H, halo, OH, etc.] which are capable of modulating capsaicin receptor activity (biol. data given), are provided. E.g., the nicotinamide II was prepared starting from 3-isopropylphenylboronic acid, Me 6-chloronicotinate and 2,3-dihydrobenzo[1,4]dioxin-6-ylamine. Such ligands may be used to modulate receptor activity in vivo or in vitro, and are particularly useful in the treatment of pain and other conditions associated with receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for treating such disorders are provided, as are methods for using such ligands for receptor localization studies.

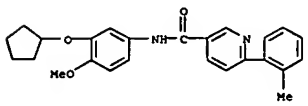
IT 717114-02-8P 717114-32-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biphenyl-4-carboxylic acid arylamide analogs as VR1 receptors modulators for treating pain associated with various conditions)

RN 717114-02-8 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-6-(2-fluorophenyl)- (9CI) (CA INDEX NAME)

L36 ANSWER 9 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



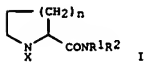
RN 717114-32-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-6-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L36 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:2852 CAPLUS
DOCUMENT NUMBER: 140:59520
TITLE: Preparation of pyrrolidine and piperidinecarboxamides as inhibitors of phosphodiesterase IV (PDE 4)
INVENTOR(S): Egerland, Ute; Rueger, Carla; Schindler, Rudolf; Rundfeldt, Chris; Kuss, Hildegard; Lichoscherstow, Arkadi M.; Seredenin, Sergey B.; Borissenko, Sergey A.
PATENT ASSIGNEE(S): Elbion A.-G., Germany
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

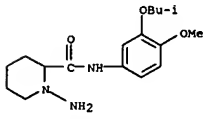
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000806 | A1 | 20031231 | WO 2003-EP6590 | 20030623 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10228132 | A1 | 20040122 | DE 2002-10228132 | 20020624 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10228132 A | 20020624 |

OTHER SOURCE(S): MARPAT 140:59520
GI



AB Title compds. [I; n = 1, 2; X = NH2, N:CR3R4; NHCHR3R4; NR3CHR3R4; NHCH2R4, NHCOR4; R1, R4 = (substituted) 3-14 membered (saturated) (poly)cyclyl; 5-15 membered (saturated) (poly)heterocyclyl; R2 = H, (substituted) (branched) alkyl, PhCH2; NR1R2 = (substituted) heterocyclyl, R3 = H, (substituted) (branched) alkyl], were prepared Thus, 1-amino-pyrrolidine-2-carboxylic acid, 2,6-dichlorophenylamide, and 3,4-dimethoxybenzaldehyde in 2-propanol were refluxed for 4 h to give 84% N-(2,6-dichlorophenyl)-(E)-1-([(3,4-dimethoxyphenyl)methylene]amino)pyrrolidine-2-carboxamide. Several I at 114-5,000 nmol/L inhibited PDE 4 with IC50 = 32.4-79.6%.
IT 485394-42-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrrolidine and piperidinecarboxamides as inhibitors of

L36 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
phosphodiesterase IV (PDE 4))
RN 485394-42-1 CAPLUS
CN 2-Piperidinecarboxamide, 1-amino-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)



IT 473576-67-9P 638206-79-8P 638206-80-1P
638206-82-3P 638206-83-4P 638206-85-6P
638206-86-7P 638206-87-8P 638206-88-9P
638206-92-5P 638206-93-6P 638206-94-7P
638206-95-8P 638206-96-9P 638206-97-0P
638206-98-1P 638206-99-2P 638207-01-9P
638207-02-0P 638207-04-2P 638207-05-3P
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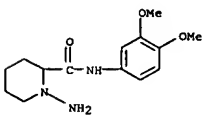
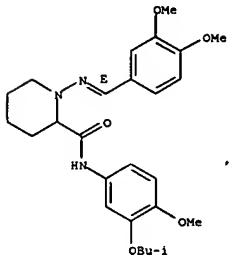
638207-23-5P 638207-24-6P 638207-25-7P
638207-26-8P 638207-28-0P 638207-30-4P
638207-32-6P 638207-33-7P 638207-36-0P
638207-37-1P 638207-41-7P 638207-42-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine and piperidinecarboxamides as inhibitors of
phosphodiesterase IV (PDE 4))
RN 473576-67-9 CAPLUS
CN 2-Piperidinecarboxamide, 1-amino-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 638206-79-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(3,4-dimethoxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

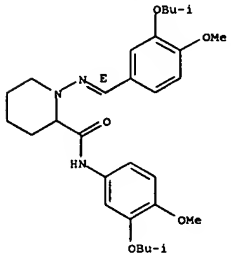
Double bond geometry as shown.

L36 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



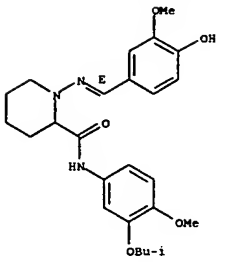
RN 638206-80-1 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-[[4-methoxy-3-(2-methylpropoxy)phenyl]methylene]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



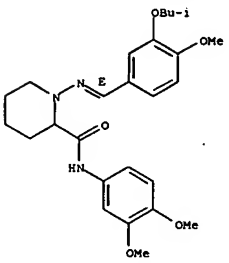
RN 638206-82-3 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(4-hydroxy-3-methoxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 638206-83-4 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[[4-methoxy-3-(2-methylpropoxy)phenyl]methylene]amino]- (9CI) (CA INDEX NAME)

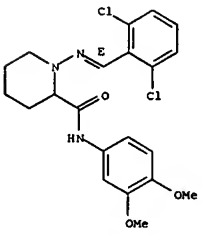
Double bond geometry as shown.



RN 638206-85-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(3-hydroxy-4-methoxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

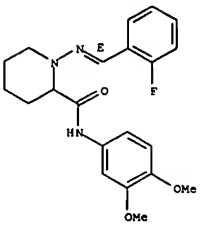
Double bond geometry as shown.





RN 638206-88-9 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[(2-fluorophenyl)methylene]amino]- (9CI) (CA INDEX NAME)

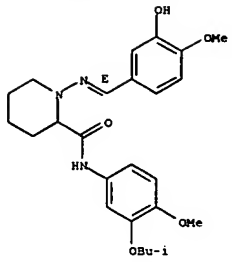
Double bond geometry as shown.



RN 638206-92-5 CAPLUS
CN Benzoic acid, 4-[(E)-[[2-[[[4-methoxy-3-(2-methylpropoxy)phenyl]amino]carbonyl]-1-piperidinyl]imino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

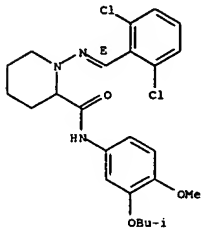
Double bond geometry as shown.





RN 638206-86-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(2,6-dichlorophenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

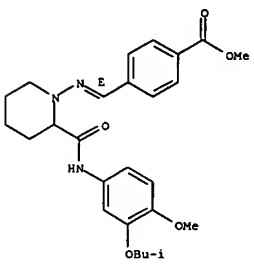
Double bond geometry as shown.



RN 638206-87-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(2,6-dichlorophenyl)methylene]amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

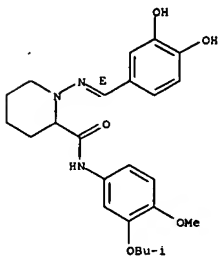
Double bond geometry as shown.





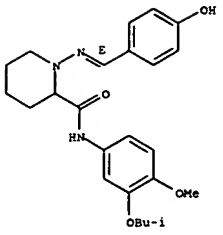
RN 638206-93-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(3,4-dihydroxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



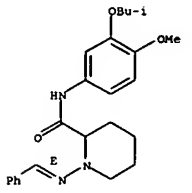
RN 638206-94-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[(4-hydroxyphenyl)methylene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 638206-95-8 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(phenylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



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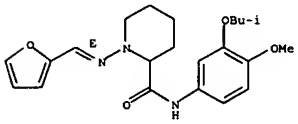
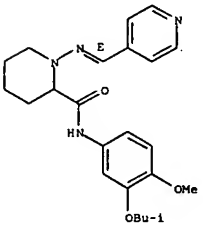
Double bond geometry as shown.



RN 638206-97-0 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(2-

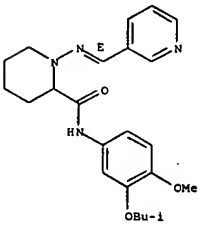

pyridinylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 638207-02-0 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(3-pyridinylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

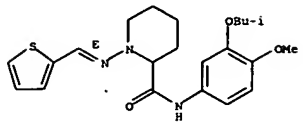


RN 638207-04-2 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-[(2,3,4-trimethoxyphenyl)methylene]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

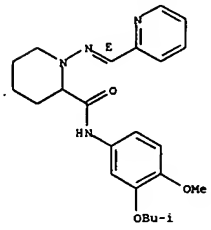

thienylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



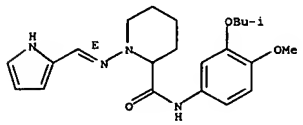
RN 638206-98-1 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(2-pyridinylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



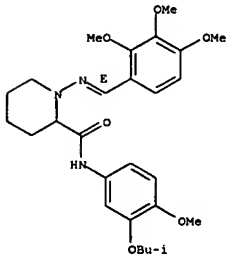
RN 638206-99-2 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(1H-pyrrol-2-ylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



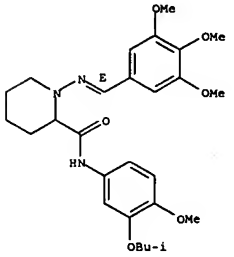
RN 638207-01-9 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(4-





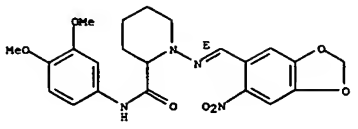
RN 638207-05-3 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-[(3,4,5-trimethoxyphenyl)methylene]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



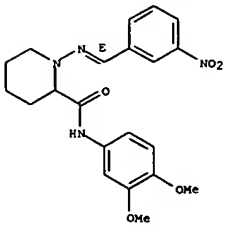
RN 638207-07-5 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[(6-nitro-1,3-benzodioxol-5-yl)methylene]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



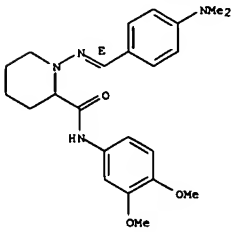
RN 638207-08-6 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[(3-nitrophenyl)methylene]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 638207-09-7 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[[4-(dimethylamino)phenyl]methylene]amino]- (9CI) (CA INDEX NAME)

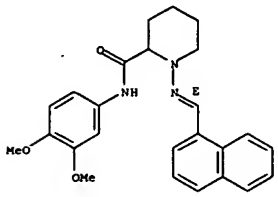
Double bond geometry as shown.





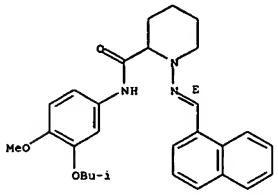
RN 638207-10-0 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-(1-naphthalenylmethylene)amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 638207-11-1 CAPLUS
CN 2-Piperidinecarboxamide, N-[4-methoxy-3-(2-methylpropoxy)phenyl]-1-[(E)-(1-naphthalenylmethylene)amino]- (9CI) (CA INDEX NAME)

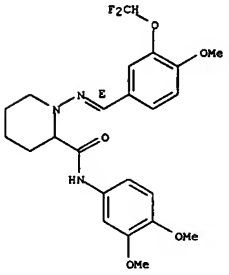
Double bond geometry as shown.



RN 638207-22-4 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[[3-(difluoromethoxy)-4-methoxyphenyl]methylene]amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

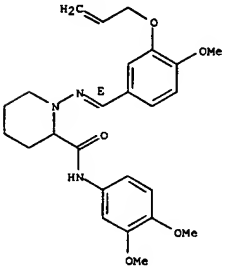
Double bond geometry as shown.





RN 638207-23-5 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[[4-methoxy-3-(2-propenyloxy)phenyl]methylene]amino]- (9CI) (CA INDEX NAME)

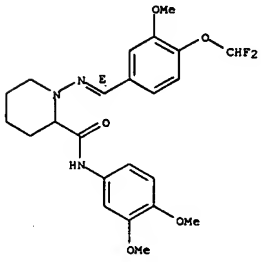
Double bond geometry as shown.



RN 638207-24-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[[4-(difluoromethoxy)-3-methoxyphenyl]methylene]amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

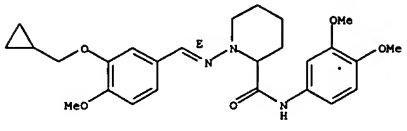
Double bond geometry as shown.





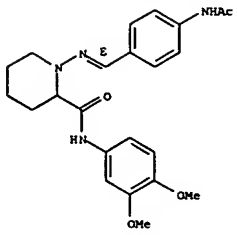
RN 638207-25-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[[3-(cyclopropylmethoxy)-4-methoxyphenyl]methylene]amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 638207-26-8 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-[[4-(acetylamino)phenyl]methylene]amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

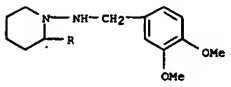
Double bond geometry as shown.

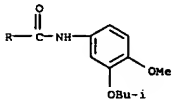


RN 638207-28-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[[(3,4-dimethoxyphenyl)methyl]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 353778-71-9
CMF C26 H37 N3 O5



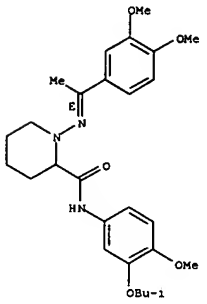


CM 2

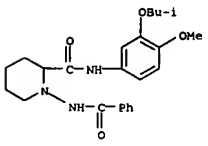
CRN 144-62-7
CMF C2 H2 O4

RN 638207-30-4 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[[[4-methoxy-3-(2-

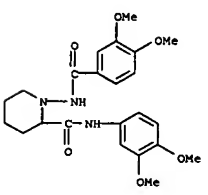




RN 638207-33-7 CAPLUS
CN 2-Piperidinecarboxamide, 1-(benzoylamino)-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)



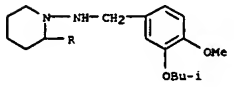
RN 638207-36-0 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(3,4-dimethoxybenzoyl)amino]-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

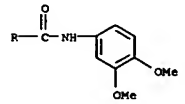





CM 1

CRN 638207-29-1
CMF C26 H37 N3 O5





CM 2

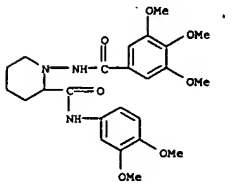
CRN 144-62-7
CMF C2 H2 O4

RN 638207-32-6 CAPLUS
CN 2-Piperidinecarboxamide, 1-[(E)-(1-(3,4-dimethoxyphenyl)ethylidene]amino]-N-[4-methoxy-3-(2-methylpropoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

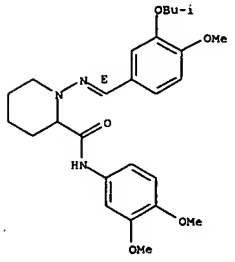


RN 638207-37-1 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(3,4,5-trimethoxybenzoyl)amino]- (9CI) (CA INDEX NAME)



RN 638207-41-7 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[[4-methoxy-3-(2-methylpropoxy)phenyl]methylene]amino]-, (-)- (9CI) (CA INDEX NAME)

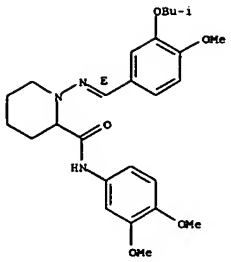
Rotation (-).
Double bond geometry as shown.



RN 638207-42-8 CAPLUS
CN 2-Piperidinecarboxamide, N-(3,4-dimethoxyphenyl)-1-[(E)-[[4-methoxy-3-(2-methylpropoxy)phenyl]methylene]amino]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).
Double bond geometry as shown.

L36 ANSWER 10 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L36 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:855655 CAPLUS
DOCUMENT NUMBER: 139:350636
TITLE: Preparation of amino heteroaryl amides for use in pharmaceutical compositions for the treatment of angiogenesis mediated diseases such as cancer
INVENTOR(S): Patel, Vinod F.; Askew, Benny; Booker, Shon; Chen, Guoqing; Dipietro, Lucian V.; Germain, Julie; Habgood, Gregory J.; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Riahi, Babak; Yuan, Chester Chenguang; Elbaum, Daniel
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 148 pp., Cont.-in-part of U.S. Ser. No. 46,622.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

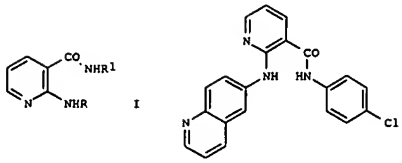
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2003203922 | A1 | 20031030 | US 2002-197918 | 20020717 |
| US 2003195230 | A1 | 20031016 | US 2002-46622 | 20020110 |
| CN 1538836 | A | 20041020 | CN 2002-806467 | 20020111 |
| ZA 2003005198 | A | 20040630 | ZA 2003-5198 | 20030704 |
| CA 2492045 | AA | 20040122 | CA 2003-2492045 | 20030715 |
| WO 2004007481 | A2 | 20040122 | WO 2003-US22275 | 20030715 |
| WO 2004007481 | A3 | 20040219 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1562933 | A2 | 20050817 | EP 2003-764755 | 20030715 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 2001-261882P | P | 20010112 |
| US 2001-323808P | P | 20010919 |
| US 2002-46622 | A2 | 20020110 |
| US 2002-197918 | A | 20020717 |
| WO 2003-US22275 | W | 20030715 |

OTHER SOURCE(S): MARPAT 139:350636
GI

L36 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

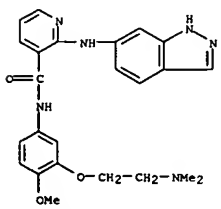


I II

AB Amino substituted heteroaryl amides, such as I [R = nitrogen containing heteroaryl, such as quinolinyl, isoquinolinyl, indazolyl; R1 = aryl, cycloalkyl, heteroaryl, heterocyclyl], were prepared for therapeutic use. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of cancer, angiogenesis related disorders, KDR-related disorders, cell proliferation related disorders, inflammation, reducing blood flow in tumors, reducing tumor size and diabetic retinopathy. Thus, amide II was prepared via an amination reaction of 2-chloronicotinic acid with 6-aminoquinoline followed by an amidation reaction of the aminonicotinic acid derivative thus formed with 4-chloroaniline. Biol. evaluations included HUVEC proliferation assay, inhibition of angiogenesis in the rat corneal neovascularization micropocket model, and antitumor activity using A431 rat tumor cells.

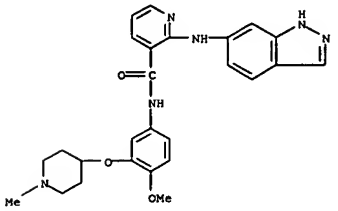
IT 454481-04-0P 454481-05-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminopyridinecarboxamides for therapeutic use in treatment of angiogenesis mediated diseases such as cancer)

RN 454481-04-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-(dimethylamino)ethoxy]-4-methoxyphenyl]-2-(1H-indazol-6-ylamino)- (9CI) (CA INDEX NAME)



RN 454481-05-1 CAPLUS
CN 3-Pyridinecarboxamide, 2-(1H-indazol-6-ylamino)-N-[4-methoxy-3-[(1-methyl-

L36 ANSWER 11 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

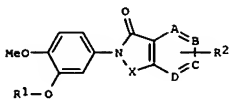


L36 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:793602 CAPLUS
DOCUMENT NUMBER: 137:294952
TITLE: Preparation of 3-cyclopentyloxy-4-methoxyphenyl benzoisothiazolinones as tumor necrosis factor-α (TNF-α) or cAMP phosphodiesterase IV (PDE 4) inhibitors
INVENTOR(S): Park, Joon-Seok; Byun, Young-Seok; Moon, Seong-Cheol
PATENT ASSIGNEE(S): Daewoong Pharmaceutical Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002081447 | A1 | 20021017 | WO 2001-KR579 | 20010406 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: WO 2001-KR579 20010406

OTHER SOURCE(S): MARPAT 137:294952
GI



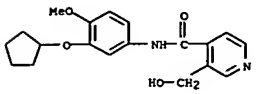
I

AB The title compds. [I; R1 = alkyl, cycloalkyl, arylalkyl, etc.; R2 = H, halo, OH, etc.; X = O, C, CO, S, etc.; A, B, C, D = C, N, N-oxide] having the activity to inhibit tumor necrosis factor-α (TNF-α) or cAMP phosphodiesterase IV (PDE 4), and therefore possessing important biol. therapeutic effect on inflammatory and autoimmune diseases associated with a detrimental excess of TNF-α, were prepared and formulated. Thus, reacting 6-(aminomethyl)-2-(3-cyclopentyloxy-4-methoxyphenyl)-1-isoindolinone with 1-oxo-1H-1λ4-benzo[1,2]dithiol-3-one (prepns. given) in CH2Cl2 afforded 76% I [R1 = cyclopentyl; R2 = H; X = S; A-D = C] which showed 68.5% inhibition of TNF-α synthesis in vitro.

IT 214070-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-cyclopentyloxy-4-methoxyphenyl benzoisothiazolinones as

L36 ANSWER 12 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
tumor necrosis factor-α (TNF-α) or cAMP phosphodiesterase IV (PDE 4) inhibitors)

RN 214070-87-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-3-(hydroxymethyl)- (9CI) (CA INDEX NAME)



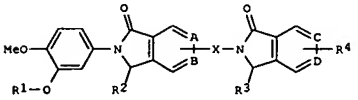
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:793601 CAPLUS
DOCUMENT NUMBER: 137:310811
TITLE: Preparation of 2-(3-cyclopentyloxy-4-methoxyphenyl)isoindolinones as tumor necrosis factor-α (TNF-α) or cAMP phosphodiesterase IV (PDE 4) inhibitors
INVENTOR(S): Park, Joon-Seok; Byun, Young-Seok
PATENT ASSIGNEE(S): Daewoong Pharmaceutical Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

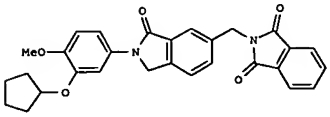
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002081446 | A1 | 20021017 | WO 2001-KR578 | 20010406 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: WO 2001-KR578 20010406

OTHER SOURCE(S): MARPAT 137:310811
GI



I



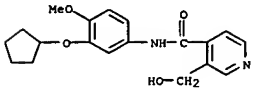
II

AB The title compds. [I; R1 = alkyl, cycloalkyl, arylalkyl, etc.; R2, R3 = H, OH, O, etc.; R4 = H, halo, OH, etc.; X = O, C, CO, NH, CONH; A, B, C, D = C, N, N-oxide] possessing important biol. therapeutic effect on

L36 ANSWER 13 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
inflammatory and autoimmune diseases assocd. with a detrimental excess of TNF-α, were prepd. and formulated. Thus, reacting 2-(3-cyclopentyloxy-4-methoxyphenyl)-6-(hydroxymethyl)-1-isoindolinone (prepn. given) and phthalimide in the presence of triphenylphosphine and di-Et azodicarboxylate in THF afforded 85% II which showed 79.3% inhibition of TNFα synthesis in vitro.

IT 214070-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-(3-cyclopentyloxy-4-methoxyphenyl)isoindolinones as tumor necrosis factor-α (TNF-α) or cAMP phosphodiesterase IV (PDE 4) inhibitors)

RN 214070-87-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-3-(hydroxymethyl)- (9CI) (CA INDEX NAME)



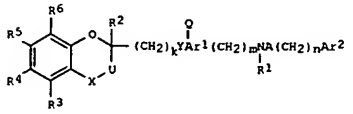
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:728847 CAPLUS
DOCUMENT NUMBER: 137:257628
TITLE: Antitumor agents containing novel chroman derivatives
INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Kurakata, Shinichi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 101 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2002275064 | A2 | 20020925 | JP 2002-5560 | 20020115 |
| PRIORITY APPLN. INFO.: | | | JP 2001-6574 A | 20010115 |

OTHER SOURCE(S): MARPAT 137:257628
GI



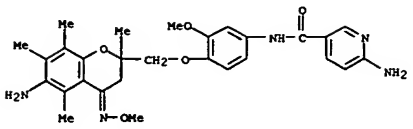
I

AB The invention provides chroman derivs. I (R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, etc.; R3, R4, R5, R6 = H, C1-6 alkyl, etc.; X = single bond, CO, C:NOR7, etc.; R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, etc.; A = CO, SO2; U = CH2, etc.; Y = O, S; Q = H, nitro, OH, etc.; k = 1-6; m, n = 0-8; Ar1 = benzene ring, etc.; Ar2 = benzene ring, etc.) as antitumor agents. The antitumor effect of N-[2-[4-(6-acetoxy-4-oxo-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]ethyl]-nicotinamide in SK-N-MC and D283-Med cells was examined Also, a capsule containing N-[4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]-nicotinamide 100 mg was prepared

IT **461657-84-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chroman derivs. as antitumor agents)

RN 461657-84-1 CAPLUS
CN 3-Pyridinecarboxamide, 6-amino-N-[4-[[6-amino-3,4-dihydro-4-(methoxyimino)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

L36 ANSWER 14 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



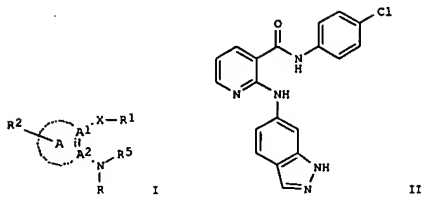
L36 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:676007 CAPLUS
DOCUMENT NUMBER: 137:216945
TITLE: Preparation of substituted 2-(1H-indazol-6-ylamino)nicotinamides for treating KDR-related diseases
INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Croghan, Michael; Dipietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Huang, Qi; Kim, Joseph L.; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang; Kim, Tae-Seong
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 395 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002068406 | A2 | 20020906 | WO 2002-US3064 | 20020111 |
| WO 2002068406 | A3 | 20030424 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003195230 | A1 | 20031016 | US 2002-46622 | 20020110 |
| CA 2434178 | AA | 20020906 | CA 2002-2434178 | 20020111 |
| EE 200300325 | A | 20031215 | EE 2003-325 | 20020111 |
| JP 2004527499 | T2 | 20040909 | JP 2002-567920 | 20020111 |
| CN 1538836 | A | 20041020 | CN 2002-806467 | 20020111 |
| EP 1467721 | A2 | 20041020 | EP 2002-723086 | 20020111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| ZA 2003005198 | A | 20040630 | ZA 2003-5198 | 20030704 |
| BG 108013 | A | 20040430 | BG 2003-108013 | 20030721 |
| PRIORITY APPLN. INFO.: | | | US 2001-261882P P | 20010112 |
| | | | US 2001-323808P P | 20010919 |
| | | | US 2002-46622 A | 20020110 |
| | | | WO 2002-US3064 W | 20020111 |

OTHER SOURCE(S): MARPAT 137:216945
GI

L36 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

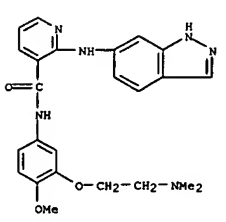


I II

AB The title compds. [I; each of A1 and A2 = C, CH, N; A = 5-6 membered partially saturated heterocyclyl, 5-6 membered heteroaryl, 9-11 membered fused partially saturated heterocyclyl, etc.; X = C(:Z)N(R5a)R4; Z = O, S; R = (un)substituted 4-6 membered heterocyclyl, aryl, fused 9-14 membered bicyclic or tricyclic heterocyclyl; R1 = (un)substituted 6-10 membered aryl, 4-6 membered heterocyclyl, cycloalkyl, etc.; R2 = H, halo, cycloalkyl, etc.; R4 = a bond, alkylene, alkenylene, etc.; R5 = H, alkyl, (un)substituted Ph, aralkyl; R5a is not defined] which are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases, were prepared Thus, heating N-(4-chlorophenyl)-2-chloro-3-pyridinecarboxamide with 6-aminoindazole at 150° for 2 h afforded II which inhibited VEGF-stimulated HUVEC proliferation at level below 50 nM. Compds. I showed inhibition of KDR at doses less than 50 μM.

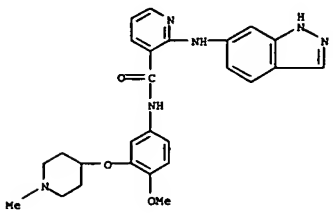
IT **454481-04-0P 454481-05-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 2-(1H-indazol-6-ylamino)nicotinamides for treating KDR-related diseases)

RN 454481-04-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-(dimethylamino)ethoxy]-4-methoxyphenyl]-2-(1H-indazol-6-ylamino)- (9CI) (CA INDEX NAME)



RN 454481-05-1 CAPLUS

L36 ANSWER 15 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 3-Pyridinecarboxamide,
2-(1H-indazol-6-ylamino)-N-[4-methoxy-3-[(1-methyl-
4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



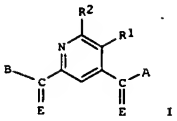
L36 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:637657 CAPLUS
DOCUMENT NUMBER: 137:185420
TITLE: Preparation of pyridinedicarboxamide and
-dicarboxylic
acid derivatives as selective MMP-13 matrix
metalloproteinase inhibitors with therapeutic uses
INVENTOR(S): Barvian, Nicole Chantel; Connor, David Thomas;
O'brien, Patrick Michael; Ortwine, Daniel Fred; Patt,
William Chester; Shuler, Kevon Ray; Wilson, Michael
William
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002064568 | A1 | 20020822 | WO 2002-IB345 | 20020204 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2434982 | AA | 20020822 | CA 2002-2434982 | 20020204 |
| EP 1362033 | A1 | 20031119 | EP 2002-716263 | 20020204 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300391 | A | 20031215 | EE 2003-391 | 20020204 |
| BR 2002007863 | A | 20040427 | BR 2002-7863 | 20020204 |
| JP 2004529878 | T2 | 20040930 | JP 2002-564501 | 20020204 |
| CN 1537101 | A | 20041013 | CN 2002-804945 | 20020204 |
| US 2002161000 | A1 | 20021031 | US 2002-71073 | 20020208 |
| US 6881743 | B2 | 20050419 | | |
| ZA 2003006041 | A | 20041105 | ZA 2003-6041 | 20030805 |
| NO 2003003570 | A | 20030812 | NO 2003-3570 | 20030812 |
| BG 108089 | A | 20050131 | BG 2003-108089 | 20030813 |
| US 2004209922 | A1 | 20041021 | US 2004-842863 | 20040510 |

PRIORITY APPLN. INFO.:
US 2001-268781P P 20010214
WO 2002-IB345 W 20020204
US 2002-71073 A3 20020208

OTHER SOURCE(S): MARPAT 137:185420
GI

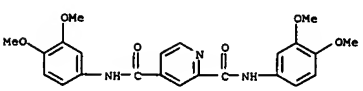
L36 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Selective MMP-13 inhibitors are pyridine derivs. (I; e.g. pyridine-2,4-dicarboxylic acid bis(3-methoxybenzylamide)) or a pharmaceutically acceptable salt thereof, wherein: R1 and R2 independently are H, halo, hydroxy, C1-C6 alkyl, C1-C6 alkoxy, C2-C6 alkenyl, C2-C6 alkynyl, NO2, NR4R5, CN, or CF3; E is independently O or S; A and B independently are OR4 or NR4R5; R4 and R5 independently are H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkynyl, (CH2)n aryl, (CH2)n cycloalkyl, (CH2)n heteroaryl, or R4 and R5 when taken together with the N to which they are attached complete a 3- to 8-membered ring containing C atoms and optionally containing a heteroatom selected from O, S, or NH, and optionally substituted or unsubstituted; n is 0 to 6. Although I and other Markush structures in the patent show 2,4- derivs., many specific 3,5- derivs. are included in the claims and examples. Combinatorial and non-combinatorial methods were used to prepare numerous claimed compds. and characterization data is reported for about 90 compds. IC50 values for various claimed compds. show the selectivity towards MMP-13 vs. MMP-1 and MMP-3 and the potent MMP-13 inhibitory activity (e.g. 0.033 μM for pyridine-2,4-dicarboxylic acid bis[((1,3-benzodioxol-5-yl)methyl)amide]).

IT 449734-70-7P, Pyridine-2,4-dicarboxylic acid bis[(3,4-dimethoxyphenyl)amide]
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of pyridine-2,4-dicarboxamide and -dicarboxylic acid derivs. as selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses)

RN 449734-70-7 CAPLUS
CN 2,4-Pyridinedicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



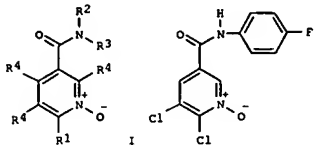
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 16 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L36 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:521710 CAPLUS
DOCUMENT NUMBER: 137:93690
TITLE: Preparation of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist for the treatment of inflammation due to neutrophil chemotaxis
INVENTOR(S): Cutshall, Neil S.; Yager, Kraig M.
PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002053544 | A1 | 20020711 | WO 2001-US47543 | 20011212 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003004189 | A1 | 20030102 | US 2001-15861 | 20011212 |
| US 2005026965 | A1 | 20050203 | US 2004-781340 | 20040217 |
| PRIORITY APPLN. INFO.: | | | US 2000-258730P P | 20001229 |
| | | | US 2001-15861 A3 | 20011212 |

OTHER SOURCE(S): MARPAT 137:93690
GI



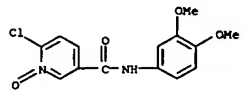
I II

AB Title compds. I, their optical isomers, diastereomers, enantiomers and pharmaceutically acceptable salts [wherein: R1 = R5, R5-heteroalkylene; R5 = H, halo, alkyl, heteroalkyl, etc.; R2, R3 = H, alkyl, heteroalkyl, aryl, etc.; R4 = H, halo, alkyl, heteroalkyl, etc.] were claimed. For example, hydrogen peroxide mediated N-oxidation of 2-chloro-N-(4-fluorophenyl)-6-methylnicotinamide provided claimed oxynicotinamide II in 10% yield. Nicotinanilide N-oxides I are disclosed to inhibit chemokine-mediated cellular and inflammation events. Specific binding of 95 claimed examples

L36 ANSWER 17 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
to human interleukin 8 and human growth-regulatory oncogene-α (GRO-α) chemokine were reported as < or > 40% at 20 μM ligand concn., e.g., compd. II > 40% for GRO-α, were disclosed. Also, the specific binding of 9 claimed examples to human chemokine CCR5, human interleukin-CXCR1, human interleukin-CXCR2, human neuropeptide Y1 and somatostatin, e.g., compd. II: < 40% for CCR5, somatostatin; > 40% for CXCR1, CXCR2; no data for NYP1, were disclosed. A method for the identification of nicotinanilide-N-oxides. I receptors from cell or cellular components and the isolation of compds. I which bind to TNF-α signaling proteins via affinity bead chromatog. and surface plasmon resonance (SPR) are claimed (no data).

IT 442134-54-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist)

RN 442134-54-5 CAPLUS
CN 3-Pyridinecarboxamide, 6-chloro-N-(3,4-dimethoxyphenyl)-, 1-oxide (9CI) (CA INDEX NAME)



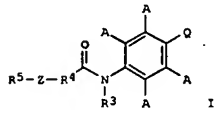
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:107327 CAPLUS
DOCUMENT NUMBER: 136:167394
TITLE: Preparation of carboxamide compounds and their use as antagonists of a human 11CBY receptor
INVENTOR(S): Johnson, Christopher Norbert; Jones, Martin; O'Toole, Catherine Anne; Stemp, Geoffrey; Thewlis, Kevin Michael; Witty, David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002010146 | A1 | 20020207 | WO 2001-EP8637 | 20010726 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2417638 | AA | 20020207 | CA 2001-2417638 | 20010726 |
| EP 1305304 | A1 | 20030502 | EP 2001-956562 | 20010726 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001012856 | A | 20030701 | BR 2001-12856 | 20010726 |
| JP 2004505070 | T2 | 20040219 | JP 2002-515877 | 20010726 |
| ZA 2003000262 | A | 20040413 | ZA 2003-262 | 20030109 |
| NO 2003000471 | A | 20030328 | NO 2003-471 | 20030130 |
| BG 107510 | A | 20030930 | BG 2003-107510 | 20030130 |
| US 2004063686 | A1 | 20040401 | US 2003-343424 | 20030930 |
| PRIORITY APPLN. INFO.: | | | GB 2000-18758 A | 20000731 |
| | | | GB 2001-12544 A | 20010523 |
| | | | WO 2001-EP8637 W | 20010726 |

OTHER SOURCE(S): MARPAT 136:167394
GI

L36 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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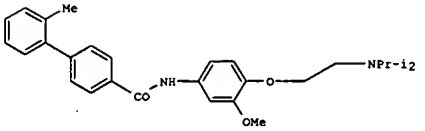


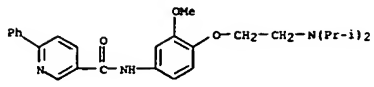
II

AB Title compds. [I; A = H, C1-6alkyl optionally substituted by hydroxyl, C1-6alkoxy, C1-6alkenyl, C1-6 acyl, halogeno, OH, CN, CF3; R3 = H, CH3, CH3CH2; R4 = aromatic carbocycle, heterocycle; Z = O, S, NH, CH2, single bond, at the 3 or 4 position of R4 relative to the carbonyl group; R5 = aromatic carbocycle, heterocycle; Q = XYNR1R2; X = O, S; Y = C2-4 alkylene, C5-6 cycloalkylene; R1, R2 independently = C1-6 alkyl, phenyl-C1-6 alkyl; R1R2 = 5-, 6-, 7-membered ring optionally containing one or more heteroatom selected from O, S, N; etc.], pharmaceutically acceptable salts, and solvate are prepared and as antagonists of a human 11CBY receptor. Title compds. and pharmaceutical composition are useful in the treatment and/or prophylaxis of one or more of the disorder, such as, major depression, manic depression, anxiety, etc. Thus, the title compound II was prepared from 2'-methyl-biphenyl-4-carboxylic acid and 4-(2-diisopropylamino-ethoxy)-3-methoxy-phenylamine in DMF in the presence of 1-(3-dimethylaminopropyl)-3-Et carbodiimide hydrochloride and 1-hydroxy-7-azabenzotriazole.

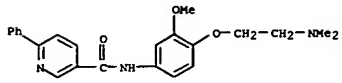
IT 395679-05-7P 395679-21-7P 395679-63-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxamide compds. as antagonists of human 11CBY receptor)

RN 395679-05-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-[bis(1-methylethyl)amino]ethoxy]-3-methoxyphenyl]-6-phenyl- (9CI) (CA INDEX NAME)

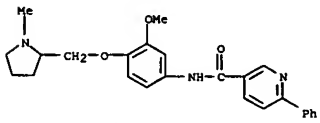
L36 ANSWER 18 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 395679-21-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[4-[2-(dimethylamino)ethoxy]-3-methoxyphenyl]-6-phenyl- (9CI) (CA INDEX NAME)



RN 395679-63-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-methoxy-4-[(1-methyl-2-pyrrolidinyl)methoxy]phenyl]-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

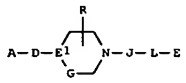
FORMAT

L36 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:71877 CAPLUS
DOCUMENT NUMBER: 136:134783
TITLE: Preparation of piperazine(or piperidine)-1-carboxamides as CCR5 modulators
INVENTOR(S): Bondinell, William E.; Neeb, Michael J.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002005819 | A1 | 20020124 | WO 2001-US22529 | 20010713 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001080599 | A5 | 20020130 | AU 2001-80599 | 20010713 |
| EP 1313477 | A1 | 20030528 | EP 2001-958995 | 20010713 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004038982 | A1 | 20040226 | US 2003-343880 | 20030205 |
| PRIORITY APPLN. INFO.: | | | US 2000-218509P | P 20000715 |
| | | | WO 2001-US22529 | W 20010713 |

OTHER SOURCE(S): MARPAT 136:134783
GI



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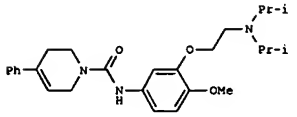


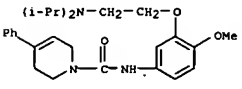
II

L36 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

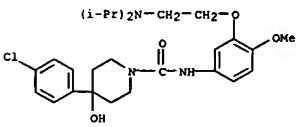
AB The title compds. [I; the basic N atom in moiety E may be optionally quaternized with alkyl or optionally present as the N-oxide; A = (un)substituted (hetero)aryl or (hetero)aryl fused to a saturated or partly unsatd. 5-7 membered ring; D = a bond, CO, SO2, etc.; E1G = NC(R26)2, NC(R26)2C(R26)2, CR27C(R26)2, C:CR26; R26 = H, alkyl; R27 = H, CN, NO2, etc.; R = H, alkyl, O; J = CO, SO2; L = NR30, O, C(R30)2; R30 = H, alkyl; E = 3-(2-diisopropylamino)ethoxy-4-methoxyphenyl, etc.] which are modulators, agonists or antagonists, of the CCR5 receptor, and therefore are useful in the treatment and prevention of disease states mediated by CCR5, including, but not limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis, or idiopathic pulmonary fibrosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, treating and/or preventing rejection of transplanted organs, and inflammatory bowel disease, were prepared Thus, treating 4-phenyl-1,2,3,6-tetrahydropyridine.HCl with triphosgene in the presence of Et3N in CH2Cl2 followed by addition of 3-(2-diisopropylamino)ethoxy-4-methoxyaniline afforded II. The compds. I showed CCR5 receptor modulator activity having IC50 values in the range of 0.0001-100 μM. Furthermore, since CD8+ T cells have been implicated in COPD, CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also, since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor modulators may be useful in the treatment of HIV infection.

IT **286387-78-8P 391881-92-8P 391881-93-9P**
**391881-94-0P 391881-95-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine(or piperidine)-1-carboxamides as CCR5 modulators)

RN 286387-78-8 CAPLUS
CN 1(2H)-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-3,6-dihydro-4-phenyl- (9CI) (CA INDEX NAME)

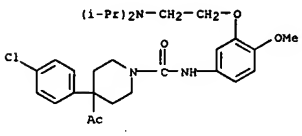


RN 391881-92-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(4-chlorophenyl)-4-hydroxy- (9CI) (CA INDEX NAME)

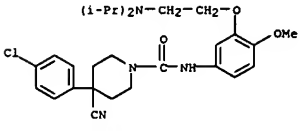


L36 ANSWER 19 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

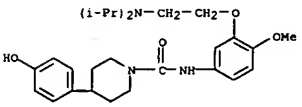
RN 391881-93-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-acetyl-N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 391881-94-0 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(4-chlorophenyl)-4-cyano- (9CI) (CA INDEX NAME)



RN 391881-95-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

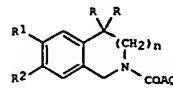
FORMAT

L36 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:881143 CAPLUS
DOCUMENT NUMBER: 134:42075
TITLE: Preparation of novel isoquinoline derivatives as If current inhibitors
INVENTOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Okazaki, Toshio; Masuda, Noriyuki; Wada, Koichi
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000075133 | A1 | 20001214 | WO 2000-JP3564 | 20000601 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2373880 | AA | 20001214 | CA 2000-2373880 | 20000601 |
| EP 1186601 | A1 | 20020313 | EP 2000-931652 | 20000601 |
| EP 1186601 | B1 | 20040324 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CN 1136213 | B | 20040128 | CN 2000-808270 | 20000601 |
| AT 262518 | E | 20040415 | AT 2000-931652 | 20000601 |
| PT 1186601 | T | 20040630 | PT 2000-931652 | 20000601 |
| ES 2214276 | T3 | 20040916 | ES 2000-931652 | 20000601 |
| US 6573279 | B1 | 20030603 | US 2001-980402 | 20011203 |
| PRIORITY APPLN. INFO.: | | | JP 1999-156217 A | 19990603 |
| | | | WO 2000-JP3564 W | 20000601 |

OTHER SOURCE(S): MARPAT 134:42075
GI

L36 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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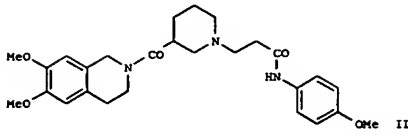
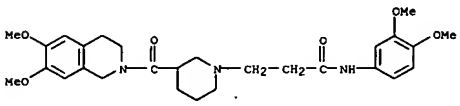


II

AB Title compds. [I; R = H, CH3; R1 = H, OCH3; R2 = H, OCH3; n = 1, 2; Q = CH2, CH2CH2, CH2CH2CH2; X = CONH, NHCO; A = pyrrolyl, pyrrolidinyl, piperidinyl; B = benzene, indenyl, pyridinyl, benzofuryl, etc.], stereoisomers, and salts having If current inhibitory effect without serious side effects such as convulsion are prepared and drugs, particularly cardiac rate lowering agents containing title compds. as active ingredient are discussed. Title compds. are useful in preventing ischemic heart diseases such as precordial anxiety (thoracic precordial anxiety) and myocardial infarct, and circulatory diseases such as congestive heart failure and arrhythmia (supraventricular arrhythmia, etc.). Thus, the title compound II was prepared
IT 312737-97-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinoline derivs. as If current inhibitors)
RN 312737-97-6 CAPLUS
CN 1-Piperidinepropanamide, 3-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)carbonyl]-N-(3,4-dimethoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

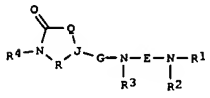
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L36 ANSWER 20 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 21 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:790471 CAPLUS
DOCUMENT NUMBER: 133:350145
TITLE: Preparation of cyclic amide compounds as chemokine receptor antagonists
INVENTOR(S): Ishihara, Yuji; Imamura, Shinichi; Hashiguchi, Shohei; Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000066551 | A1 | 20001109 | WO 2000-JP2765 | 20000427 |
| W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2371618 | AA | 20001109 | CA 2000-2371618 | 20000427 |
| JP 2001011073 | A2 | 20010116 | JP 2000-132861 | 20000427 |
| EP 1180513 | A1 | 20020220 | EP 2000-921055 | 20000427 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| PRIORITY APPLN. INFO.: | | | JP 1999-122549 A | 19990428 |
| | | | WO 2000-JP2765 W | 20000427 |

OTHER SOURCE(S): MARPAT 133:350145
GI



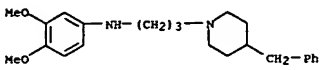
I

AB The title compds. I [R1 is hydrocarbyl and R2 is hydrocarbyl having two or more carbon atoms, or R1 and R2 together with the nitrogen atom adjacent thereto may form a ring which may be substituted; R3 is optionally substituted hydrocarbyl or a heterocyclic group; R4 is hydrogen, hydrocarbyl, a heterocyclic group, or the like; E is a divalent chain hydrocarbon group or the like; G is CO or SO2; J is nitrogen, a methine group, or the like; and Q and R are each a divalent C1-C3 chain hydrocarbon group or the like] are prepared I exhibit excellent CCR5

L36 ANSWER 21 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
antagonism and are useful as preventive or therapeutic drugs for HIV infection of human peripheral blood monocytes, particularly AIDS. In an vitro test for CCR5 antagonism, N-[3-(4-benzyl-1-piperidinyl)propyl]-1-methyl-5-oxo-N-phenyl-3-pyrrolidinecarboxamide hydrochloride at 1 μM gave 57% inhibition of binding of RANTES to the CCR5 receptors. Formulations are given.

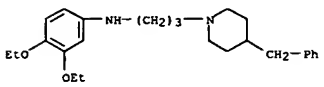
IT 304858-79-5P 304858-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic amide compds. as chemokine receptor antagonists)

RN 304858-79-5 CAPLUS
CN 1-Piperidinepropanamine, N-(3,4-dimethoxyphenyl)-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 304858-81-9 CAPLUS
CN 1-Piperidinepropanamine, N-(3,4-diethoxyphenyl)-4-(phenylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



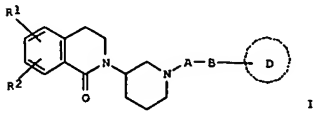
●2 HCl

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 22 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:765438 CAPLUS
DOCUMENT NUMBER: 133:321809
TITLE: Preparation of isoquinolinone derivatives for treatment of cardiovascular diseases
INVENTOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Okazaki, Toshio; Masuda, Noriyuki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2000302778 | A2 | 20001031 | JP 1999-119475 | 19990427 |
| PRIORITY APPLN. INFO.: | | | JP 1999-119475 | 19990427 |

OTHER SOURCE(S): MARPAT 133:321809
GI



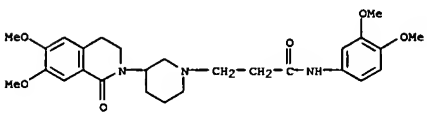
I

AB The title compds. I [R1, R2 = H, alkyl, etc.; or R1R2 = O-alkylene-O; A = alkylene; B = CONH, etc.; ring D = (un)substituted hydrocarbon ring, etc.] are prepared The title compds. are said to show heart rate decreasing effect in a pharmacol. test.

IT 303129-08-0P 303129-09-1P 303129-33-1P 303129-57-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoquinolinone derivs. for treatment of cardiovascular diseases)

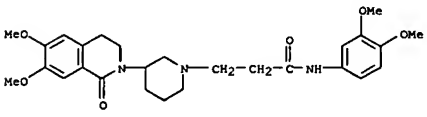
RN 303129-08-0 CAPLUS
CN 1-Piperidinepropanamide, 3-(3,4-dihydro-6,7-dimethoxy-1-oxo-2(1H)-isoquinolinyl)-N-(3,4-dimethoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L36 ANSWER 22 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

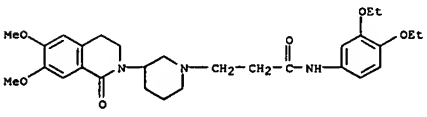


● HCl

RN 303129-09-1 CAPLUS
CN 1-Piperidinepropanamide, 3-(3,4-dihydro-6,7-dimethoxy-1-oxo-2(1H)-isoquinolinyl)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 303129-33-1 CAPLUS
CN 1-Piperidinepropanamide, N-(3,4-diethoxyphenyl)-3-(3,4-dihydro-6,7-dimethoxy-1-oxo-2(1H)-isoquinolinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



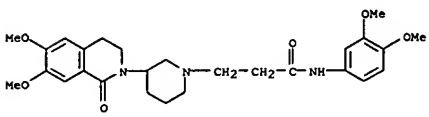
● HCl

RN 303129-57-9 CAPLUS
CN 1-Piperidinepropanamide, 3-(3,4-dihydro-6,7-dimethoxy-1-oxo-2(1H)-isoquinolinyl)-N-(3,4-dimethoxyphenyl)-, (2Z)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 303129-09-1
CMF C27 H35 N3 O6

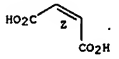
L36 ANSWER 22 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

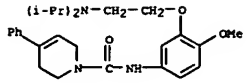


L36 ANSWER 23 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:513446 CAPLUS
DOCUMENT NUMBER: 133:129863
TITLE: Heterocyclic compound modulators of the CCR5 receptor,
preparation thereof, and therapeutic use
INVENTOR(S): Bondinell, William E.; Neeb, Michael J.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000042852 | A1 | 20000727 | WO 2000-US1908 | 20000125 |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1146790 | A1 | 20011024 | EP 2000-909984 | 20000125 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002535256 | T2 | 20021022 | JP 2000-594326 | 20000125 |
| PRIORITY APPLN. INFO.: | | | US 1999-117044P | P 19990125 |
| | | | WO 2000-US1908 | W 20000125 |

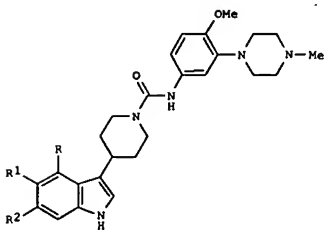
OTHER SOURCE(S): MARPAT 133:129863
AB Substituted heterocyclic compds. are provided which are modulators, agonists or antagonists of the CCR5 receptor. Also disclosed is the treatment and prevention of disease states mediated by CCR5, including, but no limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, and inflammatory bowel disease, all in mammals, by the use of substituted heterocyclic compds. which are CCR5 receptor antagonists. Furthermore, since CD8+ T cells have been implicated in COPD, CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also, since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor modulators may be useful in the treatment of HIV infection.
IT **286387-78-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic compound modulators of CCR5 receptor, preparation, and therapeutic use)
RN 286387-78-8 CAPLUS
CN 1(2H)-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-3,6-dihydro-4-phenyl- (9CI) (CA INDEX NAME)

L36 ANSWER 23 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

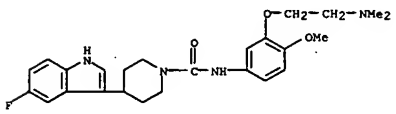
L36 ANSWER 24 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:136049 CAPLUS
DOCUMENT NUMBER: 132:308212
TITLE: 5-HT reuptake inhibitors with 5-HT1B/1D antagonistic activity: a new approach toward efficient antidepressants
AUTHOR(S): Matzen, Lisa; Van Amsterdam, Christoph; Rautenberg, Wilfried; Greiner, Hartmut E.; Harting, Juergen; Seyfried, Christoph A.; Boettcher, Henning
CORPORATE SOURCE: CNS Departments Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64271, Germany
SOURCE: Journal of Medicinal Chemistry (2000), 43(6), 1149-1157
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:308212
GI



I

AB As part of the authors' research program toward new, potential antidepressants, a series of unsym. ureas has been prepared and evaluated as 5-HT reuptake inhibitors with 5-HT1B/1D antagonistic activities. The design of these compds. was based on coupling of various indole derivs., previously shown to inhibit 5-HT reuptake, to three different aniline moieties, which are part of known 5-HT1B/1D ligands. Binding expts. in rat frontal cortex using [125I]iodocyanopindolol, in calf striatum using [3H]5-HT, and in rat hippocampus using [3H]8-OH-DPAT as radioligands, resp., revealed significantly higher affinity at the 5-HT1B receptor as compared to the affinities for the 5-HT1A and 5-HT1D receptors for a number of compds., among them 4-(5-fluoro-1H-indol-3-yl)piperidine-1-carboxylic acid [4-methoxy-3-(4-methylpiperazin-1-yl)phenyl]amide I (R = R2 = H; R1 = F), the corresponding 4-fluoro-1H-indol-3-yl analog I (R = F; R1 = R2 = H), and the corresponding 6-fluoro-1H-indol-3-yl analog I (R = R1 = H; R2 = F). Conformational restriction of the aniline moiety in I only slightly enhanced the 5-HT1B affinity, whereas introduction of an aniline moiety with higher conformational flexibility resulted in a less potent 5-HT1B

L36 ANSWER 24 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
receptor ligand as compared to I. The functional 5-HT1B/1D antagonistic activity was investigated using the rabbit saphenous vein model as well as the [3H]5-HT release from guinea pig cortical slices. All new compds. tested in the rabbit saphenous vein model were shown to antagonize the sumatriptan-evoked contractile responses with pA2 values ranging from 7.3 to 8.7. These observations were consistent with the results of the cortical slice model, in which the ureas were found to block the sumatriptan-induced inhibition of potassium-evoked [3H]5-HT release. The 5-HT reuptake inhibition of the ureas detd. in rat brain synaptosomes was found to be either increased or decreased as compared to the uncoupled indole derivs. indicating that the reuptake inhibition shown by the ureas is not only due to the indole part but also affected by the aniline moiety of the mol. Among this series of compds. described the ureas I seem to be the most interesting candidates showing both 5-HT reuptake inhibition and 5-HT1B/1D antagonism in vitro. This dual pharmacol. profile should in theory lead to a pronounced enhancement in serotonergic neurotransmission and consequently to a more efficient treatment of depression.
IT **265129-57-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and 5-HT1B/1D antagonist activity of indole derivs.)
RN 265129-57-5 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[2-(dimethylamino)ethoxy]-4-methoxyphenyl]-4-(5-fluoro-1H-indol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

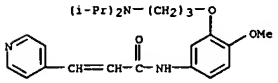
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 25 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:98318 CAPLUS
DOCUMENT NUMBER: 132:151565
TITLE: Preparation of cinnamanilides and analogs as CCR5 receptor modulators
INVENTOR(S): Bondinell, William E.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000006153 | A1 | 20000210 | WO 1999-US17117 | 19990728 |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2338804 | AA | 20000210 | CA 1999-2338804 | 19990728 |
| EP 1100495 | A1 | 20010523 | EP 1999-937585 | 19990728 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |

PRIORITY APPLN. INFO.: US 1998-94405P P 19980728
WO 1999-US17117 W 19990728

OTHER SOURCE(S): MARPAT 132:151565
AB RCR3:CR4ZR1 [I; R = (un)substituted heterocyclyl or -aryl; R1 = (un)substituted aminoalkoxyphenyl, -aminoalkenylphenyl, etc.; R3 = H or alkyl; R4 = H, halo, (carbamoyl)alkyl; Z = (un)substituted CONH] were prepared Thus, 3-[2-(diisopropylamino)ethoxy]-4-methoxyaniline was amidated by 3,4-Cl2C6H3CH:CHCOCl to give RCH:CHCONHR1 [R =C6H3Cl2-3,4, R1 = 3-[2-(diisopropylamino)ethoxy]-4-methoxyphenyl]. Data for biol. activity of I were given.
IT 257872-58-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cinnamanilides and analogs as CCR5 receptor modulators)
RN 257872-58-5 CAPLUS
CN 2-Propenamide, N-[3-[3-[bis(1-methylethyl)amino]propoxy]-4-methoxyphenyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

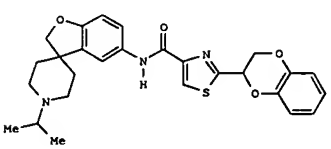
L36 ANSWER 25 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L36 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:98236 CAPLUS
DOCUMENT NUMBER: 132:151811
TITLE: Preparation of heterocyclecarboxamides and analogs as CCR5 receptor modulators
INVENTOR(S): Neeb, Michael J.; Bondinell, William E.; Ku, Thomas W.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000006085 | A2 | 20000210 | WO 1999-US17118 | 19990728 |
| WO 2000006085 | A3 | 20000504 | | |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2338697 | AA | 20000210 | CA 1999-2338697 | 19990728 |
| EP 1102535 | A2 | 20010530 | EP 1999-937586 | 19990728 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2002521408 | T2 | 20020716 | JP 2000-561942 | 19990728 |
| US 6399656 | B1 | 20020604 | US 2001-744629 | 20010409 |

PRIORITY APPLN. INFO.: US 1998-94414P P 19980728
US 1998-94424P P 19980728
WO 1999-US17118 W 19990728

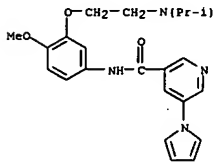
OTHER SOURCE(S): MARPAT 132:151811
GI



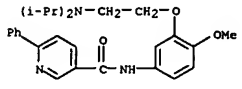

I

AB Title compds. were prepared Thus, 5-amino-1'-(1-methylethyl)spiro[benzofuran-3(2H),4'-piperidine] (preparation given) was amidated by 2-(2,3-dihydro-1,4-benzodioxin-2-yl)thiazole-4-carboxylic acid to give title compound I. Data for biol. activity of title compds. were given.
IT 257875-33-5P 257875-36-8P 257875-38-0P
257875-44-8P 257875-45-9P 257875-46-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

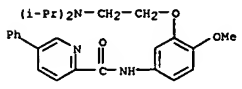
L36 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclecarboxamides and analogs as CCR5 receptor modulators)
RN 257875-33-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



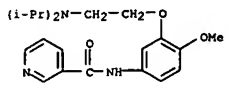

RN 257875-36-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-6-phenyl- (9CI) (CA INDEX NAME)




RN 257875-38-0 CAPLUS
CN 2-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-5-phenyl- (9CI) (CA INDEX NAME)

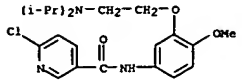



RN 257875-44-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

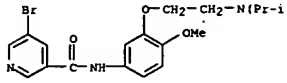


L36 ANSWER 26 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

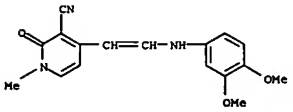
RN 257875-45-9 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-6-chloro- (9CI) (CA INDEX NAME)



RN 257875-46-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-5-bromo- (9CI) (CA INDEX NAME)



L36 ANSWER 27 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:516208 CAPLUS
DOCUMENT NUMBER: 131:228630
TITLE: Alkyl azinyl carbonitriles as building blocks in heterocyclic synthesis. A route for the synthesis of 4-methyl-2-oxopyridines
AUTHOR(S): Al-Mousawi, S. M.; George, K. S.; Elnagdi, M. H.
CORPORATE SOURCE: Chemistry Department, Faculty Science, Kuwait Univ., Safat, 13060, Kuwait
SOURCE: Pharmazie (1999), 54(8), 571-574
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:228630
AB The reaction of AcCH:CHNMe2 with active methylene reagents afforded enamino amides Me2NCH:CHCMe:CRCONH2 (I; R = CN, CO2Et), which readily cyclized to give 1,2-dihydro-2-oxo-4-methylpyridine-3-nitrile (II) and -carboxylate, resp. Condensation of II with DMFDMA afforded N-methyl-2-methoxy-4-[2-(dimethylamino)ethenyl]pyridine-3-nitrile. Subsequent coupling with aryldiazonium chlorides yields 1-(phenylhydrazono)-1-(2-oxopyridinyl)glyoxals. Coupling reaction of I with aromatic diazonium salts afforded 5-(arylazo)-2-pyridones.
IT 243860-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of methylpyridones)
RN 243860-83-5 CAPLUS
CN 3-Pyridinecarbonitrile, 4-[2-[(3,4-dimethoxyphenyl)amino]ethenyl]-1,2-dihydro-1-methyl-2-oxo- (9CI) (CA INDEX NAME)

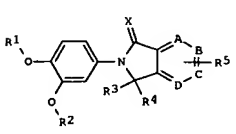


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:682069 CAPLUS
DOCUMENT NUMBER: 129:275840
TITLE: Preparation of novel 3,4-dialkoxyphenylisoindolinones and -pyrrolopyridines as tumor necrosis factor-α (TNF-α) inhibitors
INVENTOR(S): Baik, Kyong-Up; Yoo, Eun-Sook; Byun, Young-Seok; Lee, Seck-Jong; Jang, Byung-Soo; Son, Ho-Jun; Lee, Jae-Ho; Cho, Jae-Youl; Lee, Se-Jong; Chang, Woo-Ik; Lee, June-goo; Park, Ji-soo; Lee, Byung-goo; Park, Joon-seck; Moon, Seong-cheol; Park, Myung-hwan
PATENT ASSIGNEE(S): Daewoong Pharmaceutical Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9842666 | A1 | 19981001 | WO 1998-KR48 | 19980317 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9866365 | A1 | 19981020 | AU 1998-66365 | 19980317 |
| PRIORITY APPLN. INFO.: | | | KR 1997-9706 A | 19970321 |
| | | | WO 1998-KR48 W | 19980317 |

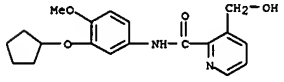
OTHER SOURCE(S): MARPAT 129:275840
GI



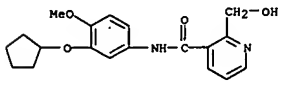
I

AB The title compds. [I; X = O, S; A, B, C, D = C, N, N-oxide; R1 = lower alkyl; R2 = lower alkyl, cycloalkyl, hydroxycycloalkyl, etc.; R3 = H, OH; R4 = H, halo, N3, etc.; R5 = H, halo, OH, etc.], having the activity to inhibit tumor necrosis factor-α (TNF-α), and therefore useful in the treatment of inflammatory disease, autoimmune disease, arthritis, asthma, type I diabetes mellitus, etc., were prepared and formulated. Thus,
reaction of 2-(3-cyclopentyloxy-4-methoxyphenyl)isoindolin-1,3-dione (preparation described) with MeMgBr in THf followed by treatment of a solution of
the resulting 3-methyl-3-hydroxy-2-(3-cyclopentyloxy-4-

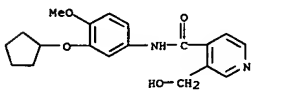
L36 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methoxyphenyl)isoindolin-1-one in CH2Cl2 with Et3SiH and F3CCO2H afforded I [X = O; A-D = C; R1 = Me; R2 = cyclopentyl; R3 = H; R4 = Me; R5 = H] which showed 90% inhibitory activity against TNF-α synthesis in vitro.
IT 214070-82-3P 214070-85-6P 214070-87-8P
214070-89-0P 214070-92-5P 214070-95-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel 3,4-dialkoxyphenylindolinones and -pyrrolopyridines as
tumor necrosis factor-α (TNF-α) inhibitors)
RN 214070-82-3 CAPLUS
CN 2-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-3-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 214070-85-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

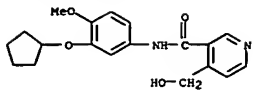


RN 214070-87-8 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-3-(hydroxymethyl)- (9CI) (CA INDEX NAME)

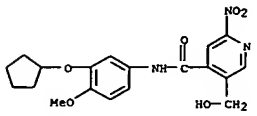


RN 214070-89-0 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-(hydroxymethyl)- (9CI) (CA INDEX NAME)

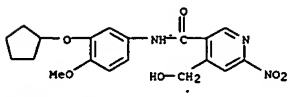
L36 ANSWER 28 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 214070-92-5 CAPLUS
CN 4-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-5-(hydroxymethyl)-2-nitro- (9CI) (CA INDEX NAME)



RN 214070-95-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-(cyclopentyloxy)-4-methoxyphenyl]-4-(hydroxymethyl)-6-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

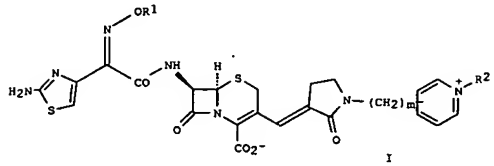
L36 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:298053 CAPLUS
DOCUMENT NUMBER: 128:321502
TITLE: preparation of pyridinium-substituted (lactamylvinyl)cephalosporin derivatives for use as antibiotics
INVENTOR(S): Angehrn, Peter; Heinze-krauss, Ingrid; Page, Malcolm; Weiss, Urs
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Eur. Pat. Appl., 40 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 838465 | A1 | 19980429 | EP 1997-117810 | 19971015 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TW 446707 | B | 20010721 | TW 1997-86111934 | 19970820 |
| CA 2214677 | AA | 19980422 | CA 1997-2214677 | 19970904 |
| US 5935950 | A | 19990810 | US 1997-924626 | 19970905 |
| ZA 9709244 | A | 19980422 | ZA 1997-9244 | 19971015 |
| NO 9704759 | A | 19980423 | NO 1997-4759 | 19971015 |
| JP 10120687 | A2 | 19980512 | JP 1997-285233 | 19971017 |
| JP 3004954 | B2 | 20000131 | | |
| CN 1184815 | A | 19980617 | CN 1997-121166 | 19971020 |
| AU 9742775 | A1 | 19980430 | AU 1997-42775 | 19971021 |
| AU 727502 | B2 | 20001214 | | |
| BR 9705113 | A | 19981027 | BR 1997-5113 | 19971022 |

PRIORITY APPLN. INFO.: EP 1996-116927 A 19961022

OTHER SOURCE(S): MARPAT 128:321502
GI



I

AB Synthesis of cephalosporin pyridinium derivs. (I) [R1 = H, (un)substituted alkyl, cycloalkyl, acetyl; X = CH, N; m = 0, 1; R2 = H, (un)substituted alkyl, (un)substituted benzyl, (un)substituted alkyl-heterocyclyl; R2 = (un)N-substituted CH2CONH2] are reported with the proviso that m is 1, when the pyridinium ring A is a pyridinium-4-yl; as well as readily

L36 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

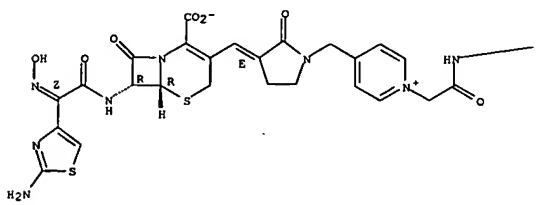
hydrolysable esters thereof, pharmaceutically acceptable salts of said compds. and hydrates of the compds. of formula I and of their esters and salts. Thus, I (R1 = H, X = CH, m = 1, R2 = 3-F-4-HOC6H3NHCOCH2) (II) was prepd. in seven steps by cyclization and triphenylphosphinylation of 2-bromo-4-chlorobutanoyl chloride and 4-picolylamine followed by Wittig olefination of (2R,6R,7R)-tert-butoxycarbonylamino-3-formyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-3-ene-2-carboxylic acid benhydryl ester, oxidative rearrangement with m-chloroperbenzoic acid, sulfoxide redn. with PBr3 and HBr salt formation, pyridine alkylation with BrCH2CONHC6H3-3F-4HO, deprotection with TFA, and acylation with (Z)-(2-aminothiazol-4-yl)trityloxyiminoacetic acid 1-benzotriazolyl ester. I are useful β-lactam antibiotics and II shows an MIC of 8 ug/mL against MIC90 MRSA in in vitro activity against S. aureus.

IT 206992-41-8P 206992-73-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinium-substituted (lactamylvinyl)cephalosporin derivs. for use as antibiotics)

RN 206992-41-8 CAPLUS
CN Pyridinium, 4-[[(3E)-3-[[(6R,7R)-7-[[(2Z)-(2-amino-4-thiazolyl)(hydroxyimino)acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[(4-hydroxy-3-methoxyphenyl)amino]-2-oxoethyl]-, inner salt (9CI) (CA INDEX NAME)

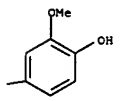
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



L36 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

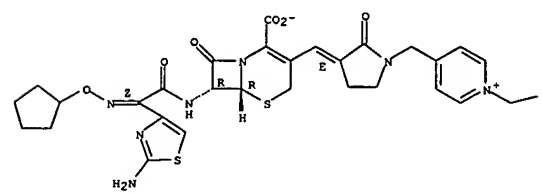
PAGE 1-B



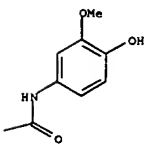
RN 206992-73-6 CAPLUS
CN Pyridinium, 4-[[(3E)-3-[[(6R,7R)-7-[[(2Z)-(2-amino-4-thiazolyl)[(cyclopentyloxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[(4-hydroxy-3-methoxyphenyl)amino]-2-oxoethyl]-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

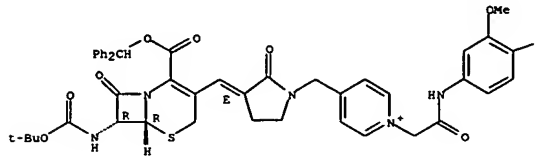


IT 206993-40-0P 206993-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinium-substituted (lactamylvinyl)cephalosporin derivs.

L36 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
for use as antibiotics)
RN 206993-40-0 CAPLUS
CN Pyridinium,
4-[[(3E)-3-[[(6R,7R)-7-[[(1,1-dimethylethoxy)carbonyl]amino]-2-[(diphenylmethoxy)carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[[4-[[(1,1-dimethylethoxy)carbonyl]oxy]-3-methoxyphenyl]amino]-2-oxoethyl]-, bromide (9CI) (CA INDEX NAME)

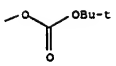
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



● Br⁻

PAGE 1-B



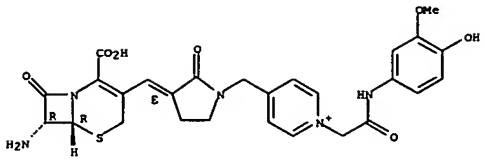
RN 206993-75-1 CAPLUS
CN Pyridinium, 4-[[(3E)-3-[[(6R,7R)-7-amino-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methylene]-2-oxo-1-pyrrolidinyl]methyl]-1-[2-[(4-hydroxy-3-methoxyphenyl)amino]-2-oxoethyl]-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 206993-74-0
CMF C27 H28 N5 O7 S

Absolute stereochemistry.
Double bond geometry as shown.

L36 ANSWER 29 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

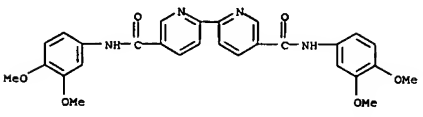


CM 2

CRN 14477-72-6
CMF C2 F3 O2

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L36 ANSWER 30 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:24353 CAPLUS
DOCUMENT NUMBER: 126:112364
TITLE: Chloride anion recognition by neutral platinum(II) and palladium(II) 5,5'-bis-amide substituted bipyridyl receptor molecules
AUTHOR(S): Beer, Paul D.; Fletcher, Nicholas C.; Drew, Michael G. B.; Wear, Trevor J.
CORPORATE SOURCE: Inorganic Chemistry Laboratory, University of Oxford, Oxford, OX1 3QR, UK
SOURCE: Polyhedron (1996), Volume Date 1997, 16(5), 815-823
CODEN: PLYHDE; ISSN: 0277-5387
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB New acyclic Pt(II) and Pd(II) 5,5'-bis-amide substituted 2,2'-bipyridyl receptors were synthesized and single-crystal structural studies of two receptors are described. 1H NMR anion binding studies reveal that these neutral receptors recognize chloride anions in DMSO solution
IT 152387-94-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of palladium and platinum amidobipyridine chloro complexes)
RN 152387-94-5 CAPLUS
CN [2,2'-Bipyridine]-5,5'-dicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)- (9CI)
(CA INDEX NAME)

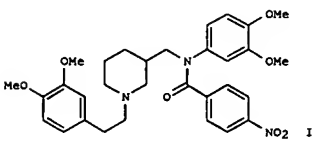


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L36 ANSWER 31 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:593888 CAPLUS
DOCUMENT NUMBER: 125:221598
TITLE: Preparation of N-aryl-N-heterocyclylalkyl-4-nitrobenzamides and analogs as antiarrhythmics
INVENTOR(S): Nadler, Guy Marguerite Marie Gerard; Souchet, Michel Louis; Legave, Marie Noel Genevieve
PATENT ASSIGNEE(S): Smithkline Beecham Laboratoires Pharmaceutiques, Fr.
SOURCE: Fr. Demande, 29 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

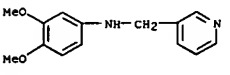
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2729142 | A1 | 19960712 | FR 1995-106 | 19950106 |
| PRIORITY APPLN. INFO.: | | | FR 1995-106 | 19950106 |

OTHER SOURCE(S): MARPAT 125:221598
GI

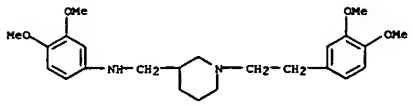


I

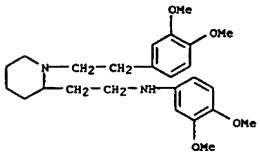
AB R1Z1N(Z2R2)Z4Z3R3 [R1 = (un)substituted Ph; R2 = (hetero)aryl, arylalk(en)yl, etc.; R3 = (hetero)aryl; Z = N-containing (un)substituted heterocyclylene; Z1 = bond, CH2, OCH2CH2, etc.; Z2 = CO, NHCO, SO2, etc.; Z3 = alkylene; Z4 = bond or alkylene] were prepared as antiarrhythmics (no data). Thus, pyridine-3-carboxaldehyde was condensed with 3,4-(MeO)2C6H3NH2 and the product converted in 6 steps to title compound I.
IT 181522-60-1P 181522-69-0P 181522-72-5P
181522-74-7P 181522-80-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-aryl-N-heterocyclylalkyl-4-nitrobenzamides and analogs as antiarrhythmics)
RN 181522-60-1 CAPLUS
CN 3-Pyridinemethanamine, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



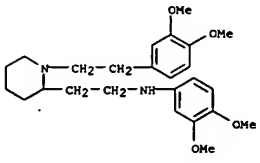
RN 181522-69-0 CAPLUS
CN 3-Piperidinemethanamine, N-(3,4-dimethoxyphenyl)-1-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 181522-72-5 CAPLUS
CN 2-Piperidineethanamine, N-(3,4-dimethoxyphenyl)-1-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



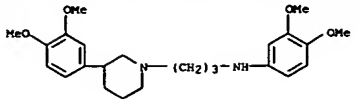
RN 181522-74-7 CAPLUS
CN 2-Piperidineethanamine, N-(3,4-dimethoxyphenyl)-1-[2-(3,4-dimethoxyphenyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 181522-80-5 CAPLUS
CN 1-Piperidinepropanamine, N,3-bis(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)







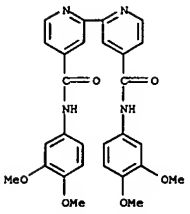
ACCESSION NUMBER: 1996:541137 CAPLUS
DOCUMENT NUMBER: 125:315428
TITLE: Synthesis and Characterization of Novel Acyclic, Macrocyclic, and Calix[4]arene Ruthenium(II) Bipyridyl Receptor Molecules That Recognize and Sense Anions
AUTHOR(S): Szemes, Fridrich; Hesek, Dusan; Chen, Zheng; Dent, Simon W.; Drew, Michael G. B.; Goulden, Alistair J.; Graydon, Andrew R.; Grieve, Alan; Mortimer, Roger J.; et al.
CORPORATE SOURCE: Inorganic Chemistry Laboratory, University of Oxford, Oxford, OX1 3QR, UK
SOURCE: Inorganic Chemistry (1996), 35(20), 5868-5879
CODEN: INOCAJ; ISSN: 0020-1669
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The Lewis acidic redox-active and photoactive ruthenium(II) bipyridyl moiety in combination with amide (CO-NH) groups was incorporated into acyclic, macrocyclic, and lower rim calix[4]arene structural frameworks to produce a new class of anion receptor with the dual capability of sensing anionic guest species via electrochem. and optical methodologies. Single-crystal x-ray structures of (1)Cl and (11)H2PO4 reveal the importance of hydrogen bonding to the overall anion complexation process. In the former complex, six hydrogen bonds (two amide and four C-H groups) stabilize the Cl- anion and three hydrogen bonds (two amide and one calix[4]arene hydroxyl) effect H2PO4- complexation with 11. 1H NMR titration studies in deuterated DMSO solns. reveal these receptors form strong and, in the case of the macrocyclic 5 and calix[4]arene-containing receptor 11, highly selective complexes with H2PO4-. Cyclic and square-wave voltammetric studies demonstrated these receptors to electrochem. recognize Cl-, Br-, H2PO4-, and HSO4- anions. The calix[4]arene anion receptor 11 selectively electrochem. senses H2PO4- in the presence of 10-fold excess amts. of HSO4- and Cl-. Fluorescence emission spectral recognition of H2PO4- in DMSO solns. is displayed by 3, 5, and 11.

IT 152387-93-4P
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(ligand; synthesis and characterization of novel acyclic, macrocyclic, and calix[4]arene ruthenium(II) bipyridyl receptor mols. for recognition and sensing of anions)

RN 152387-93-4 CAPLUS
CN [2,2'-Bipyridine]-4,4'-dicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



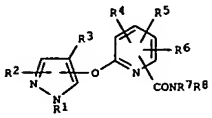


L36 ANSWER 33 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:132822 CAPLUS
DOCUMENT NUMBER: 124:176091
TITLE: Preparation of (pyridyloxy)pyrazole derivatives as herbicides
INVENTOR(S): Morimoto, Katsuyuki; Oonari, Masatoshi; Furusawa, Hiroyuki; Hatanaka, Masataka; Watanabe, Junichi; Kondo, Yasuo; Nawamaki, Tsutomu; Ishikawa, Kimihiro; Shiojima, Kenichi; Nakahira, Kunimitsu
PATENT ASSIGNEE(S): Nissan Chemical Ind Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 07285962 | A2 | 19951031 | JP 1994-81585 | 19940420 |
| PRIORITY APPLN. INFO.: | | | JP 1994-81585 | 19940420 |

OTHER SOURCE(S): MARPAT 124:176091
GI



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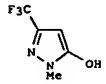
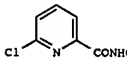


II



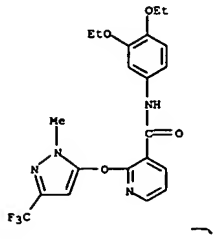
III

AB The title compds. [I; R1 = alkyl; R2 = (halo)alkyl; R3 = H, halo; R4-R6 = H, Cl-6 alkyl, Cl-4 haloalkyl, etc.; R7, R8 = H, (substituted) alkyl, Ph, R7R8N = 3-9-membered heterocycle] are prepared and formulated. Pyrazole derivative II (1.3 g) was stirred with KOH in MeOH at room temperature, MeOH was distilled, toluene was added and distilled, the remaining solid was heated with 1.0 g chloropyridine derivative III and 0.01 g CuCl in DMF at 110° to give 0.80 g I (R1 = Me, R2 = 3-CF3, R3-R7 = H, R8 = 6-CH2CF3), which controlled >90% barnyard grass, Setaria viridis, etc. at 2.5 kg/ha.

IT 173947-03-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (pyridyloxy)pyrazole derivs. as herbicides)

RN 173947-03-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(3,4-diethoxyphenyl)-2-[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy]- (9CI) (CA INDEX NAME)

L36 ANSWER 33 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



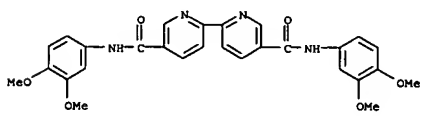
L36 ANSWER 34 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:108579 CAPLUS
DOCUMENT NUMBER: 124:248696
TITLE: Spectral and electrochemical halide anion recognition by acyclic ruthenium(II) 5,5'-bis-amide substituted bipyridyl receptor molecules
AUTHOR(S): Beer, Paul D.; Fletcher, Nicholas C.; Wear, Trevor
CORPORATE SOURCE: Inorg. Chemistry Lab., Univ. Oxford, Oxford, OX1 3QR, UK
SOURCE: Polyhedron (1996), 15(8), 1339-47
CODEN: PLYHDE; ISSN: 0277-5387
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New acyclic Ru(II) 5,5'-bis-amide substituted 2,2'-bipyridyl receptor mols. were synthesized. 1H NMR spectroscopy, cyclic and square wave voltammetry, electronic absorption and fluorescence-emission spectroscopic measurements demonstrated the spectral and electrochem. recognition of chloride, and spectral recognition of bromide anions in polar solvents.

IT 152387-94-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with ruthenium bipyridine chloro complex)

RN 152387-94-5 CAPLUS
CN [2,2'-Bipyridine]-5,5'-dicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)- (9CI)
(CA INDEX NAME)

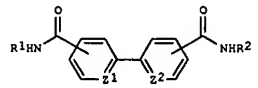


L36 ANSWER 35 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:305214 CAPLUS
DOCUMENT NUMBER: 122:105679
TITLE: Preparation of ion-sensitive bipyridine complexes
INVENTOR(S): Wear, Trevor John; Moore, Christopher Peter; Goulden, Alistair J.; Beer, Paul D.; Fletcher, Nicholas C.
PATENT ASSIGNEE(S): Kodak Ltd., UK
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9424123 | A1 | 19941027 | WO 1994-EP1191 | 19940418 |
| W: CA, JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 647223 | A1 | 19950412 | EP 1994-913608 | 19940418 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 07508537 | T2 | 19950921 | JP 1994-522762 | 19940418 |
| US 5608059 | A | 19970304 | US 1994-356187 | 19941219 |
| PRIORITY APPLN. INFO.: | | | GB 1993-8213 A | 19930421 |
| | | | GB 1994-4251 A | 19940305 |
| | | | WO 1994-EP1191 W | 19940418 |

OTHER SOURCE(S): MARPAT 122:105679
GI



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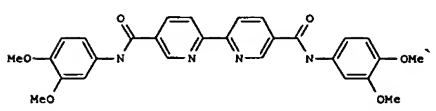
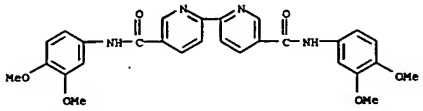


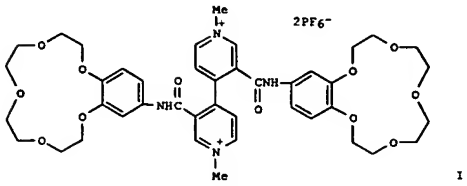
II

AB Title compds. [I; R1,R2 = (un)substituted alkyl, -aryl; R1R2 = atoms to complete a (2)-cryptand; Z1 = N+R3; Z2 = N+R4; R3,R4 = H, alkyl; R3R4 = ethylene bridging group (sic); I.{Ru(II)(bipy)2}; bipy = 2,2'-bipyridine; Z1 = Z2 = N} were prepared Bipyridinebisamide II (prepared in 3 steps from 5,5'-dimethyl-2,2'-bipyridine) was heated 17h at 80° with [Ru(II)(bipy)2Cl2] in DMF after which NH4PF6 in H2O was added to give II.[Ru(II)(bipy)2](PF6)2 (III). NMR signal shift data for reaction of III

L36 ANSWER 35 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
with Bu4NCl were given.
IT 152387-94-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ion-sensitive bipyridine complexes)
RN 152387-94-5 CAPLUS
CN [2,2'-Bipyridine]-5,5'-dicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)-(9CI)
(CA INDEX NAME)



L36 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:188782 CAPLUS
DOCUMENT NUMBER: 122:81343
TITLE: A new bipyridinium bis benzo crown ether ligand whose redox properties are dependent upon complexed cation induced conformational switching effects
AUTHOR(S): Beer, Paul D.; Chen, Zheng; Grieve, Alan; Haggitt, Jane
CORPORATE SOURCE: Inorg. Chem. Lab., Univ. Oxford, Oxford, OX1 3QR, UK
SOURCE: Journal of the Chemical Society, Chemical Communications (1994), (20), 2413-14
CODEN: JCCCAT; ISSN: 0022-4936
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



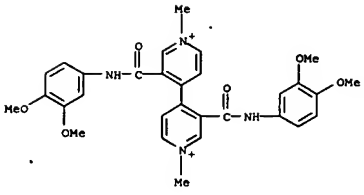
I

AB The synthesis, coordination and electrochem. properties of a novel 4,4'-bipyridinium bisbenzo-15-crown-5 ligand I are described whose group 1,2 metal and ammonium cation redox-responsive behavior is dependent upon cation induced conformational switching effects.
IT 160252-25-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(a new bipyridinium bis benzo crown ether ligand whose redox properties
are dependent upon complexed cation induced)
RN 160252-25-5 CAPLUS
CN 4,4'-Bipyridinium, 3,3'-bis[[(3,4-dimethoxyphenyl)amino]carbonyl]-1,1'-dimethyl-, bis(hexafluorophosphate(1-)) (9CI) (CA INDEX NAME)

CM 1

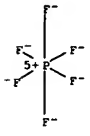
CRN 160252-24-4
CMF C30 H32 N4 O6

L36 ANSWER 36 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 16919-18-9
CMF F6 P
CCI CCS



L36 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:270113 CAPLUS
DOCUMENT NUMBER: 120:270113
TITLE: Preparation of piperidine derivatives as antiarrhythmics
INVENTOR(S): Hirasawa, Akira; Suzuki, Noboru; Yoshimoto, Ryota; Suzuki, Nobuyasu; Kanematsu, Akira; Shoji, Masataka
PATENT ASSIGNEE(S): Ajinomoto KK, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 05097808 | A2 | 19930420 | JP 1991-260838 | 19911008 |
| JP 2961995 | B2 | 19991012 | | |
| PRIORITY APPLN. INFO.: | | | JP 1991-260838 | 19911008 |

OTHER SOURCE(S): MARPAT 120:270113
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB QXm(CR1:CR2)nCH2A [I; A = organic group Q1 (wherein Z = CH2, O, S), Q2 (wherein Z1 = O, S, CH:CH), Q3, Q4; R1, R2 = H, Me, Et; m, n = 0,1; Q = (un)substituted Ph, pyridyl, tetrahydropyranyl, cyclohexyl, piperidinyl, or indanyl; X = (CH2)k (wherein k = 0-3), NHCO(CH2)k, CO(CH2)k] are prepared
Thus, chlorination of 4-(1-imidazolylmethyl]cinnamic alc. with SOCl2 in CHCl3 and condensation of the resulting 4-(1-imidazolylmethyl)cinnamyl chloride with 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidine in the presence of K2CO3 and NaI in iso-BuCOMe at 90° gave 36.1% title compound II (R3 = 1-imidazolylmethyl). A total of 72 I were prepared and II
(R3 = CF3CONH), at 100 μg/kg i.v., inhibited the arrhythmia induced by adrenaline (2.5-5 μg/kg) in dogs by 100% after 15 min.
IT 141840-10-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiarrhythmic)
RN 141840-10-0 CAPLUS
CN 1-Piperidinepropanamide, 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

L36 ANSWER 37 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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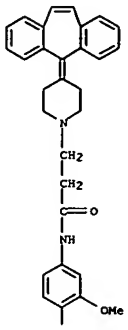
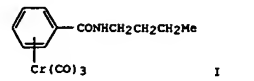


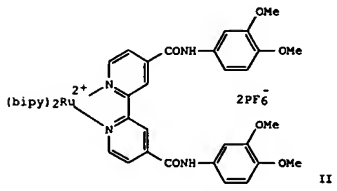
PAGE 2-A

L36 ANSWER 38 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:107221 CAPLUS
DOCUMENT NUMBER: 120:107221
TITLE: New classes of anion receptor containing charged and neutral transition-metal Lewis acidic recognition sites
AUTHOR(S): Beer, Paul D.; Dickson, Christian A. P.; Fletcher, Nicholas; Goulden, Alistair J.; Grieve, Alan; Hodacova, Jana; Wear, Trevor
CORPORATE SOURCE: Inorg. Chem. Lab., Univ. Oxford, Oxford, OX1 3QR, UK
SOURCE: Journal of the Chemical Society, Chemical Communications (1993), (10), 828-30
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



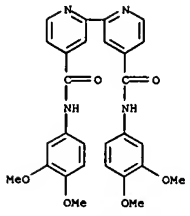
II

AB A variety of new classes of anion receptors, including I and II, containing
pos. charged or neutral organometallic and coordination transition metal Lewis acidic binding sites in combination with amide N-H groups were prepared and shown to complex halide anionic guest species.

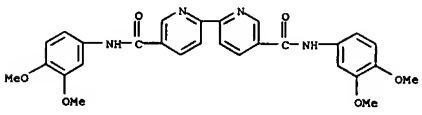
IT **152387-93-4P 152387-94-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion into bipyridine ruthenium complex)

RN 152387-93-4 CAPLUS
CN [2,2'-Bipyridine]-4,4'-dicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)-(9CI)
(CA INDEX NAME)

L36 ANSWER 38 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 152387-94-5 CAPLUS
CN [2,2'-Bipyridine]-5,5'-dicarboxamide, N,N'-bis(3,4-dimethoxyphenyl)-(9CI)
(CA INDEX NAME)

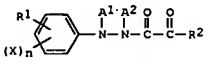


L36 ANSWER 39 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:613928 CAPLUS
DOCUMENT NUMBER: 119:213928
TITLE: Silver halide photographic light sensitive material
INVENTOR(S): Onodera, Akira; Usagawa, Yasushi
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Eur. Pat. Appl., 51 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 539925 | A1 | 19930505 | EP 1992-118365 | 19921028 |
| R: DE, FR, GB | | | | |
| JP 05127287 | A2 | 19930525 | JP 1991-287997 | 19911101 |
| JP 3041736 | B2 | 20000515 | | |
| US 5279920 | A | 19940118 | US 1992-966436 | 19921026 |
| PRIORITY APPLN. INFO.: | | | JP 1991-287997 A | 19911101 |

OTHER SOURCE(S): MARPAT 119:213928
GI



I

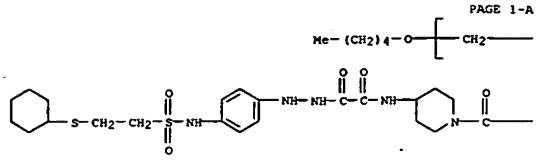
AB The title multilayer material contains I [R1 = R3O, R4SO2NH, R5R6PONH, OR7, NR7R8, N.tplbond.CNH, SH, HON:CH, R9R10N, R11R12C:N; (R4-R7 = aliphatic,
aromatic, heterocyclic group; R3, R8, R9-R11 = R4, H; R12 = R4, active methylene group, active methine group); X = substituent; n = 0-4; A1, A2 =
H, acyl, sulfonyl, oxalyl; R2 = OR13, NR14R15 (R13 = alkenyl, alkynyl, aryl, heterocyclic group; R14 = R3; R15 = R13, OH, alkoxy)]. The material
provides sufficiently high contrast images using a stable developer having
a low pH value and provides a direct pos.-type material improved in image quality and storage stability.

IT **150483-00-4**
RL: USES (Uses)
(in photog. paper for improved contrast and storage stability)

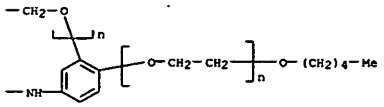
RN 150483-00-4 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α,α'-[4-[[[4-[[[2-[4-[[[2-(cyclohexylthio)ethyl]sulfonyl]amino]phenyl]hydrazino]oxoacetyl]amino]-1-piperidinyl]carbonyl]amino]-1,2-phenylene]bis[ω-(pentyloxy)- (9CI)
(CA INDEX NAME)

L36 ANSWER 39 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



L36 ANSWER 40 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:591714 CAPLUS
DOCUMENT NUMBER: 117:191714
TITLE: Preparation of benzo[c][2,7]naphthyridines
AUTHOR(S): Nutaitis, Charles F.; Marsh, Stephen R.
CORPORATE SOURCE: Dep. Chem., Lafayette Coll., Easton, PA, 18042, USA
SOURCE: Journal of Heterocyclic Chemistry (1992), 29(4), 971-3
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:191714

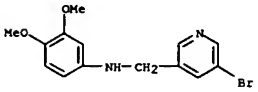
AB A divergent synthesis of substituted benzo[c][2,7]naphthyridines is described, which features an intramol. pyridyne cyclization step as the key reaction. The pyridyne precursors are conveniently prepared from 5-bromo-3-chloromethylpyridinium hydrochloride and the requisite anilines.

Cyclization of the non-sym. substrates did not proceed with significant regioselectivity.

IT 143770-60-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, spectra and cyclization of)

RN 143770-60-9 CAPLUS

CN 3-Pyridinemethanamine, 5-bromo-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

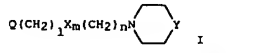


L36 ANSWER 41 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:426350 CAPLUS
DOCUMENT NUMBER: 117:26350
TITLE: Preparation of piperidine derivatives as antiarrhythmic agents
INVENTOR(S): Hirasawa, Akira; Shoji, Masataka; Yoshimoto, Ryota; Gyotoku, Yuichi; Eguchi, Chikahiko
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 479601 | A2 | 19920408 | EP 1991-309103 | 19911004 |
| EP 479601 | A3 | 19920812 | | |
| EP 479601 | B1 | 19991215 | | |
| R: DE, FR, GB, IT | | | | |
| JP 05025044 | A2 | 19930202 | JP 1991-254951 | 19911002 |
| JP 2853404 | B2 | 19990203 | | |
| US 5229400 | A | 19930720 | US 1991-770892 | 19911004 |
| PRIORITY APPLN. INFO.: | | | JP 1990-269193 A | 19901005 |

OTHER SOURCE(S): MARPAT 117:26350
GI



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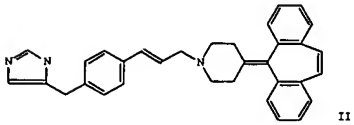


II

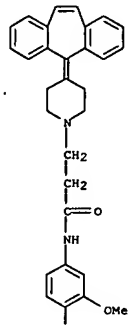
AB Title compds. [I; Q = (substituted) Ph, cyclohexyl, piperidinyl, tetrahydropyranyl, pyridyl, (N-methyl)pyrrolyl, thienyl, furyl, hexyl, cyano; X = CO, NHCO, NHCONH, SO2NH, S, O, R1C:CR2, CR3(CN); Y = Ph2C:C, (4-FC6H4)2C:C, 4-FC6H4COCH, PhCH, PhCOCH, etc.; R1, R2 = H, Me, Et, Pr; R3 = H, C1-12 alkyl; aryl; l, m = 0, 1; n = 0-6] were prepared Thus, 4-(N-imidazolylmethyl)cinnamyl alc. was stirred 2 h with SOCl2 in CHCl3 and the product was stirred with 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)piperidine, K2CO3, and NaI in MeCOCH2CHMe2 at 90° to give title compound II. I inhibited CHCl3-induced arrhythmia/tachycardia in mice with min ED of 10-100 mg/kg i.p.

IT 141840-10-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiarrhythmic)

RN 141840-10-0 CAPLUS

CN 1-Piperidinepropanamide, 4-(5H-dibenzo[a,d]cyclohepten-5-ylidene)-N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



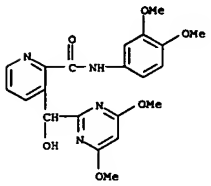
PAGE 2-A

L36 ANSWER 42 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:128972 CAPLUS
DOCUMENT NUMBER: 116:128972
TITLE: Preparation of azinylphthalides and related compounds as herbicides
INVENTOR(S): Anderson, Richard James; Cloudsdale, Ian Stuart; Hokama, Takeo
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.; Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

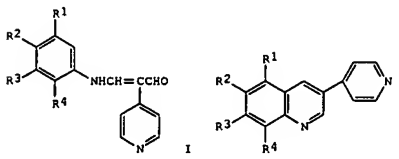
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 461079 | A2 | 19911211 | EP 1991-810428 | 19910605 |
| EP 461079 | A3 | 19920304 | | |
| EP 461079 | B1 | 19970716 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| HU 61153 | A2 | 19921228 | HU 1991-1771 | 19910527 |
| HU 212435 | B | 19960628 | | |
| AU 9178204 | A1 | 19911212 | AU 1991-78204 | 19910605 |
| AU 649448 | B2 | 19940526 | | |
| RU 2040522 | C1 | 19950725 | RU 1991-4895617 | 19910605 |
| IL 98378 | A1 | 19951127 | IL 1991-98378 | 19910605 |
| AT 155466 | E | 19970815 | AT 1991-810428 | 19910605 |
| ES 2107447 | T3 | 19971201 | ES 1991-810428 | 19910605 |
| CA 2043976 | AA | 19911208 | CA 1991-2043976 | 19910606 |
| CN 1057837 | A | 19920115 | CN 1991-104849 | 19910606 |
| CN 1033735 | B | 19970108 | | |
| JP 04235967 | A2 | 19920825 | JP 1991-163978 | 19910606 |
| PL 170729 | B1 | 19970131 | PL 1991-290573 | 19910606 |
| SK 278746 | B6 | 19980204 | SK 1991-1737 | 19910606 |
| BR 9102386 | A | 19920114 | BR 1991-2386 | 19910607 |
| ZA 9104382 | A | 19930224 | ZA 1991-4382 | 19910607 |
| US 5506192 | A | 19960409 | US 1994-201150 | 19940223 |
| US 5561101 | A | 19961001 | US 1995-457544 | 19950601 |
| US 5627137 | A | 19970506 | US 1995-457907 | 19950601 |
| US 5627138 | A | 19970506 | US 1995-457909 | 19950601 |
| PRIORITY APPLN. INFO.: | | | US 1990-534794 A | 19900607 |
| | | | US 1990-633592 A | 19901221 |
| | | | US 1991-804150 B2 | 19911206 |
| | | | US 1993-36006 B1 | 19930323 |
| | | | US 1994-201150 A1 | 19940223 |

OTHER SOURCE(S): MARPAT 116:128972
GI For diagram(s), see printed CA Issue.
AB Title compds. I [ring A = Ph, naphthyl, (benzo)pyridyl (oxide), pyrazinyl oxide, pyrimidinyl, pyrazinyl, cinnolinyl, quinoxalinyl, (benzo-fused) 5-membered heteroaryl; R = cyano, CHO, CX1X2X3, ketone-forming group, (modified) (thio)carboxyl, carbamoyl, hydroxyalkyl, CH2O2C bridged to an adjacent A-ring carbon, etc.; Y1-Y3 = H, halo, OH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, alkylsulfonyloxy, etc.; Y1Y2 = 3-5-membered bridge; Y1R = C(S)O, other bridging group; X, Y = H,

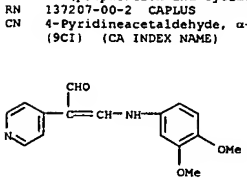
L36 ANSWER 42 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OH, halo, cyano, (substituted) alkyl, alkoxy, alkoxycarbonyl, hydroxyalkyl, haloalkyl, acyl, acyloxy, carbamoyl, carbamoyloxy, alkylthio, aryloxy, aryl, etc.; XR = CO2, C(O)S, CONH, etc.; X1, X2, X3 = H, OH, alkoxy, alkylthio, hydroxyalkyl, hydroxybenzyl; X1X2 = 4-5 membered
bridge; R1, R3 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkylthio, cycloalkyl, heterocyclylalkoxy, aryloxy, etc.; W1-W4 = CH, N, NR3] were prepd. as herbicides (no data). Thus, 7-chlorophthalide in THF at -70° was treated with LiN(CHMe2)2 and then 2-methylsulfonyl-4,6-dimethoxypyrimidine followed by 4 h stirring to give title compd. II.
IT 139539-45-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 139539-45-0 CAPLUS
CN 2-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)-3-[(4,6-dimethoxy-2-pyrimidinyl)hydroxymethyl]- (9CI) (CA INDEX NAME)



L36 ANSWER 43 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:679777 CAPLUS
DOCUMENT NUMBER: 115:279777
TITLE: A convenient synthesis of 3-(4-pyridinyl)quinolines
AUTHOR(S): Singh, Baldev; Lesher, George Y.
CORPORATE SOURCE: Sterling Res. Group, Rensselaer, NY, 12144, USA
SOURCE: Journal of Heterocyclic Chemistry (1991), 28(5), 1453-4
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB 3-(Arylamino)-2-(4-pyridinyl)acroleins I (R1, R2, R4 = H, MeO, R3 = MeO, Cl, EtO), prepared by reacting the corresponding anilines with 3-(dimethylamino)-2-(4-pyridinyl)acrolein, were cyclized by POCl3 or AcOH to give 20-58% 3-(4-pyridinyl)quinolines II.
IT 137207-00-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization by phosphoryl chloride)
RN 137207-00-2 CAPLUS
CN 4-Pyridineacetaldehyde, α-[[(3,4-dimethoxyphenyl)amino]methylene]- (9CI) (CA INDEX NAME)



L36 ANSWER 44 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:406031 CAPLUS
DOCUMENT NUMBER: 113:6031
TITLE: Preparation of 3-[(arylcarboxamido)methyl]cephemcarboxylates and analogs as antibiotics
INVENTOR(S): Davies, Gareth Morse; Strawson, Colin John; Lohmann, Jean Jaques
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 341948 | A2 | 19891115 | EP 1989-304621 | 19890508 |
| EP 341948 | A3 | 19910522 | | |
| EP 341948 | B1 | 19950111 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 01319486 | A2 | 19891225 | JP 1989-115243 | 19890510 |
| US 5055462 | A | 19911008 | US 1989-349662 | 19890510 |
| US 5149803 | A | 19920922 | US 1991-732478 | 19910718 |
| PRIORITY APPLN. INFO.: | | | GB 1988-11055 A | 19880510 |
| | | | US 1989-349662 A3 | 19890510 |

OTHER SOURCE(S): MARPAT 113:6031
GI For diagram(s), see printed CA Issue.
AB Cephalosporins substituted at the 3-position by Q1 [A = (un)substituted phenylenediyl, 5- or 6-heterocyclylenediyl; Q = (un)substituted benzene ring optionally fused to 5- or 6-membered heterocycle or naphthyl bearing R2 and R3 on adjacent C-atoms, N-hydroxypyridonyl group Q2, hydroxypyranonyl or hydroxydihydropyridonyl group Q3; M = O, (alkyl)imino;
R1 = H, alkenyl, (un) substituted alkyl; R2, R3 = OH or metabolically labile ester thereof; Y = CO, SO2; Z = bond, alkylene, alkenylene, CO, etc.] were prepared Thus, nipecotate Q4OH (R4R5 = CMe2) (preparation given) was
condensed with cephemcarboxylate I (R = H) to give, after deprotection, I (R = Q4, R4 = R5 = H) which had MIC of 4 μg/mL against Staphylococcus aureus 147N (A8601052).
IT 127431-47-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antibiotic)
RN 127431-47-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,

7-[[2-(2-amino-4-thiazolyl)-2-[(1-carboxy-1-methylethoxy)imino]ethyl]amino]-3-[[[[6-[[[3,4-bis(acetyloxy)phenyl]amino]carbonyl]-3-pyridinyl]carbonyl]amino]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L36 ANSWER 44 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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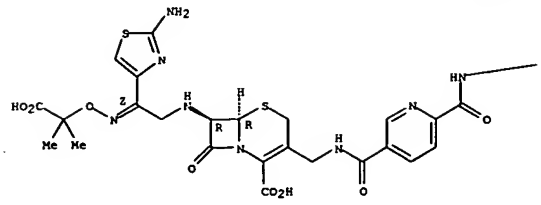
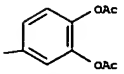
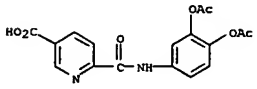


PAGE 1-B



IT 127431-61-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antibiotics)
RN 127431-61-2 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[[[3,4-bis(acetyloxy)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

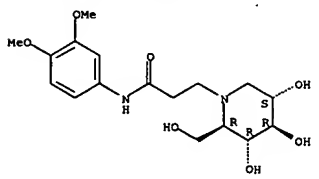


L36 ANSWER 45 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:210964 CAPLUS
DOCUMENT NUMBER: 112:210964
TITLE: Mixtures of interferon with 1-desoxypiperidinoses as synergistic virucides
INVENTOR(S): Paessens, Arnold; Schueller, Matthias
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 322643 | A1 | 19890705 | EP 1988-120884 | 19881214 |
| EP 322643 | B1 | 19910724 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| DE 3743749 | A1 | 19890713 | DE 1987-3743749 | 19871223 |
| AT 65408 | E | 19910815 | AT 1988-120884 | 19881214 |
| ES 2037807 | T3 | 19930701 | ES 1988-120884 | 19881214 |
| JP 02000708 | A2 | 19900105 | JP 1988-323802 | 19881223 |
| PRIORITY APPLN. INFO.: | | | DE 1987-3743749 A | 19871223 |
| | | | EP 1988-120884 A | 19881214 |

OTHER SOURCE(S): MARPAT 112:210964
AB Compns. comprising N-substituted derivs. of 1-deoxynojirimycin and of 1-deoxymannonojirimycin (Markush given) and interferons, are synergistic virucides, especially active against retroviruses.
3-(1,5-Dideoxy-1,5-imino-D-mannit-N-yl)propionic acid 4-isopropylanilide (0.06 mg/mL) combined with sheep interferon (1 unit/mL) totally controlled the Visna virus, in sheep cell cultures. Preparation of the deoxynojirimycin derivs. is outlined.
IT 123578-74-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(virucide, synergistic)
RN 123578-74-5 CAPLUS
CN 1-Piperidinepropanamide, N-(3,4-dimethoxyphenyl)-3,4,5-trihydroxy-2-(hydroxymethyl)-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

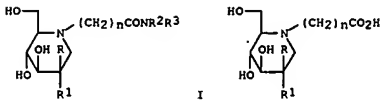


L36 ANSWER 45 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L36 ANSWER 46 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:56576 CAPLUS
DOCUMENT NUMBER: 112:56576
TITLE: Preparation of 1-deoxynojirimycin and 1-deoxymannonojirimycin derivatives as antivirals and pharmaceutical compositions containing them
INVENTOR(S): Boeshagen, Horst; Junge, Bodo; Paessens, Arnold; Schueller, Matthias
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 313974 | A1 | 19890503 | EP 1988-117369 | 19881019 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| DE 3814549 | A1 | 19890518 | DE 1988-3814549 | 19880429 |
| NO 8804625 | A | 19890502 | NO 1988-4625 | 19881018 |
| JP 01151553 | A2 | 19890614 | JP 1988-268345 | 19881026 |
| US 4940705 | A | 19900710 | US 1988-262902 | 19881026 |
| FI 8804966 | A | 19890501 | FI 1988-4966 | 19881027 |
| DK 8806019 | A | 19890501 | DK 1988-6019 | 19881028 |
| ZA 8808103 | A | 19890726 | ZA 1988-8103 | 19881028 |
| HU 50190 | A2 | 19891228 | HU 1988-5629 | 19881028 |
| HU 201560 | B | 19901128 | | |
| AU 8824547 | A1 | 19890504 | AU 1988-24547 | 19881031 |
| AU 603012 | B2 | 19901101 | | |
| PRIORITY APPLN. INFO.: | | | DE 1987-3736771 A | 19871030 |
| | | | DE 1988-3814549 A | 19880429 |

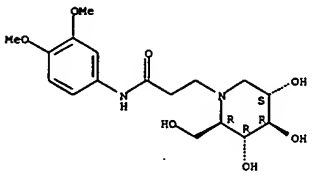
OTHER SOURCE(S): CASREACT 112:56576; MARPAT 112:56576
GI



AB The title compds. [I; R, R1 = H, OH; R2 = H, alkyl, PhCH2; R3 = (aryl)alkyl, cycloalkyl, aryl, etc.; n = 1-6 integer], useful for control of viral infections in humans and animals, are prepared via condensation of
acids II or their reactive derivs. with HNR2R3. N-(2-Carboxyethyl)-1-deoxynojirimycin in H2O containing pyridine was condensed with p-methoxyaniline in the presence of dicyclohexylcarbodiimide to give I (R = R2 = H, R1 = OH, R3 = C6H4OMe-p, n = 2). In a study according to O. Narayan et al. (1977) using Visna virus-infected cell culture, I (R = R2 =

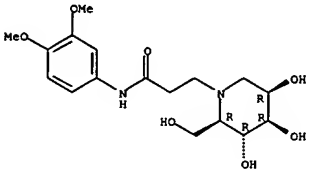
L36 ANSWER 46 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
H, R1 = OH, R3 = C6H4OCH2Ph-p, n = 2) showed an MIC of 2 μg/mL and cytotoxicity at >1000 μg/mL.
IT 123578-74-5P 123579-11-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antiviral)
RN 123578-74-5 CAPLUS
CN 1-Piperidinepropanamide, N-(3,4-dimethoxyphenyl)-3,4,5-trihydroxy-2-(hydroxymethyl)-, [2R-(2α,3β,4α,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



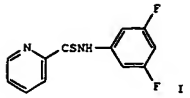
RN 123579-11-3 CAPLUS
CN 1-Piperidinepropanamide, N-(3,4-dimethoxyphenyl)-3,4,5-trihydroxy-2-(hydroxymethyl)-, [2R-(2α,3β,4α,5α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



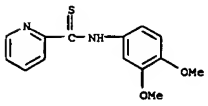
L36 ANSWER 47 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L36 ANSWER 47 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:35645 CAPLUS
DOCUMENT NUMBER: 112:35645
TITLE: N-Phenyl-2-pyridinecarbothioamides as gastric mucosal protectants
AUTHOR(S): Kinney, William A.; Lee, Nancy E.; Blank, Robert M.; Demerson, Christopher A.; Sarnella, Carol S.; Scherer, Noreen T.; Mir, G. Nabi; Borella, Luis E.; DiJoseph, John F.; Wells, Cheryl
CORPORATE SOURCE: Wyeth-Ayerst Res., Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(1), 327-36
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:35645
GI

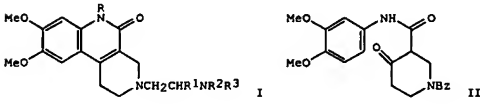


I

AB A series of substituted 2-pyridinecarbothioamides was synthesized and evaluated for gastric mucosal protectant activity in the rat. Out of this investigation N-(3,5-difluorophenyl)-2-pyridinecarbothioamide (AY-31,574) (I) was identified. I was prepared by treating picolinic acid with 1,1'-carbonyldimidazole in DMF and then with 3,5-F2C6H3NH2. Sulfurization of the resulting (difluorophenyl)pyridinecarboxamide with Lawesson's reagent gave 58% I. I was much more potent than sucralfate and ranitidine against ethanol-induced lesions, and was equipotent with ranitidine against gastric injury caused by stress. Unlike ranitidine, I was devoid of antisecretory activity in the pylorus-ligated rat model, making it a selective mucosal protectant. Such a potent selective mucosal protectant may provide a novel clin. approach in treating ulcers.
IT 123207-20-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and ulcer inhibiting activity of)
RN 123207-20-5 CAPLUS
CN 2-Pyridinecarbothioamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

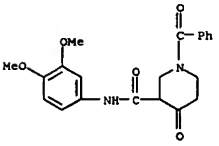


L36 ANSWER 48 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:138288 CAPLUS
DOCUMENT NUMBER: 106:138288
TITLE: Preparation of benzo[c][2,7]naphthyridin-5(1H)-ones as analogs of benzopyrano[3,4-c]pyridin-5-one bronchodilators
AUTHOR(S): Unangst, Paul C.; Connor, David T.; Carethers, Mary E.; Schwender, Charles S.; Brown, Richard E.; Puchalski, Chester
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Heterocyclic Chemistry (1986), 23(3), 941-4
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:138288
GI



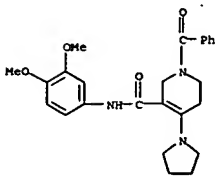
I II

AB Benzonaphthyridinones I (R, R1 = H, Me; NR2R3 = NMe2, piperidino, pyrrolidino, azabicyclononyl) were prepared as potential anticholinergic bronchodilators. The naphthyridine ring system was constructed by cyclization of a 3-amido-4-piperidone, e.g., II. Alkylation with alkylaminoethyl chlorides or reductive amination of an intermediate Me ketone yielded the final target compds.
IT 61675-89-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 61675-89-6 CAPLUS
CN 3-Piperidinecarboxamide, 1-benzoyl-N-(3,4-dimethoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)

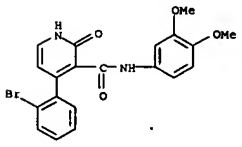


IT 107401-38-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

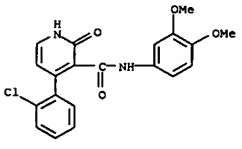
L36 ANSWER 48 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. and hydrolysis of)
RN 107401-38-7 CAPLUS
CN 3-Pyridinecarboxamide, 1-benzoyl-N-(3,4-dimethoxyphenyl)-1,2,5,6-tetrahydro-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



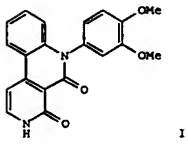
L36 ANSWER 49 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and intramol. cyclization of, benzonaphthyridine deriv. from)
RN 88148-69-0 CAPLUS
CN 3-Pyridinecarboxamide, 4-(2-bromophenyl)-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)



IT 88148-70-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88148-70-3 CAPLUS
CN 3-Pyridinecarboxamide, 4-(2-chlorophenyl)-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

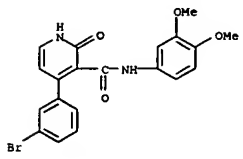


L36 ANSWER 49 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:6912 CAPLUS
DOCUMENT NUMBER: 100:6912
TITLE: The synthesis of perloline, 6-(3,4-dimethoxyphenyl)-5-hydroxy-5,6-dihydrobenzo[c][2,7]naphthyridin-4(3H)-one
AUTHOR(S): Prager, Rolf H.; Were, Stephen T.
CORPORATE SOURCE: Org. Chem. Dep., Univ. Adelaide, Adelaide, 5001, Australia
SOURCE: Australian Journal of Chemistry (1983), 36(7), 1441-53
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Dehydroperloline (I) is obtained in high overall yield by an intramol. cyclization of the benzyne generated from 4-(2-bromophenyl)-N-(3,4-dimethoxyphenyl)-2-oxo-1,2-dihydropyridine-3-carboxamide (II), by use of lithium hexamethyldisilazide. II was prepared in three steps from 2-[1-(2-bromophenyl)ethylidene]malononitrile. I was reduced by NaAl(OCH2CH2OMe)2H2 to perloline, isolated as its hydrochloride.
IT 88148-68-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotonation of)
RN 88148-68-9 CAPLUS
CN 3-Pyridinecarboxamide, 4-(3-bromophenyl)-N-(3,4-dimethoxyphenyl)-1,2-dihydro-2-oxo- (9CI) (CA INDEX NAME)

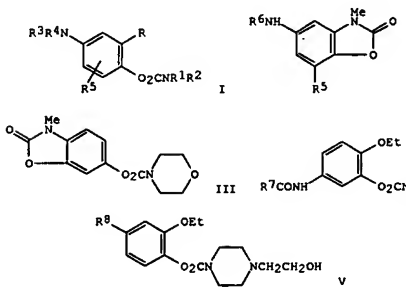


IT 88148-69-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L36 ANSWER 50 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:217485 CAPLUS
DOCUMENT NUMBER: 96:217485
TITLE: Analgesic phenyl carbamates
PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

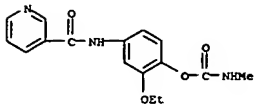
| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| JP 57007459 | A2 | 19820114 | JP 1980-80783 | | 19800613 |
| PRIORITY APPLN. INFO.: | | | JP 1980-80783 | A | 19800613 |

OTHER SOURCE(S): CASREACT 96:217485
GI



AB Ninety-eight Ph carbamates I (R = H, OEt, Me, OCH2CH2NMe2, nicotinoyloxy; NR1R2 = NH2, NHMe, NMe2, morpholino, 4-methyl-1-piperazinyl, etc.; R3R4N = AcNH, MeSO2NH, Me2NCH2CONH, Me2NCOCH2NAc, 3-methyl-5-oxoimidazolidin-1-yl, etc.; R5 = H, 3-, 5-, or 6-Me), II (R5 = H, OEt; R6 = Me2NCH2CO, MeSO2, p-isobutyl-α-methylphenylacetyl, HOCH2CO), III, and IV (R7 = Me, 3-pyridyl), having analgesic activity comparable to aminopyrine and low toxicity in mice, were prepared Thus, reaction of 2,4-EtO(O2N)C6H3OH in aqueous NaOH with 30% COCl2 in PhMe at -5 to 0° gave the chloroformate, which was treated with N-(2-hydroxyethyl)piperazine to give V (R8 = NO2), which was hydrogenated to V (R8 = NH2), acylation of which with MeSO2Cl gave I [R = OEt, NR1R2 = 4-(2-hydroxyethyl)-1-piperazinyl, R3R4N = MeSO2NH, R5 = H].
IT 81934-29-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

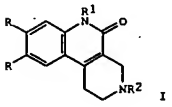
L36 ANSWER 50 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and analgesic activity of)
RN 81934-29-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-ethoxy-4-[[(methylamino)carbonyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



L36 ANSWER 51 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:72616 CAPLUS
DOCUMENT NUMBER: 86:72616
TITLE: Benzonaphthyridines
INVENTOR(S): Brown, Richard E.; Puchalski, Chester; Shavel, John, Jr.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3991064 | A | 19761109 | US 1975-541912 | 19750117 |
| PRIORITY APPLN. INFO.: | | | US 1975-541912 A | 19750117 |

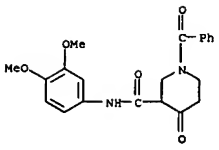
GI



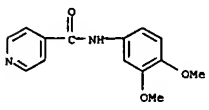
I

AB Bronchodilating benzonaphthyridinones (I; R = H, MeO; R1 = H, Me, 2-piperidinoethyl; R2 = H, Bz, 2-cyclohexylethyl, 2-piperidinoethyl, Me2NCH2CH2) are prepared by reaction of Ph isocyanates with 1-benzoyl-1,2,3,6-tetrahydro-1-(1-pyrrolidinyl)pyridine (II) and cyclization of the resulting 1-benzoyl-3-(phenylcarbamoyl)-4-piperidinone.
Thus, reaction of 47 g (0.262 mole) 3,4-(MeO)2C6H3NCO with 0.262 mole II in CH2Cl2 at room temperature, followed by cyclization of the product in the presence of H2SO4, gives 55 g crude I (R = MeO, R1 = H, R2 = Bz).
IT 61675-89-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 61675-89-6 CAPLUS
CN 3-Piperidinecarboxamide, 1-benzoyl-N-(3,4-dimethoxyphenyl)-4-oxo- (9CI) (CA INDEX NAME)

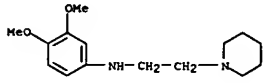
L36 ANSWER 51 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



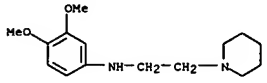
L36 ANSWER 52 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:400682 CAPLUS
DOCUMENT NUMBER: 77:682
TITLE: Synthesis and pharmacologic activity of substituted amides of pyridinecarboxylic acids
AUTHOR(S): Chernykh, V. P.; Petyunin, G. P.; Krasnovskaya, E. A.
CORPORATE SOURCE: Khark. Pharm. Med. Inst., Kharkov, USSR
SOURCE: Farmatsevtichnii Zhurnal (Kiev) (1972), 27(1), 16-18
CODEN: FRZKAP; ISSN: 0367-3057
DOCUMENT TYPE: Journal
LANGUAGE: Ukrainian
AB Among the newly synthesized substituted amides of pyridine-carboxylic acids, N-(3-chlorophenyl)-N-phenylisonicotinic acid amide (I) [34892-23-4]
had myorelaxant, sedative-narcotic, and hypothermic effects. The LD50 and
LD100 values of I for mice were 550 and 900 mg/kg, resp.; those for rats were 683 and 1000 mg/kg. Hydrochlorides of substituted nicotinic acid amides had a short-lasting hypotensive effect.
IT 36702-78-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(pharmacol. of)
RN 36702-78-0 CAPLUS
CN 4-Pyridinecarboxamide, N-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L36 ANSWER 53 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:99528 CAPLUS
DOCUMENT NUMBER: 74:99528
TITLE: Synthesis of N,N-dialkyl-N'-(dimethoxyphenyl)ethylenediamines
AUTHOR(S): Dauksas, V.; Kersulis, O.; Kersuliene, L.
CORPORATE SOURCE: Vil'nyus. Gos. Univ. im. Kapsukasa, Vilnius, USSR
SOURCE: Lietuvos TSR Aukstuju Mokyklu Mokslo Darbai, Chemija ir Chemine Technologija (1970), 11, 201-4
CODEN: LAMCAJ; ISSN: 0459-3391
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB ClCH2CH2R (R = NEt2, piperidino, hexamethylenimino, morpholino) reacted with 2,3-, 2,5-, 2,6-, and 3,4-(MeO)zC6H3NH2 in EtOH containing NaOAc, giving the corresponding (MeO)2C6H3NHCH2CH2R in 41-73% yield.
IT 31126-13-3P 31126-14-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31126-13-3 CAPLUS
CN Piperidine, 1-[2-(3,4-dimethoxyanilino)ethyl]- (8CI) (CA INDEX NAME)



RN 31126-14-4 CAPLUS
CN Piperidine, 1-[2-(3,4-dimethoxyanilino)ethyl]-, dihydrochloride (8CI) (CA INDEX NAME)



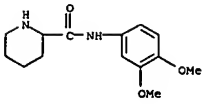
●2 HCl

L36 ANSWER 54 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:459272 CAPLUS
DOCUMENT NUMBER: 69:59272
TITLE: Diazahydrindanones and pyridopyrimidinones useful as pharmacological agents
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co., A.-G.
SOURCE: Brit., 9 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

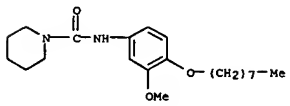
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| GB 1114397 | | 19680522 | | |
| FR 1517312 | | | FR | |
| US 3515725 | | 19700000 | US | |
| PRIORITY APPLN. INFO.: | | | CH | 19660406 |

GI For diagram(s), see printed CA Issue.
AB The title compds., of the general formulas I and II, where R is a substituted phenyl group, are prepared The compds. exhibit analgesic, antiphlogistic, inflammation inhibiting, and antiallergic activities when used in salt form. Thus, a solution of 27.7 g. 2-(1-benzyloxycarbonyl-2-piperidyl)acetic acid in 50 ml. dioxane was treated with 16.6 g. m-nitroaniline in 50 ml. dioxane, treated with 24 g. dicyclohexylcarbodiimide in 30 ml. dioxane, kept 18 hrs., worked up, the product, in AcOH, treated, with ice cooling, with 120 ml. 33% HBr, kept 18 hrs., worked up, and the product treated with aqueous NH3 to give 22.5 g. 2-(2-piperidyl)acetic acid m-nitroanilide, m. 252-3° (as the HCl salt), which was taken up in CH2Cl2, washed with water, freed of solvent by distillation, dissolved in 20 ml. MeOH and 100 ml. 38% aqueous HCHO, refluxed 2 hrs., and worked up to give octahydro-2-(m-nitrophenyl)-3H-pyrido[1,2-c]pyrimidin-3-one (I) (R = m-nitrophenyl), m. 237-8° (alc.-ether). Similarly prepared were the following I (R and m.p. of HCl salt given): 4-ethoxyphenyl, 197-9°; 3-chlorophenyl, 190-1°; CH2Ph, 180-1°; 3,4-dichlorophenyl, 210-20°; 4-nitrophenyl, 228-9°; 2-nitrophenyl, 223-4°; 2-(methoxycarbonyl)phenyl, 193-4°; 2-chlorophenyl, 234-5°; 4-chloro-3-nitrophenyl, 219-20°; 4-chloro-2-nitrophenyl, 209-10°; 2,5-dichlorophenyl, 227-8°; 4-fluorophenyl, and 219-20; 4-(acetamido)phenyl, 231-2°. The following II were prepared (R and m.p. HCl salt given): m-trifluoromethylphenyl, m. 145-6°; 4-methoxyphenyl, 126°; 3,4-dimethoxyphenyl, 215-16°; 4-hydroxyphenyl, 232-3°; 4-fluorophenyl, 202-3°; 4-chlorophenyl, 179-80°; 4-nitrophenyl, 200°; 3-nitrophenyl, 189-90°; 2-(methoxycarbonyl)phenyl, 200° (HBr salt); and CH2CH2NEt2, 178° (2HBr salt).
IT 19612-32-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 19612-32-9 CAPLUS
CN Pipecolanilide, 3',4'-dimethoxy- (8CI) (CA INDEX NAME)

L36 ANSWER 54 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L36 ANSWER 55 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:39300 CAPLUS
DOCUMENT NUMBER: 68:39300
TITLE: Chemotherapy of schistosomiasis. IX. p-Dialkylaminoacylamidophenyl ethers
AUTHOR(S): Collins, Raymond Frederick; Davis, Michael
CORPORATE SOURCE: Res. Labs., May Baker Ltd., Dagenham, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (1), 61-3
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:39300
AB Some p-aminophenyl ethers were converted into dialkylureido-, dialkylaminoacetamido-, and dialkylaminopropionamido-derivs. for study as schistosomicides.
IT 17640-98-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17640-98-1 CAPLUS
CN 1-Piperidinecarbox-m-anisidide, 4'-(octyloxy)- (8CI) (CA INDEX NAME)



L36 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1959:99837 CAPLUS
DOCUMENT NUMBER: 53:99837
ORIGINAL REFERENCE NO.: 53:18023d-i,18024a-i,18025a-i,18026a-d
TITLE: Derivatives of 3,4-xylidine and related compounds as inhibitors of influenza virus: relationships between chemical structure and biological activity
AUTHOR(S): Clark, R. J.; Isaacs, A.; Walker, J.
CORPORATE SOURCE: Natl. Inst. Med. Research, London
SOURCE: British Journal of Pharmacology and Chemotherapy (1958), 13, 424-35
CODEN: BJPCAL; ISSN: 0366-0826
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB A series of compds., based primarily on 3,4-xylidine (I), was examined for inhibitory activity towards growth of influenza virus in tissue culture. Marked dependence of inhibitory activity upon chemical structure was observed, particularly when the 3,4-xylyl group was replaced by other simple aryl radicals. N-(2-Piperidinoethyl)-3,4-xylidine.2HCl (II), a typical compound combining high intrinsic inhibitory activity with no obvious toxicity towards the host tissues, did not inactivate the virus directly before its adsorption, did not interfere with adsorption of virus by the tissues, and did not inhibit the release of freshly synthesized virus by the tissues, but specifically depressed synthesis of viral haemagglutinin to a greater extent than it depressed the synthesis of complement-fixing soluble antigen. Inhibition of influenza virus growth caused by II in tissue culture was reversed by appropriate addition of 4,5-dimethyl-α-phenylenediamine, but not apparently by riboflavin or by vitamin B12. Action of II and, by inference, of related compds., in inhibiting viral synthesis may be the result of depressed cytoplasmic protein synthesis. Prepared by refluxing ArNH2 with ClCH2COCl or MeCHClCOCl in C6H6 for 2 hrs. are: α-chloro-6-nitroaceto-3,4-xylidide (III), 88%, yellow needles, m. 150° (C6H6); α-chloro-3,4-dimethoxyacetanilide, 81%, needles, m. 133-5° (aqueous EtOH); α-chloropropiono-3,4-xylidide, 88%, needles, m. 139-40° (aqueous EtOH). Alternatively, carrying out the condensation in cold glacial HOAc containing NaOAc yields: α,3,4-trichloroacetanilide, 89%, needles, m. 105-7° (aqueous EtOH); α-chloro-N-diphenyl-2-ylacetamide, 92%, rods, m. 98-100° (aqueous EtOH); α-chloro-N-diphenyl-4-ylacetamide, 80%, plates, m. 176-8°(MeOH); α,5-dichloroaceto-o-toluidide, 82%, plates, m. 128-30° (aqueous EtOH). α-Aminoacylarylamides are prepared by heating the corresponding α-halo compds. with 2 mole equivs. amine 5 hrs. in C6H6, filtering off the precipitated amine HCl salt, evaporating the filtrate to dryness, dissolving the residue in 3N HCl, filtering, washing with Et2O, basifying with NH3, and filtering or extracting with Et2O the precipitated base: hydrochlorides are prepared by treating acetone solns. of the bases with anhydrous HCl. Thus, III gives 93% 6-nitro-α-piperidinoaceto-3,4-xylidide (IV), yellow needles, m. 272-3° (PrOH). Hydrogenation of 8.1 g. IV in 200 ml. EtOH containing Raney Ni at room temperature and atmospheric pressure gives 72% 6-amino-α-piperidinoaceto-3,4-xylidide; di-HCl salt, plates, m. 272-5° (MeOH-EtOAc). α-Chloroaceto-3,4-xylidide (V) (3.44 g.) and 8.8 g. benzyloxycarbonylpiperazine give 5.35 g. α-(benzyloxycarbonyl-1-

L36 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

piperazinyl)aceto-3,4-xylidide, m. 177-80°, hydrogenated over 5% Pd on C in EtOH at room temp. and atm. pressure to α-1-piperazinylaceto-3,4-xylidide (31%); HCl salt (VI), needles, m. 242-5° (MeOH-EtOAc). V (19.8 g.) and 500 ml. EtOH satd. at 0° with NH3 gives 87% glycyl-3,4-xylidide (VII) (and 3.18 g. corresponding secondary amine), needles, m. 97-9° (aq. EtOH); HCl salt, needles, m. 259-61° (MeOH-EtOAc). VII (3.6 g.) and ClCH2COCl in glacial HOAc give 2.9 g. (N-α-chloroacetylglycyl)-3,4-xylidide, needles, m. 190-2° (aq. EtOH), 1.3 g. of which is condensed with 0.85 g. piperidine to give 0.22 g. (N-α-piperidinoacetylglycyl)-3,4-xylidide, m. 198-200°; HCl salt (VIII), needles, m. 237-9° (MeOH-EtOAc). Boiling α-haloacylarylamides with 1.1 equivs. C5H5N in EtOH 4 hrs., freeing the mixt. of solvent, and recrystg. the residue from MeOH-EtOAc yields 1-arylcarbamoylmethylpyridinium chlorides (aryl group, m.p., and % yield given): o-tolyl, 190-2°, 66; m-tolyl, 218-20°, 76; p-tolyl (IX), 245-7°, 67; 2,3-xylyl, 197-9°, 43; 2,4-xylyl (X), 165-7°, 52; 2,5-xylyl, 184-6°, 64; 2,6-xylyl, 197-9°, 50; 3,4-xylyl (XI), 236-8°, 75; 3,5-xylyl, 164-6°, 35; 2,4,5-mesityl, 190-2°, 81; 3,4-dimethoxyphenyl, 201-3°, 60; 4-biphenylyl (XII), 242-4°, 86; m-chlorophenyl (XIII), 256-8°, 76; p-chlorophenyl (XIV), 230-2°, 62; 3,4-dichlorophenyl (XV), 282-4°, 62; 5-chloro-o-tolyl (XVI), 214-16°, 49; p-bromophenyl (XVII), 235-7°, 61; p-iodophenyl (XVIII), 248-50°, 71 [picrate, yellow needles, m. 216-18° (Me2NCHO-Et2O)]. Similarly, V and γ-picoline give 68% 4-methyl-1-(3,4-xylylcarbamoylmethyl)pyridinium chloride (XIX), m. 219-22°; nicotinamide yields 86% 3-carbamoyl-1-(3,4-xylylcarbamoylmethyl)pyridinium chloride, m. 275-7° (aq. EtOH). Other quaternary salts are prepd. by heating tertiary amines with MeI in MeOH for 2 hrs.; thus, α-piperidinoaceto-3,5-xylidide (prepd. in 72% yield, needles from aq. EtOH, m. 87-9°; HCl salt m. 216-18°) gives 1-methyl-1-(3,4-xylylcarbamoylmethyl)piperidinium iodide (70%), plates (MeOH-EtOAc), m. 177-80°; 3,4-dimethoxy-α-piperidinoacetanilide (prepd. in 88% yield, plates from aq. EtOH, m. 78-9°; HCl salt m. 183-5°) is converted to 1-methyl-1-(3,4-dimethoxyphenylcarbamoylmethyl)piperidinium iodide (85%), plates (MeOH-EtOAc), m. 176°; 2-amino-4-chloro-α-piperidinoacetanilide [HCl salt (XX)] gives 71% 1-methyl-1-(2-amino-4-chlorophenylcarbamoylmethyl)piperidinium iodide, needles (aq. EtOH), m. 205-7°. Heating α-diallylaminoaceto-3,4-xylidide [HCl salt (XXI), plates, m. 133-6° (C6H6), yield 63%] with CH2:CHCH2Br in a sealed tube for 5 hrs. gives 59% triallyl-(3,4-xylylcarbamoylmethyl)ammonium bromide (XXII), needles, m. 150-2° (EtOH-Et2O). Refluxing 2.46 g. α-piperidinoaceto-3,4-xylidide [prepd. in 85% yield, needles (aq. EtOH), m. 71-3°; HCl salt (XXIII), m. 189-91°] 4 hrs. with LiAlH4 in tetrahydrofuran and treating the product (in acetone) with anhyd. HCl gives 2.30 g. II, needles, m. 176-8° (MeOH-EtOAc). α-1-Pyrrolidinylaceto-3,4-xylidide [prepd. in 78% yield as the HCl salt (XXIV) needles, m. 200-3° (MeOH-EtOAc)] is similarly converted (yield 21%) to N-[2(1-pyrrolidinyl)ethyl-3,4-xylidine-2HCl (XXV), plates, m. 172-6° (EtOH-Et2O). α-Piperidinopropiono-3,4-xylidide [obtained in 72% yield, needles, m. 78-80° (aq. EtOH); HCl salt (XXVI), m. 178-81°] is reduced (LiAlH4 in Bu2O, 8 hrs.) and the product treated with HCl to give N-(2-piperidinopropyl)-3,4-xylidine-2HCl (XXVII), yield 64%, plates, m. 180-2° (MeOH-EtOAc). Refluxing 12.1 g. I with 17.8 g. 3-piperidinopropyl chloride in 60 ml. EtOH 60 hrs. gives 18 g. N-(3-piperidinopropyl)-3,4-xylidine HCl salt (XXVIII), needles, m. 222-4° (EtOH). Heating 12.2 g. 3,4-xylenol (XXIX), 5.5 g. ethylene

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oxide, and 40 ml. EtOH (in which 0.23 g. Na had been dissolved) in a sealed tube 4 hrs. at 80° gives 14.8 g. 2-(3,4-xylyloxy)ethanol, b1.5 130-4°, n25D 1.5331, 8.3 g. of which is refluxed 2 hrs. with 4.0 g. C5H5N and 6.5 g. SOCl2 in dry CHCl3 to give 7.25 g. 2-(3,4-xylyloxy)ethyl chloride, b0.14 90-2°, n23D 1.5329, 0.92 g. of which is heated 4 hrs. at 140° with 0.85 g. piperidine to give 1.0 g. N-[2-(3,4-xylyloxy)ethyl]piperidine-HCl (XXX), plates, m. 182-4° (MeOH-EtOAc). Refluxing 9.2 g. XXIX, 45.5 g. Br(CH2)3Br, and 38 ml. EtOH (in which 1.73 g. Na had been dissolved) 4 hrs. gives 9.9 g. 1-bromo-3-(3,4-xylyloxy)propane, b0.17 94°, n19D 1.5425, 2.43 g. of which is refluxed 2 hrs. with 1.7 g. piperidine in 15 ml. PhMe to give 1.3 g. N-[3-(3,4-xylyloxy)propyl]piperidine-HCl (XXXI), plates, m. 170-2° (EtOH-Et2O). Refluxing 12.3 g. XXIX with 13.9 g. epichlorohydrin gives 9.54 g. glycidyl 3,4-xylyl ether, b1.3 122.7°, n20D 1.5284, 1.2 g. of which, by refluxing 5 hrs. with 0.62 g. piperidine, is converted to 1.65 g. 1-piperidino-3-(3,4-xylyloxy)-2-propanol, plates, m. 75-7° (aq. EtOH); HCl salt (XXXII), needles, m. 171-3° (MeOH-EtOAc). 3,4-Dimethylacetophenone (XXXIII) (3.7 g.) refluxed 15 hrs. with 1.2 g. S and 3.2 g. piperidine gives 2.5 g. 3,4-xylylthioacetopiperidide, rods, m. 83-5° (PrOH), 1 g. of which is refluxed 5 hrs. with 3 g. Raney Ni in 20 ml. EtOH and the product converted to 0.48 g. N-[2-(3,4-xylyl)ethyl]piperidine-HCl (XXXIV), plates, m. 261-3° (PrOH). I (24.2 g.) in 40 ml. concd. HCl is treated at below 5° with 13.8 g. NaNO2 in 180 ml. H2O, then with a hot soln. of 47.4 g. NiCl2 and 49 g. NaCN in 330 ml. H2O to give 19.5 g. 3,4-Me2C6H3CN (XXXV), b20 116-18°. XXXV (26.2 g.) in 100 ml. Et2O and 20 ml. CHCl3 is added to 56.9 g. SnCl2 in 250 ml. Et2O satd. with anhyd. HCl to give 15.8 g. 3,4-Me2C6H3CHO, b0.8 68°, 8.0 g. of which is heated on steam with 6.24 g. CH2(CO2H)2 and 1.4 g. C5H5N until CO2 ceases being evolved to give 7.6 g. 3,4-Me2C6H3CH:CHCO2H, 5.7 g. of which is converted to the Me ester with CH2N2, which is hydrogenated in MeOH contg. Pd on SrCO3 at room temp. and atm. pressure and the product sapond. to 4.7 g. 3,4-Me2C6H3CH2CH2CO2H, needles (aq. EtOH), m. 82-4°. This acid (4 g.), treated with SOCl2 in CHCl3, gives the corresponding acid chloride, heated with 4.2 g. piperidine in 20 ml. C6H6 to give 5.1 g. 3-(3,4-xylyl)propionopiperidide, sublimed at 100-150° and 1.5 mm., m. 35-7°, 4.4 g. of which is reduced with 1.4 g. LiAlH4 in 40 ml. tetrahydrofuran and the product converted to 3.3 g. N-[3-(3,4-xylyl)propyl]piperidine HCl (XXXVI), plates, m. 183-5° (EtOH-Et2O). XXXV (12.6 g.) in 25 ml. CHCl3 and 10 ml. EtOH satd. with anhyd. HCl at 0° kept 3 days at 2°, freed of volatiles, and the residue treated 5 days at 37° with EtOH satd. with NH3 at 0° gives 13.6 g. 3,4-dimethylbenzamidine-HCl (XXXVII), plates, m. 195-6° (MeOH-EtOAc). Friedel-Crafts reaction of 10.6 g. o-Me2C6H4 with 10 g. succinic anhydride in PhNO2 yields 14.8 g. 3,4-Me2C6H3COCH2CH2CO2H, prisms, m. 129-31° (aq. HOAc), Clemmenson reduction of 14.4 g. of which gives 6.7 g. 3,4-Me2C6H3(CH2)3CO2H, b0.04 126°. This acid (5.7 g.) is converted in 28% over-all yield via the acid chloride and the piperidide to N-[4-(3,4-xylyl)butyl]-piperidine-HCl (XXXVIII), plates, m. 174-6° (C6H6). Heating 1.8 g. 3,4-Me2C6H3COCH2Cl with 1.7 g. piperidine in 10 ml. C6H6 5 hrs. at 100° gives 0.82 g. piperidinomethyl 3,4-xylyl ketone HCl salt (XXXIX), needles, m. 177-9° (EtOH-Et2O). Reaction of 1.5 g. XXXIII with piperidine-HCl and HCHO gives 1.1 g. 2-piperidinoethyl 3,4-xylyl ketone HCl salt (XL), plates, m. 182-4° (MeOH-EtOAc). Refluxing 4.7 g. 3,4-xylyldicyandiamide, 1.6 g. piperidine, and 1.25 g. CuSO4.5H2O2 hrs. in 4 ml. EtOCH2CH2OH and 4.5 ml. H2O gives a purple-brown complex;

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the mixt. is dild. with 60 ml. H2O, the aq. phase decanted, the residue extd. with N HCl, the ext. treated with concd. aq. Na2S and C, filtered, and treated with aq. NaOH to ppt. 2.4 g. N1,N1-cyclopentamethylene-N5-3,4-xylylbiguanide, rods, m. 147-50° (aq. EtOH); HCl salt (XLI) rosettes (MeOH-EtOAc), m. 233-5°. Similarly, pyrrolidine gives the cyclotetramethylene analog HCl salt (XLII), needles, m. 243-4° (MeOH-EtOAc). Refluxing 2.1 g. 3-piperidinopropylamine-2HCl and 1.3 g. 3-thiocyanato-2-butanone 6 hrs. in 2.5 ml. H2O and treating the oily product (in acetone) with HCl gives 1.73 g. 4,5-dimethyl-2-(3-piperidinopropylamino)thiazole-2HCl (XLIII), needles, m. 234-6° (EtOH-Et2O). Prepd. by methods described above are α-piperidinoacetanilide (54%), m. 97-8° [hydrochloride (XLIV) m. 181-3°], α-piperidinoacetobenzylamide, m. 43-6° [hydrochloride (XLV) (66%), m. 148-50°]; α-piperidinoaceto-o-toluidide (77%), m. 95-8° (HCl salt m. 168-71°); α-piperidinoaceto-m-toluidide-HCl (XLVI) (65%), m. 185-7°; α-piperidinoaceto-p-toluidide (85%), m. 65-7° [HCl salt (XLVII) m. 212-14°]; α-piperidinoaceto-2,3-xylidide (84%), m. 73-5° [HCl salt (XLVIII) m. 221-3°]; α-piperidinoaceto-2,4-xylidide (77%), m. 86-8° (HCl salt m. 217-19°); α-piperidinoaceto-2,5-xylidide (83%), m. 95-6° [hydrochloride (XLIX) m. 185-7°]; α-piperidinoaceto-2,6-xylidide (79%), m. 112-14° (HCl salt m. 183-5°); 2,4,5-trimethyl-α-piperidinoacetanilide-HCl (L) (84%), m. 246-8°; 2,4,6-trimethyl-α-piperidinoacetanilide (94%), m. 104-6° [HCl salt (LI) m. 195-6°]; α-piperidino-N-(2-biphenylyl)acetamide (92%), m. 134-5° [HCl salt (LIII) m. 169-70°]; α-piperidino-N-(4-biphenylyl)acetamide (93%), m. 108-10° [HCl salt (LIV); p-chloro-α-piperidinoacetanilide (85%), m. 86-8° [HCl salt (LV) m. 220-2°]; 3,4-dichloro-α-piperidinoacetanilide (58%), m. 74-6° [HCl salt (LVI) m. 196-8°]; 5-chloro-α-piperidinoaceto-o-toluidide-HCl (LVII) (87%), m. 235-7°; p-bromo-α-piperidinoacetanilide (67%), m. 85-8° [HCl salt (LVIII), m. 229-31°]; p-iodo-α-piperidinoacetanilide HCl salt (LIX) (87%), m. 218-20°; 4-chloro-2-nitro-α-piperidinoacetanilide-HCl (LX), m. 230-2°; α-piperidino-p-sulfamoylacetanilide (90%), m. 196-8° (HCl salt m. 268-70°); α-morpholinoaceto-3,4-xylidide (52%), m. 83-5° (HCl salt m. 222-4°); α-1-pyrrolidinylpropiono-3,4-xylidide-HCl (LXI) (78%), m. 225-7°; 3,4-dimethoxy-α-piperidinopropionamide-HCl (78%), m. 197-9°; α-dimethylaminoaceto-3,4-xylidide-HCl (78%), m. 166-8°; α-diethylaminoaceto-3,4-xylidide-HCl (LXII) (72%), m. 165-7°; α-dipropylaminoaceto-3,4-xylidide-HCl (LXIII) (82%), m. 154-6°; α-dibutylaminoaceto-3,4-xylidide-HCl (LXIV) (31%), m. 155-7°. Tested at a concn. of 0.1 mg./ml., substances were rated inactive for yield of virus ≥50% of control, activity 1 (25-50%), 2 (12.5-25%), 3 (6.25-12.5%), or 4 (<6.25%). Thus, rated at activity 4 (some, designated t, are toxic) are II, VI, XI, XII t, XV t, XVIII, XXI, XXIV, XXV, XXVI, XXVII t, XXVIII, XXX t, XXXI t, XXXII, XXXIV t, XXXVI t, XXXVIII t, XL t, XLI t, XLII t, LVI, LVIII, LIX, and LXI. Substances with activity 3 are XIII, XVI, XVII, XIX, XXIII, XLVI, and LXII. Those with activity 2 are XXXIX, XLV, XLVII, XLIX, LVII, and LXIII.

VIII, IX, X, XIV, XX t, XXII, XLIII, XLIV, XLVIII, L, LI, and LV have activity 1. Compds. with properties incompatible with the assay procedure are LIII, LIV, LX, and LXIV. By the same test, N1-3,4-xylylbiguanide-HCl (slightly toxic), 3,4-xylylguanidine nitrate (t), 3,4-dimethylbenzamidine-

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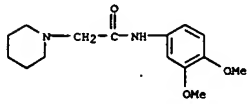
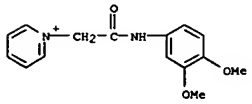
HCl (t), and
N'-2-diethylaminoethyl-N',N'-cyclopentamethylenesulfanilamide-HCl are also rated 4. N1-2,-5-Xylylbiguanide-HCl and N1,N1-diethyl-N4-(2-diethylaminoethyl)sulfanilamide-HCl are rated 2.
IT 101354-57-8, 1-Piperidineacetanilide, 3',4'-dimethoxy- 112071-25-7, Pyridinium, 1-[[(3,4-dimethoxyphenyl)carbamoyl]methyl]-, chloride 114381-98-5, Piperidinium, 1-[[(3,4-dimethoxyphenyl)carbamoyl]methyl]-1-methyl-, iodide 131975-87-6, 1-Piperidineacetanilide, 3',4'-dimethoxy-α-methyl-, hydrochloride 132493-84-6, 1-Piperidineacetanilide, 3',4'-dimethoxy-, hydrochloride
(preparation of)
RN 101354-57-8 CAPLUS
CN 1-Piperidineacetanilide, 3',4'-dimethoxy- (6CI) (CA INDEX NAME)



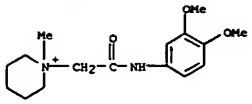
RN 112071-25-7 CAPLUS
CN 1-[[(3,4-Dimethoxyphenyl)carbamoyl]methyl]pyridinium chloride (6CI) (CA INDEX NAME)



● Cl⁻

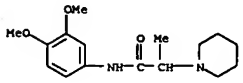
RN 114381-98-5 CAPLUS
CN 1-[[(3,4-Dimethoxyphenyl)carbamoyl]methyl]-1-methylpiperidinium iodide (6CI) (CA INDEX NAME)

L36 ANSWER 56 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



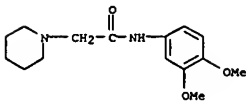
● I⁻

RN 131975-87-6 CAPLUS
CN 1-Piperidineacetanilide, 3',4'-dimethoxy-α-methyl-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

RN 132493-84-6 CAPLUS
CN 1-Piperidineacetanilide, 3',4'-dimethoxy-, hydrochloride (6CI) (CA INDEX NAME)

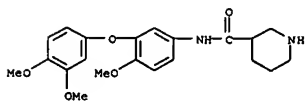


● HCl

L36 ANSWER 57 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1932:16071 CAPLUS
DOCUMENT NUMBER: 26:16071
ORIGINAL REFERENCE NO.: 26:1714f-h
TITLE: Reduction products of nicotinic acid derivatives
PATENT ASSIGNEE(S): Soc. anon. pour l'ind. chim. a Bale
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 539178 | | 19290714 | DE | |

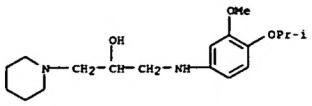
AB New compds. of therapeutic value are prepared by hydrogenating nicotinic acid amide derivs. in which at least one H atom of the amide group is substituted by an aryl or aralkyl residue, or in which the N atom of the amide group forms part of a heterocyclic ring. Hydrogenation may be effected with Na and alc., or with H in the presence of a catalyst. Examples are given of the preparation of Et nipecotyl-p-aminobenzoate, m. 160.5°; nipecotyltetrahydroquinoline; nipecotyl-β-phenylethylamide, m. about 100°; nipecotyl-ac-tetrahydro-β-naphthylamide, m. 132°; nipecotyltetrahydroquinaldine; nipecotyltetrahydro-6-ethoxyquinoline; nipecotyl-4-phenoxyanilide, m. 114.5°; nipecotyl-3',4'-dimethoxy-3-phenoxy-4-methoxyanilide, m. 82-4°.
IT 856212-12-9, Nipecotanilide, 3'-(3,4-dimethoxyphenoxy)-4'-methoxy-
(preparation of)
RN 856212-12-9 CAPLUS
CN Nipecotanilide, 3'-(3,4-dimethoxyphenoxy)-4'-methoxy- (3CI) (CA INDEX NAME)



L36 ANSWER 58 OF 58 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1930:31064 CAPLUS
DOCUMENT NUMBER: 24:31064
ORIGINAL REFERENCE NO.: 24:3327a-d
TITLE: Aminoalkylamino derivatives of aromatic aminohydroxy or polyamino compounds
INVENTOR(S): Schulemann, Werner; Kropp, Walter
PATENT ASSIGNEE(S): Winthrop Chemical Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 1757394 | | 19300506 | US | |

AB Compds. generally in the nature of viscous oils, forming readily soluble hydrochlorides and suitable for therapeutic purposes in combating blood parasites are obtained by heating aromatic aminohydroxy or polyamino compds. of the benzene or naphthalene series with a haloalkylaminodialkyl compound (suitably in the presence of an acid-binding agent and a solvent or diluent) or by causing aromatic aminohydroxy or polyamino compds. of the benzene or naphthalene series to be acted on by ethylene oxide or a halogenated alc. and converting the hydroxyalkylamino derivs. thus obtained into the dialkylaminoalkyl compds. Numerous details and examples are given, including the production of: 3-hydroxy-1-(diethylaminoethylamino)benzene, b1.5 171°; 3-hydroxy-1-[(diethylaminoethyl)ethylamino]benzene, m. 50° and b2 175°; 1-hydroxy-3-[(diethylaminoethyl)methylamino]benzene, b0.5 151°; 1-(diethylaminoethylamino)-3,4-diethoxybenzene, b2 185°; 1-amino-3-(diethylaminoethylamino) benzene b1 158°; 4-amino-[(diethylaminoethyl)methylamino]benzene, b3 161-3°; 1-amino-4-dimethylamino-2-methylthiophenol, b3 135°; 3-methoxy-4-isopropoxy -1-N-(α-piperidyl-β-hydroxy-γ-propyl)aminobenzene, prepared by heating 3-methoxy-4-isopropoxy-1-aminobenzene (m. 68-69°), with epichlorohydrin and piperidine, m. 92-4° and b5 225-30°; 3-methoxy-4-isopropoxy-1-(β-diethylaminoethylmercaptoethylamino)benzene, prepared by treating 3-methoxy-4-isopropoxy-1-aminobenzene with (C2H5)2NCH2CH2SCH2CH2Cl (hydrochloride), is a viscous oil, b5 225-7°; 3-methoxy-4-isopropoxy-1-(β-diethylaminoethoxyethylamino)benzene, b1.5 186-8°, is obtained by heating 3-methoxy-4-isopropoxy-1-aminobenzene with the hydrochloride of (C2H5)2NCH2CH2OCH2CH2Cl (b5 72-3°); 3-methoxy-4-isopropoxy-1-N-(β-dimethylamino-β'-ethoxyisopropylamino)benzene, b1 166-8°, obtained by treating 3-methoxy-4-isopropoxy-1-aminobenzene with the hydrochloride of (CH3)2NCH2CHClCH2OC2H6 (b15 69-70°); 3-methoxy-4-isopropoxy-1-(1'-dimethylamino-2'-cyclohexylamino)benzene, b2 173-5° formed by heating of 3-methoxy-4-isopropoxy-1-aminobenzene with 1-chloro-2-dimethylaminocyclohexane (b10 77-9°).
IT 858444-50-5, 1-Piperidineethanol, α-[(4-isopropoxy-m-anisylamino)methyl]-
(preparation of)
RN 858444-50-5 CAPLUS
CN 1-Piperidineethanol, α-[(4-isopropoxy-m-anisylamino)methyl]- (3CI) (CA INDEX NAME)


OMe
OPr-i
OH
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CH2
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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 287.42 | 1380.46 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -42.34 | -96.36 |

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